273010

STIC-EIC1600/2900

Case/Application number: 10/675927
Priority Filing Date: 09/29/2003
Format for Search Results: Score
Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional comments:

Attachment: Yes (675927, Claims, Whole Document.pdf)

MG

Searcher:
Searcher Phone: ________
Date Searcher Picked Up:
Date Completed: ________
Searcher Prep Time: ________
Online Time:

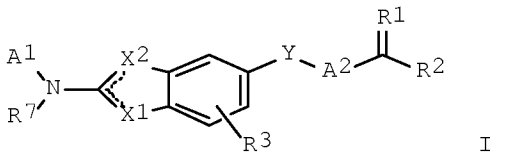
Type of Search
NA #: ____ AA#: ______
S/L: ______ Oligomer: ____
Encode/Transl: ________
Structure #: ____ Text: __
Inventor: __ Litigation: __

Vendors/cost where applicable
STN: ____________
DIALOG: ____________
QUESTEL/ORBIT: ____________
LEXIS/NEXIS: ____________
SEQUENCE SYSTEM: ____________
WWW/INTERNET: ____________
OTHER (Specify):

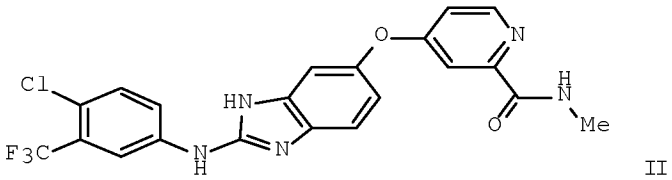
```
=> d que l1
L1            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  US2003-675927/APPS


=> d ibib ed abs ind l1
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y



L1   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2004:513393  HCAPLUS  Full-text
DOCUMENT NUMBER:          141:71544
TITLE:                    Preparation of substituted benzazoles as Raf kinase
                          inhibitors
INVENTOR(S):              Amiri, Payman; Fantl, Wendy; Levine, Barry Haskell;
                          Poon, Daniel J.; Ramurthy, Savithri; Renhowe, Paul A.;
                          Subramanian, Sharadha; Sung, Leonard
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S.
                          Pat. Appl. 2004 87,626.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     US 20040122237        A1    20040624    US 2003-675927          20030929 <--
     US 20040087626        A1    20040506    US 2003-405945          20030331
     US 7071216            B2    20060704
     AU 2004277405         A1    20050414    AU 2004-277405          20040929 <--
     CA 2539748            A1    20050414    CA 2004-2539748         20040929 <--
     WO 2005032548         A1    20050414    WO 2004-US32161         20040929 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1675584            A1    20060705    EP 2004-789345          20040929 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     BR 2004014908          A     20061107    BR 2004-14908           20040929 <--
     CN 1913884             A     20070214    CN 2004-80032677        20040929 <--
     JP 2007507428          T     20070329    JP 2006-528331          20040929 <--
     US 20070299039         A1    20071227    US 2005-282939          20051118
     MX 2006PA03435         A     20060620    MX 2006-PA3435          20060327 <--
     JP 2006193533          A     20060727    JP 2006-96143           20060330
     IN 2006KN00838         A     20070413    IN 2006-KN838           20060405 <--
PRIORITY APPLN. INFO.:                         US 2002-369066P     P   20020329
                                               US 2003-405945      A2  20030331
                                               JP 2003-579810      A3  20030331
```

US 2003-675927 A 20030929 <--
WO 2004-US32161 W 20040929

OTHER SOURCE(S): MARPAT 141:71544
ED Entered STN: 25 Jun 2004
GI



I



II

AB The title compds. I [wherein X1, X2 = N, NR4, O, S (with provisos); Y = O, S; A1 = (un)substituted alkyl, (hetero)cycloalkyl(alkyl), (hetero)aryl(alkyl), etc.; A2 = (un)substituted heteroaryl; R1 = O, H; R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted (cyclo)alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, heterocyclyl, (hetero)aryl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl; R7 = alkyl; and pharmaceutically acceptable salts, esters, or prodrugs] were prepared as Raf kinase inhibitors. Examples include synthetic methods and phys. data for 1400 compds., as well as descriptions of two Raf kinase bioassays. For instance, 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N- methylcarboxamide were coupled using potassium bis(trimethylsilyl)amide and K2CO3 in DMF to give 4-[(4-amino-3-nitrophenyl)oxy]-N-methylpyridine-2-carboxamide. Pd-catalyzed hydrogenation, followed by cyclization with 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide•HCl in THF provided the benzimidazole II. One thousand ninety-four compds. inhibited Raf kinase activity with IC50 < 5 μM in a Raf/Mek filtration assay or a biotinylated Raf screen. Thus, I and their pharmaceutical compns., which may comprise at least one addnl. agent, are useful for the treatment of Raf kinase mediated disorders, such as cancer (no data).

IC ICM C07D277-82
ICS C07D263-60
INCL 548161000; 548217000
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
ST benzazole benzimidazole prepn Raf kinase inhibitor antitumor
IT Antitumor agents
Drug delivery systems
Human
(preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)
IT Anthracyclines

Taxanes
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of substituted benzazoles as Raf kinase inhibitors for use in combination with other antitumor agents)

IT Drug delivery systems
(prodrugs; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT Hematopoietic neoplasm
Mammary gland, neoplasm
Neoplasm
Prostate gland, neoplasm
(treatment of; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT Alkaloids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vinca; preparation of substituted benzazoles as Raf kinase inhibitors for use in combination with other antitumor agents)

IT 611213-97-9P 611214-71-2P 611214-79-0P 611215-54-4P 611215-68-0P
611224-42-1P 611224-80-7P 611225-00-4P 710351-25-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 611212-56-7P 611212-57-8P 611212-58-9P 611212-59-0P 611212-60-3P
611212-61-4P 611212-62-5P 611212-63-6P 611212-64-7P 611212-65-8P
611212-66-9P 611212-67-0P 611212-68-1P 611212-69-2P 611212-70-5P
611212-71-6P 611212-72-7P 611212-73-8P 611212-74-9P 611212-75-0P
611212-76-1P 611212-77-2P 611212-78-3P 611212-79-4P 611212-80-7P
611212-81-8P 611212-82-9P 611212-83-0P 611212-84-1P 611212-85-2P
611212-86-3P 611212-87-4P 611212-88-5P 611212-89-6P 611212-90-9P
611212-91-0P 611212-92-1P 611212-93-2P 611212-94-3P 611212-95-4P
611212-96-5P 611212-97-6P 611212-98-7P 611212-99-8P 611213-00-4P
611213-01-5P 611213-02-6P 611213-03-7P 611213-04-8P 611213-05-9P
611213-06-0P 611213-07-1P 611213-08-2P 611213-09-3P 611213-10-6P
611213-11-7P 611213-12-8P 611213-13-9P 611213-14-0P 611213-15-1P
611213-16-2P 611213-17-3P 611213-18-4P 611213-19-5P 611213-20-8P
611213-21-9P 611213-22-0P 611213-23-1P 611213-24-2P 611213-25-3P
611213-26-4P 611213-27-5P 611213-28-6P 611213-29-7P 611213-30-0P
611213-31-1P 611213-32-2P 611213-33-3P 611213-34-4P 611213-35-5P
611213-36-6P 611213-37-7P 611213-38-8P 611213-39-9P 611213-40-2P
611213-41-3P 611213-42-4P 611213-43-5P 611213-44-6P 611213-45-7P
611213-46-8P 611213-47-9P 611213-48-0P 611213-49-1P 611213-50-4P
611213-51-5P 611213-53-7P 611213-54-8P 611213-55-9P 611213-56-0P
611213-57-1P 611213-58-2P 611213-59-3P 611213-60-6P 611213-61-7P
611213-62-8P 611213-63-9P 611213-64-0P 611213-65-1P 611213-66-2P
611213-67-3P 611213-68-4P 611213-69-5P 611213-70-8P 611213-71-9P
611213-72-0P 611213-73-1P 611213-74-2P 611213-75-3P 611213-76-4P
611213-77-5P 611213-78-6P 611213-79-7P 611213-80-0P 611213-81-1P
611213-82-2P 611213-83-3P 611213-84-4P 611213-85-5P 611213-86-6P
611213-87-7P 611213-88-8P 611213-89-9P 611213-90-2P 611213-91-3P
611213-92-4P 611213-93-5P 611213-94-6P 611213-95-7P 611213-96-8P
611213-98-0P 611213-99-1P 611214-00-7P 611214-01-8P 611214-02-9P
611214-03-0P 611214-04-1P 611214-05-2P 611214-06-3P 611214-08-5P
611214-09-6P 611214-10-9P 611214-11-0P 611214-12-1P 611214-13-2P
611214-14-3P 611214-15-4P 611214-16-5P 611214-17-6P 611214-18-7P
611214-19-8P 611214-20-1P 611214-21-2P 611214-22-3P 611214-23-4P
611214-24-5P 611214-25-6P 611214-26-7P 611214-27-8P 611214-28-9P
611214-29-0P 611214-30-3P 611214-31-4P 611214-32-5P 611214-33-6P
611214-34-7P 611214-35-8P 611214-36-9P 611214-37-0P 611214-38-1P

611214-39-2P 611214-40-5P 611214-41-6P 611214-42-7P 611214-43-8P
611214-44-9P 611214-46-1P 611214-47-2P 611214-48-3P 611214-49-4P
611214-50-7P 611214-51-8P 611214-52-9P 611214-53-0P 611214-54-1P
611214-55-2P 611214-56-3P 611214-57-4P 611214-58-5P 611214-59-6P
611214-60-9P 611214-61-0P 611214-62-1P 611214-63-2P 611214-64-3P
611214-65-4P 611214-66-5P 611214-67-6P 611214-68-7P 611214-69-8P
611214-70-1P 611214-72-3P 611214-73-4P 611214-74-5P 611214-75-6P
611214-76-7P 611214-77-8P 611214-78-9P 611214-80-3P 611214-82-5P
611214-83-6P 611214-84-7P 611214-85-8P 611214-86-9P 611214-87-0P
611214-88-1P 611214-89-2P 611214-90-5P 611214-91-6P 611214-92-7P
611214-93-8P 611214-94-9P 611214-95-0P 611214-96-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 611214-97-2P 611214-98-3P 611214-99-4P 611215-00-0P 611215-01-1P
611215-02-2P 611215-03-3P 611215-04-4P 611215-05-5P 611215-06-6P
611215-07-7P 611215-08-8P 611215-09-9P 611215-10-2P 611215-11-3P
611215-12-4P 611215-13-5P 611215-14-6P 611215-15-7P 611215-16-8P
611215-17-9P 611215-18-0P 611215-20-4P 611215-21-5P 611215-22-6P
611215-23-7P 611215-24-8P 611215-25-9P 611215-26-0P 611215-27-1P
611215-28-2P 611215-29-3P 611215-30-6P 611215-31-7P 611215-32-8P
611215-33-9P 611215-34-0P 611215-35-1P 611215-36-2P 611215-37-3P
611215-38-4P 611215-39-5P 611215-40-8P 611215-41-9P 611215-42-0P
611215-43-1P 611215-44-2P 611215-45-3P 611215-46-4P 611215-47-5P
611215-48-6P 611215-49-7P 611215-50-0P 611215-51-1P 611215-52-2P
611215-53-3P 611215-56-6P 611215-57-7P 611215-58-8P 611215-59-9P
611215-60-2P 611215-61-3P 611215-62-4P 611215-63-5P 611215-64-6P
611215-65-7P 611215-66-8P 611215-67-9P 611215-69-1P 611215-70-4P
611215-71-5P 611215-72-6P 611215-73-7P 611215-74-8P 611215-75-9P
611215-76-0P 611215-77-1P 611215-78-2P 611215-79-3P 611215-80-6P
611215-81-7P 611215-82-8P 611215-83-9P 611215-84-0P 611215-85-1P
611215-86-2P 611215-87-3P 611215-88-4P 611215-89-5P 611215-90-8P
611215-91-9P 611215-92-0P 611215-93-1P 611215-94-2P 611215-95-3P
611215-96-4P 611215-98-6P 611215-99-7P 611216-00-3P 611216-01-4P
611216-02-5P 611216-03-6P 611216-04-7P 611216-05-8P 611216-06-9P
611216-07-0P 611216-08-1P 611216-09-2P 611216-10-5P 611216-11-6P
611216-12-7P 611216-13-8P 611216-14-9P 611216-15-0P 611216-16-1P
611216-17-2P 611216-18-3P 611216-19-4P 611216-20-7P 611216-21-8P
611216-22-9P 611216-23-0P 611216-25-2P 611216-26-3P 611216-27-4P
611216-28-5P 611216-29-6P 611216-30-9P 611216-31-0P 611216-32-1P
611216-33-2P 611216-34-3P 611216-35-4P 611216-36-5P 611216-37-6P
611216-39-8P 611216-40-1P 611216-41-2P 611216-42-3P 611216-43-4P
611216-44-5P 611216-45-6P 611216-46-7P 611216-47-8P 611216-48-9P
611216-49-0P 611216-50-3P 611216-51-4P 611216-52-5P 611216-53-6P
611216-54-7P 611216-55-8P 611216-56-9P 611216-57-0P 611216-58-1P
611216-59-2P 611216-60-5P 611216-61-6P 611216-62-7P 611216-63-8P
611216-64-9P 611216-65-0P 611216-66-1P 611216-67-2P 611216-68-3P
611216-69-4P 611216-70-7P 611216-71-8P 611216-72-9P 611216-73-0P
611216-74-1P 611216-75-2P 611216-76-3P 611216-77-4P 611216-78-5P
611216-79-6P 611216-80-9P 611216-81-0P 611216-82-1P 611216-83-2P
611216-84-3P 611216-85-4P 611216-86-5P 611216-87-6P 611216-88-7P
611216-89-8P 611216-91-2P 611216-92-3P 611216-93-4P 611216-94-5P
611216-95-6P 611216-96-7P 611216-97-8P 611216-98-9P 611216-99-0P
611217-00-6P 611217-02-8P 611217-03-9P 611217-04-0P 611217-05-1P
611217-06-2P 611217-07-3P 611217-09-5P 611217-10-8P 611217-11-9P
611217-12-0P 611217-13-1P 611217-14-2P 611217-16-4P 611217-17-5P
611217-18-6P 611217-19-7P 611217-20-0P 611217-21-1P 611217-22-2P
611217-23-3P 611217-24-4P 611217-25-5P 611217-26-6P 611217-27-7P

611217-28-8P 611217-29-9P 611217-31-3P 611217-32-4P 611217-34-6P
611217-36-8P 611217-37-9P 611217-39-1P 611217-41-5P 611217-43-7P
611217-44-8P 611217-46-0P 611217-47-1P 611217-48-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 611217-50-6P 611217-51-7P 611217-52-8P 611217-54-0P 611217-55-1P
611217-56-2P 611217-57-3P 611217-58-4P 611217-59-5P 611217-60-8P
611217-62-0P 611217-64-2P 611217-66-4P 611217-67-5P 611217-68-6P
611217-69-7P 611217-70-0P 611217-71-1P 611217-73-3P 611217-75-5P
611217-77-7P 611217-79-9P 611217-81-3P 611217-83-5P 611217-85-7P
611217-88-0P 611217-90-4P 611217-92-6P 611217-94-8P 611217-96-0P
611217-98-2P 611218-00-9P 611218-02-1P 611218-04-3P 611218-07-6P
611218-09-8P 611218-11-2P 611218-13-4P 611218-15-6P 611218-17-8P
611218-19-0P 611218-21-4P 611218-23-6P 611218-25-8P 611218-27-0P
611218-29-2P 611218-30-5P 611218-33-8P 611218-35-0P 611218-37-2P
611218-40-7P 611218-42-9P 611218-44-1P 611218-46-3P 611218-48-5P
611218-50-9P 611218-52-1P 611218-54-3P 611218-56-5P 611218-58-7P
611218-60-1P 611218-63-4P 611218-65-6P 611218-67-8P 611218-69-0P
611218-71-4P 611218-73-6P 611218-75-8P 611218-77-0P 611218-79-2P
611218-81-6P 611218-83-8P 611218-85-0P 611218-87-2P 611218-89-4P
611218-91-8P 611218-93-0P 611218-95-2P 611218-97-4P 611218-98-5P
611219-00-2P 611219-02-4P 611219-05-7P 611219-07-9P 611219-09-1P
611219-11-5P 611219-13-7P 611219-15-9P 611219-17-1P 611219-19-3P
611219-21-7P 611219-23-9P 611219-25-1P 611219-26-2P 611219-28-4P
611219-30-8P 611219-32-0P 611219-34-2P 611219-36-4P 611219-38-6P
611219-40-0P 611219-42-2P 611219-44-4P 611219-46-6P 611219-48-8P
611219-51-3P 611219-53-5P 611219-55-7P 611219-57-9P 611219-58-0P
611219-59-1P 611219-60-4P 611219-62-6P 611219-64-8P 611219-66-0P
611219-68-2P 611219-70-6P 611219-72-8P 611219-74-0P 611219-76-2P
611219-78-4P 611219-80-8P 611219-82-0P 611219-84-2P 611219-86-4P
611219-88-6P 611219-90-0P 611219-93-3P 611219-95-5P 611219-97-7P
611219-99-9P 611220-01-0P 611220-03-2P 611220-05-4P 611220-07-6P
611220-10-1P 611220-12-3P 611220-14-5P 611220-17-8P 611220-19-0P
611220-22-5P 611220-28-1P 611220-30-5P 611220-32-7P 611220-34-9P
611220-36-1P 611220-38-3P 611220-40-7P 611220-42-9P 611220-44-1P
611220-46-3P 611220-48-5P 611220-50-9P 611220-52-1P 611220-54-3P
611220-56-5P 611220-58-7P 611220-60-1P 611220-62-3P 611220-64-5P
611220-66-7P 611220-68-9P 611220-70-3P 611220-72-5P 611220-74-7P
611220-76-9P 611220-78-1P 611220-80-5P 611220-82-7P 611220-84-9P
611220-86-1P 611220-88-3P 611220-90-7P 611220-92-9P 611220-94-1P
611220-96-3P 611220-98-5P 611221-00-2P 611221-02-4P 611221-04-6P
611221-06-8P 611221-09-1P 611221-11-5P 611221-12-6P 611221-14-8P
611221-15-9P 611221-16-0P 611221-17-1P 611221-19-3P 611221-21-7P
611221-23-9P 611221-26-2P 611221-28-4P 611221-30-8P 611221-32-0P
611221-34-2P 611221-36-4P 611221-38-6P 611221-40-0P 611221-42-2P
611221-44-4P 611221-46-6P 611221-49-9P 611221-51-3P 611221-53-5P
611221-55-7P 611221-57-9P 611221-59-1P 611221-61-5P 611221-63-7P
611221-65-9P 611221-67-1P 611221-69-3P 611221-71-7P 611221-73-9P
611221-75-1P 611221-77-3P 611221-78-4P 611221-79-5P 611221-80-8P
611221-81-9P 611221-82-0P 611221-83-1P 611221-84-2P 611221-85-3P
611221-86-4P 611221-87-5P 611221-88-6P 611221-89-7P 611221-90-0P
611221-92-2P 611221-93-3P 611221-94-4P 611221-95-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 611221-96-6P 611221-97-7P 611221-98-8P 611221-99-9P 611222-00-5P
611222-01-6P 611222-02-7P 611222-03-8P 611222-04-9P 611222-05-0P
611222-06-1P 611222-07-2P 611222-08-3P 611222-09-4P 611222-10-7P
611222-11-8P 611222-12-9P 611222-13-0P 611222-14-1P 611222-15-2P
611222-16-3P 611222-17-4P 611222-19-6P 611222-20-9P 611222-21-0P
611222-22-1P 611222-23-2P 611222-24-3P 611222-25-4P 611222-26-5P
611222-27-6P 611222-28-7P 611222-29-8P 611222-30-1P 611222-31-2P
611222-32-3P 611222-33-4P 611222-34-5P 611222-35-6P 611222-38-9P
611222-39-0P 611222-40-3P 611222-41-4P 611222-43-6P 611222-44-7P
611222-45-8P 611222-47-0P 611222-48-1P 611222-50-5P 611222-52-7P
611222-53-8P 611222-54-9P 611222-55-0P 611222-56-1P 611222-57-2P
611222-58-3P 611222-59-4P 611222-60-7P 611222-61-8P 611222-62-9P
611222-63-0P 611222-64-1P 611222-65-2P 611222-66-3P 611222-67-4P
611222-68-5P 611222-69-6P 611222-70-9P 611222-71-0P 611222-72-1P
611222-73-2P 611222-74-3P 611222-75-4P 611222-76-5P 611222-77-6P
611222-78-7P 611222-79-8P 611222-80-1P 611222-81-2P 611222-82-3P
611222-83-4P 611222-84-5P 611222-85-6P 611222-86-7P 611222-87-8P
611222-88-9P 611222-90-3P 611222-92-5P 611222-94-7P 611222-96-9P
611222-98-1P 611223-00-8P 611223-02-0P 611223-03-1P 611223-04-2P
611223-05-3P 611223-06-4P 611223-07-5P 611223-08-6P 611223-09-7P
611223-10-0P 611223-11-1P 611223-12-2P 611223-13-3P 611223-14-4P
611223-15-5P 611223-16-6P 611223-17-7P 611223-18-8P 611223-19-9P
611223-20-2P 611223-21-3P 611223-22-4P 611223-23-5P 611223-24-6P
611223-25-7P 611223-26-8P 611223-27-9P 611223-28-0P 611223-29-1P
611223-30-4P 611223-31-5P 611223-32-6P 611223-33-7P 611223-34-8P
611223-35-9P 611223-36-0P 611223-37-1P 611223-38-2P 611223-39-3P
611223-40-6P 611223-41-7P 611223-42-8P 611223-43-9P 611223-44-0P
611223-45-1P 611223-47-3P 611223-49-5P 611223-51-9P 611223-53-1P
611223-55-3P 611223-56-4P 611223-57-5P 611223-58-6P 611223-59-7P
611223-60-0P 611223-61-1P 611223-62-2P 611223-63-3P 611223-64-4P
611223-65-5P 611223-66-6P 611223-67-7P 611223-68-8P 611223-69-9P
611223-70-2P 611223-71-3P 611223-72-4P 611223-73-5P 611223-74-6P
611223-75-7P 611223-76-8P 611223-77-9P 611223-78-0P 611223-79-1P
611223-80-4P 611223-81-5P 611223-82-6P 611223-83-7P 611223-84-8P
611223-85-9P 611223-86-0P 611223-87-1P 611223-88-2P 611223-89-3P
611223-90-6P 611223-91-7P 611223-92-8P 611223-93-9P 611223-94-0P
611223-95-1P 611223-96-2P 611223-97-3P 611223-98-4P 611223-99-5P
611224-00-1P 611224-01-2P 611224-02-3P 611224-03-4P 611224-04-5P
611224-05-6P 611224-06-7P 611224-07-8P 611224-08-9P 611224-09-0P
611224-10-3P 611224-11-4P 611224-12-5P 611224-13-6P 611224-14-7P
611224-15-8P 611224-16-9P 611224-17-0P 611224-18-1P 611224-19-2P
611224-20-5P 611224-21-6P 611224-22-7P 611224-23-8P 611224-24-9P
611224-25-0P 611224-26-1P 611224-27-2P 611224-28-3P 611224-29-4P
611224-30-7P 611224-32-9P 611224-34-1P 611224-35-2P 611224-36-3P
611224-37-4P 611224-38-5P 611224-39-6P 611224-40-9P 611224-41-0P
611224-43-2P 611224-44-3P 611224-45-4P 611224-46-5P 611224-47-6P
611224-48-7P 611224-49-8P 611224-50-1P 611224-51-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 611224-52-3P 611224-53-4P 611224-54-5P 611224-55-6P 611224-56-7P
611224-57-8P 611224-58-9P 611224-59-0P 611224-60-3P 611224-61-4P
611224-62-5P 611224-63-6P 611224-64-7P 611224-65-8P 611224-66-9P
611224-67-0P 611224-68-1P 611224-69-2P 611224-70-5P 611224-71-6P
611224-72-7P 611224-74-9P 611224-75-0P 611224-77-2P 611224-78-3P
611224-82-9P 611224-83-0P 611224-84-1P 611224-85-2P 611224-86-3P
611224-87-4P 611224-88-5P 611224-89-6P 611224-90-9P 611224-91-0P
611224-92-1P 611224-93-2P 611224-94-3P 611224-95-4P 611224-96-5P

611224-97-6P 611224-98-7P 611225-02-6P 611225-04-8P 611225-06-0P 611225-07-1P 611225-08-2P 611225-09-3P 611225-10-6P 611225-11-7P 611225-12-8P 611225-13-9P 611225-14-0P 611225-15-1P 611225-16-2P 611225-17-3P 611225-18-4P 611225-19-5P 611225-20-8P 611225-21-9P 611225-22-0P 611225-23-1P 611225-24-2P 611225-25-3P 611225-26-4P 611225-27-5P 611225-28-6P 611225-29-7P 611225-30-0P 611225-31-1P 611225-32-2P 611225-33-3P 611225-34-4P 611225-35-5P 611225-36-6P 611225-37-7P 611225-38-8P 611225-39-9P 611225-40-2P 611225-41-3P 611225-47-9P 611225-48-0P 611225-49-1P 611225-50-4P 611225-51-5P 611225-56-0P 611225-57-1P 710351-26-1P, 4-[[2-[[2-Methoxy-5-(pyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-28-3P, 4-[[2-[[3-Fluoro-2-methoxy-5-(pyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-31-8P, N-Methyl-4-[[1-methyl-2-[[4-(pyridin-4-yl)-1,3-thiazol-2-yl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710351-33-0P 710351-34-1P 710351-35-2P 710351-36-3P 710351-37-4P 710351-38-5P, 4-[[2-[(4-Chlorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-39-6P, 4-[[1-Methyl-2-[(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-40-9P, 4-[[2-[(4-Bromo-2-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-41-0P, 4-[[1-Methyl-2-[[4-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-42-1P, 4-[[2-[(4-Bromo-3-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-43-2P, 4-[[2-[(2,4-Dimethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-44-3P, 4-[[2-[(3,4-Dimethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-45-4P, 4-[[2-[(2,3-Dihydro-1H-inden-5-yl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-47-6P, 4-[[2-[[4-Chloro-3-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-48-7P, 4-[[1-Methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-49-8P, 4-[[2-[(4-Bromo-3-chlorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-50-1P, 4-[[2-[[2-Chloro-4-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-51-2P, 4-[[1-Methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-52-3P, 4-[[2-[[4-Bromo-2-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-53-4P, 4-[[2-[(3-Ethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-54-5P, 4-[[2-[(3-Methoxyphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-55-6P, 4-[[1-Methyl-2-[[3-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-56-7P, 4-[[2-[(4-Ethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-57-8P, 4-[[1-Methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-58-9P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-59-0P, 4-[[2-[(4-Bromo-3-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-60-3P, 4-[[2-[(3,4-Dichlorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-61-4P, 4-[[2-[(3-Isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-62-5P, 4-[[2-[(3-Isopropoxyphenyl)amino]-1-methyl-1H-benzimidazol-5-

yl]oxy]pyridine-2-carboxaldehyde oxime 710351-63-6P, 4-[[1-Methyl-2-[(3-phenoxyphenyl)amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxaldehyde oxime 710351-64-7P, N-(3-tert-Butylphenyl)-5-[[2-(1H-imidazol-2-yl)pyridin-4-yl]oxy]-1-methyl-1H-benzimidazol-2-amine 710351-67-0P, 5-[[2-(1H-Imidazol-2-yl)pyridin-4-yl]oxy]-N-(3-isopropylphenyl)-1-methyl-1H-benzimidazol-2-amine 710351-68-1P, 5-[[2-(1H-Imidazol-2-yl)pyridin-4-yl]oxy]-1-methyl-N-[4-[(trifluoromethyl)thio]phenyl]-1H-benzimidazol-2-amine 710351-69-2P, N-Methyl-4-[[1-methyl-2-[[4-methyl-3-(pyrrolidin-1-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710351-73-8P, 4-[[2-[[4-Chloro-3-(2-oxopyrrolidin-1-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-76-1P, 4-[[2-[[4-Chloro-3-(2-oxopiperidin-1-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-77-2P, 4-[[2-[(4-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710351-80-7P, N-Methyl-4-[[1-methyl-2-[[3-[2-(pyrrolidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710351-90-9P, 4-[[2-[[4-Fluoro-3-(2-fluoropyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-91-0P, 4-[[2-[[4-Fluoro-3-(6-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-92-1P, 4-[[2-[[4-Fluoro-3-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-93-2P, 4-[[2-[[4-Chloro-3-(5-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-94-3P, 4-[[2-[[4-Chloro-3-(2,6-dimethylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-95-4P, 4-[[2-[[4-Chloro-3-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-96-5P, 4-[[2-[[4-Chloro-3-(2-methylpyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-97-6P, 4-[[2-[[3-Fluoro-2-methoxy-5-(5-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-98-7P, 4-[[2-[[3-Fluoro-2-methoxy-5-(6-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-99-8P, 4-[[2-[[3-Fluoro-2-methoxy-5-[6-(1H-pyrrol-1-yl)pyridin-3-yl]phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-00-4P, 4-[[2-[[3-Fluoro-2-methoxy-5-(2-methylpyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-02-6P, 4-[[2-[[5-(2,6-Dimethylpyridin-3-yl)-3-fluoro-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-04-8P, 4-[[2-[[5-(2-Chloropyridin-3-yl)-3-fluoro-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-06-0P, 4-[[2-[[3-Fluoro-5-(2-fluoropyridin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-08-2P, 4-[[2-[[3-Fluoro-2-methoxy-5-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-09-3P, 4-[[2-[[3-Fluoro-5-(6-fluoropyridin-3-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-10-6P, N-Methyl-4-[[1-methyl-2-[[4-(pyridin-2-yl)-1,3-thiazol-2-yl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-11-7P, 4-[[2-[[2-Methoxy-5-(quinolin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-12-8P, 4-[[2-[[2-Methoxy-5-(6-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-13-9P, 4-[[2-[[5-(2,6-Dimethylpyridin-3-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-14-0P, 4-[[2-[[5-(3-Fluoropyridin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-15-1P,

4-[[2-[[5-(2-Fluoropyridin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-16-2P, 4-[[2-[[5-(Isoquinolin-4-yl)-2-methoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-17-3P, 4-[[2-[[4-Chloro-3-(pyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-18-4P, 4-[[2-[[4-Chloro-3-(pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-19-5P, 4-[[2-[[4-Chloro-3-(2-fluoropyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-20-8P, 4-[[2-[[4-Chloro-3-(6-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-21-9P, N-Methoxy-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-22-0P, 4-[[2-[[3-Bromo-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-23-1P, 4-[[2-[[3-(6-Fluoropyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-24-2P, N-Methyl-4-[[1-methyl-2-[[3-(pyridin-4-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-25-3P, 4-[[2-[[3-(6-Methoxypyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-26-4P, N-Methyl-4-[[1-methyl-2-[[3-(2-methylpyridin-4-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-27-5P, 4-[[2-[[3-(Isoquinolin-4-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-28-6P, 4-[[2-[[4-Chloro-3-(thien-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710352-29-7P, N-Methyl-4-[[1-methyl-2-[[3-(quinolin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-30-0P, N-Methyl-4-[[1-methyl-2-[[3-(4-methylpyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-31-1P, 4-[[2-[[3-(5-Methoxypyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-32-2P, N-Methyl-4-[[1-methyl-2-[[3-[5-(1H-pyrrol-1-yl)pyridin-3-yl]-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-33-3P, 4-[[2-[[3-(2,6-Dimethylpyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-34-4P, N-Methyl-4-[[1-methyl-2-[[3-(5-methyl-2-furyl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-35-5P, N-Methyl-4-[[1-methyl-2-[[3-(thien-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-36-6P, N-Methyl-4-[[1-methyl-2-[[3-(thien-2-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-37-7P, N-Methyl-4-[[1-methyl-2-[[3-(pyridin-3-yl)-4-(2,2,2-trifluoroethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-38-8P, N-Methoxy-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-39-9P, N-Methoxy-4-[[1-methyl-2-[[3-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-40-2P, N-Methoxy-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-41-3P, N-(Allyloxy)-4-[[1-methyl-2-[[3-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-42-4P, N-(Allyloxy)-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-43-5P, N-(Allyloxy)-4-[[1-methyl-2-[[3-

[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-44-6P, N-(Allyloxy)-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-45-7P, N-Ethoxy-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-46-8P, N-Ethoxy-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-47-9P, N-Ethoxy-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-48-0P, N-(tert-Butoxy)-4-[[1-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-49-1P, N-(tert-Butoxy)-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-50-4P, N-(tert-Butoxy)-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-51-5P, N-(Benzyloxy)-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-52-6P, N-(Benzyloxy)-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-53-7P, 4-[[1-Methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-phenoxypyridine-2-carboxamide 710352-54-8P, 4-[[1-Methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-phenoxypyridine-2-carboxamide 710352-55-9P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-56-0P, 4-[[2-[(3-Cyclopentylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-57-1P, N-Methoxy-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-58-2P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-59-3P, 4-[[2-[[3-(2,2-Dichloro-1-methylcyclopropyl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-60-6P, 1-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-61-7P, 4-[[2-[(3-sec-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-62-8P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-63-9P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-64-0P, 4-[[2-[(4-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-65-1P, 4-[[2-[[2-Fluoro-5-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-66-2P, 4-[[2-[(4-Bromophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methoxypyridine-2-carboxamide 710352-67-3P, N-Ethoxy-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-68-4P, N-(Allyloxy)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-69-5P, N-(tert-Butoxy)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-70-8P, 4-[[1-Methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-phenoxypyridine-2-carboxamide 710352-71-9P, N-(Benzyloxy)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-72-0P, N-Isobutoxy-4-[[1-methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-73-1P, N-Isobutoxy-4-[[1-methyl-2-[[4-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-74-2P, 4-[[2-[[4-Isopropoxy-3-(pyridin-4-

yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-75-3P, 4-[[2-[[4-Isopropoxy-3-(pyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-76-4P, 4-[[2-[[3-(6-Fluoropyridin-3-yl)-4-isopropoxyphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-77-5P, 4-[[2-[[4-Isopropoxy-3-(quinolin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-78-6P, 4-[[2-[[4-Isopropoxy-3-(thien-2-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-79-7P, 4-[[2-[[4-Isopropoxy-3-(thien-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-80-0P, 4-[[2-[[4-Isopropoxy-3-(4-methylpyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-81-1P, 4-[[2-[[4-Isopropoxy-3-(5-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-82-2P, 4-[[2-[[4-Isopropoxy-3-(6-methoxypyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-83-3P, 4-[[2-[(3-Cyclopentyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide 710352-84-4P, 4-[[2-[(3-Cyclopentyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(methylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710352-85-5P, 4-[[2-[(3-Cyclopentyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-86-6P, 4-[[2-[(3-Cyclopentyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-87-7P, 4-[[2-[(3-Cyclopentyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710352-88-8P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide 710352-89-9P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710352-90-2P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-91-3P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710352-92-4P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide 710352-93-5P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(methylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710352-94-6P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]ethyl]pyridine-2-carboxamide 710352-95-7P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylpiperidin-4-yl)pyridine-2-carboxamide 710352-96-8P, 4-[[2-[(3-Cyclopentyl-4-fluorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-97-9P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710352-98-0P, N-(1-Isopropylazetidin-3-yl)-4-[[2-[(3-isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710352-99-1P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 710353-00-7P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-01-8P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-

benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide 710353-02-9P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(morpholin-4-yl)ethyl]pyridine-2-carboxamide 710353-03-0P, N-[2-(Acetylamino)ethyl]-4-[[2-[(3-isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-04-1P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710353-05-2P, N-(2-Hydroxyethyl)-4-[[2-[(3-isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-06-3P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide 710353-07-4P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide 710353-08-5P, N-[3-(1H-Imidazol-1-yl)propyl]-4-[[2-[(3-isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-09-6P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-10-9P, 4-[[2-[(3-Isopropyl-4-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-11-0P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-12-1P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710353-14-3P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide 710353-16-5P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-18-7P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide 710353-19-8P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(morpholin-4-yl)ethyl]pyridine-2-carboxamide 710353-20-1P, N-[2-(Acetylamino)ethyl]-4-[[2-[(4-ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-21-2P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710353-23-4P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide 710353-24-5P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide 710353-25-6P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide 710353-26-7P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(1H-imidazol-1-yl)propyl]pyridine-2-carboxamide 710353-27-8P, 4-[[2-[(4-Ethyl-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-28-9P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-29-0P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylpiperidin-4-yl)pyridine-2-carboxamide 710353-30-3P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710353-31-4P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide 710353-32-5P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-33-6P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide 710353-34-7P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-

yl]oxy]-N-[2-(morpholin-4-yl)ethyl]pyridine-2-carboxamide 710353-35-8P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-[(isopropylsulfonyl)amino]ethyl]pyridine-2-carboxamide 710353-36-9P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-hydroxyethyl)pyridine-2-carboxamide 710353-37-0P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide 710353-38-1P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide 710353-39-2P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(1H-imidazol-1-yl)propyl]pyridine-2-carboxamide 710353-40-5P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-41-6P, 4-[[2-[(4-Fluoro-3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-42-7P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-43-8P, N-(1-Isopropylazetidin-3-yl)-4-[[2-[[3-isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-44-9P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide 710353-45-0P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-46-1P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(4-methylpiperazin-1-yl)ethyl]pyridine-2-carboxamide 710353-47-2P, N-[2-(Acetylamino)ethyl]-4-[[2-[[3-isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-48-3P, N-[2-[(Isopropylsulfonyl)amino]ethyl]-4-[[2-[[3-isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-49-4P, N-(2-Hydroxyethyl)-4-[[2-[[3-isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-50-7P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(2-methoxyethyl)pyridine-2-carboxamide 710353-51-8P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[3-(4-methylpiperazin-1-yl)propyl]pyridine-2-carboxamide 710353-52-9P, 4-[[2-[[3-Isopropyl-4-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2-oxoimidazolidin-1-yl)ethyl]pyridine-2-carboxamide 710353-53-0P, 4-[[2-[[3-(2-Methoxypyridin-4-yl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-54-1P, 4-[[2-[(3-Isopropylphenyl)amino]-1,3-benzoxazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-55-2P, N-Methyl-4-[[2-[[4-methyl-3-(pyridin-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-56-3P, 4-[[6-Methoxy-1-methyl-2-[[4-methyl-3-(pyridin-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-57-4P, 4-[[2-[[3-[2-(Ethylamino)pyridin-4-yl]-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-58-5P, 4-[[2-[[3-(2-Fluoropyridin-3-yl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-59-6P, 4-[[2-[[3-(2-Fluoropyridin-3-yl)-4-methylphenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-60-9P, 4-[[2-[[3-(2-Fluoropyridin-3-yl)-4-methylphenyl]amino]-6-methoxy-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-61-0P, N-Methyl-4-[[2-[[3-[2-(pyrrolidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-62-1P, 4-[[6-Methoxy-1-methyl-2-[[3-[2-(pyrrolidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-63-2P, N-Methyl-4-[[2-[[3-[2-

(morpholin-4-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-64-3P, 4-[[6-Methoxy-1-methyl-2-[[3-[2-(morpholin-4-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-65-4P, N-Methyl-4-[[2-[[3-[2-(piperidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-66-5P, N-Methyl-4-[[1-methyl-2-[[3-[2-(piperidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-67-6P, 4-[[6-Methoxy-1-methyl-2-[[3-[2-(piperidin-1-yl)ethyl]phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-68-7P, N-(1-Isopropylazetidin-3-yl)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-69-8P, N-(1-Methylpiperidin-4-yl)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-71-2P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-73-4P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-methylpiperidin-4-yl)pyridine-2-carboxamide 710353-75-6P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2,6-dimethylpiperidin-1-yl)ethyl]pyridine-2-carboxamide 710353-77-8P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylpiperidin-4-yl)pyridine-2-carboxamide 710353-78-9P, N-(1-Isopropylpiperidin-4-yl)-4-[[1-methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-80-3P, 4-[[2-[[4-Fluoro-3-(pyrrolidin-1-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-82-5P, N-Methyl-4-[[1-methyl-2-[[3-(1-methyl-1H-pyrazol-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-84-7P, 4-[[2-[(3-Bromo-4-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-85-8P, N-Methyl-4-[[1-methyl-2-[[3-(1H-pyrazol-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-86-9P, 4-[[2-[(4-Bromo-3-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-87-0P, 4-[[2-[(4-Bromophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2,6-dimethylpiperidin-1-yl)ethyl]pyridine-2-carboxamide 710353-88-1P, N-(1-Methylpiperidin-4-yl)-4-[[1-methyl-2-[[4-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-pyridine-2-carboxamide 710353-89-2P, N-(1-Isopropylpiperidin-4-yl)-4-[[1-methyl-2-[[4-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-pyridine-2-carboxamide 710353-90-5P, N-(1-Isopropylazetidin-3-yl)-4-[[1-methyl-2-[[4-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-91-6P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[1-methyl-2-[[4-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-92-7P, 4-[[1-Methyl-2-[[4-(trifluoromethyl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-93-8P, 4-[[2-[(3-Isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-94-9P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[2-[[3-(2-fluoropyridin-4-yl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-95-0P, 4-[[2-[[3-(2-Fluoropyridin-4-yl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-96-1P, 4-[[2-[[4-Chloro-3-(2-fluoropyridin-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-97-2P, N-Methyl-4-[[1-methyl-2-[[3-(pyridin-3-yl)-4-(trifluoromethoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710353-98-3P, 4-[[2-[[3-(Acetylamino)-4-chlorophenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710353-99-4P, 4-[[2-[(4-Bromo-3-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-isopropylazetidin-3-yl)pyridine-2-carboxamide 710354-01-1P, 4-[[2-[(4-Bromo-3-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-(1-methylpiperidin-4-yl)pyridine-2-carboxamide

710354-02-2P, 4-[[2-[(4-Bromo-3-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-[2-(2,6-dimethylpiperidin-1-yl)ethyl]pyridine-2-carboxamide 710354-03-3P, 4-[[2-[(3-tert-Butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-04-4P, 4-[[2-[[2-Fluoro-5-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-05-5P, 4-[[2-[[2-Chloro-5-(trifluoromethyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-06-6P, 4-[[2-[(4-Ethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-07-7P, 4-[[1-Methyl-2-[[3-[(trifluoromethyl)thio]phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-08-8P, 4-[[2-[(4-Isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-09-9P, 4-[[2-[(4-Isopropyl-3-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-10-2P, 4-[[2-[(4-Isopropyl-3-methylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-11-3P, 4-[[2-[(3-tert-Butyl-4-chlorophenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-12-4P, 4-[[2-[(4-Bromo-3-tert-butylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-13-5P, 4-[[1-Ethyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-14-6P, 4-[[1-Ethyl-2-[[3-(2-fluoropyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-15-7P, 4-[[1-Ethyl-2-[[3-(2-methoxypyridin-4-yl)-4-methylphenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-16-8P, 4-[[2-[[4-Chloro-3-(pyridin-4-yl)phenyl]amino]-1-ethyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-17-9P, 4-[[1-Methyl-2-[[3-(pyridin-4-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-18-0P, 4-[[2-[[4-Chloro-3-(pyridin-4-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-19-1P, 4-[[2-[[4-Chloro-3-(thien-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-20-4P, 4-[[2-[[4-Chloro-3-(thien-2-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-21-5P, 4-[[1-Methyl-2-[[4-methyl-3-(thien-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]-N-(1-methylpiperidin-4-yl)pyridine-2-carboxamide 710354-22-6P, N-[2-(2,6-Dimethylpiperidin-1-yl)ethyl]-4-[[1-methyl-2-[[4-methyl-3-(thien-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-23-7P, 4-[[1-Methyl-2-[[4-methyl-3-(pyridin-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-24-8P, 4-[[2-[[4-Chloro-3-(thien-2-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-25-9P, 4-[[2-[[3-(2-Methoxypyridin-4-yl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-26-0P, 4-[[1-Methyl-2-[[4-methyl-3-(thien-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-27-1P, 4-[[2-[[4-Bromo-2-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-28-2P, 4-[[2-[(3-Ethylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-29-3P, N-1H-Imidazol-2-yl-4-[[2-[(3-isopropylphenyl)amino]-1-methyl-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-30-6P, 4-[[2-[[4-Chloro-2-(trifluoromethoxy)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-31-7P, 4-[[2-[[3-(3-Furyl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-32-8P, N-Methyl-4-[[1-methyl-2-[[4-methyl-3-(tetrahydrofuran-3-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-33-9P, N-Methyl-4-[[1-methyl-2-[[4-methyl-3-(tetrahydrofuran-2-yl)phenyl]amino]-1H-benzimidazol-5-yl]oxy]pyridine-2-carboxamide 710354-34-0P, 4-[[2-[[3-(2-Furyl)-4-methylphenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide

710354-35-1P, 4-[[2-[[4-Chloro-3-(3-furyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-36-2P, 4-[[2-[[4-Fluoro-3-(3-furyl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-37-3P, 4-[[2-[[4-Fluoro-3-(tetrahydrofuran-3-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710354-38-4P, 4-[[2-[[4-Fluoro-3-(tetrahydrofuran-2-yl)phenyl]amino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 656-64-4P 823-54-1P 3530-00-5P, 3-Phenoxyphenylisothiocyanate 6358-77-6P 7748-59-6P 7748-60-9P 20734-76-3P 23491-48-7P 49559-34-4P 49559-83-3P 54998-08-2P 79110-05-7P 106146-35-4P 114780-06-2P 115619-00-6P 115619-01-7P 120381-42-2P 127142-66-9P, (4-Chloro-3-nitrophenyl)isothiocyanate 129488-00-2P 191602-42-3P 191602-43-4P, (3-Bromo-4-isopropoxyphenyl)amine 210158-20-6P 211635-75-5P 214337-39-0P 219552-64-4P 220000-86-2P 239122-51-1P 262368-47-8P 284462-57-3P 284462-58-4P 401815-98-3P 402948-23-6P 402948-25-8P 402948-26-9P 414880-35-6P 483324-01-2P 485841-45-0P 485841-46-1P 485841-47-2P 485841-49-4P 597545-16-9P 611225-42-4P 611225-43-5P 611225-44-6P 611225-45-7P 611225-46-8P 611225-52-6P 611225-53-7P 611225-54-8P 611225-58-2P 611225-59-3P 611225-60-6P 611225-61-7P 611225-62-8P 611225-63-9P 611225-64-0P 611225-65-1P 611225-66-2P 611225-67-3P 611225-68-4P 611225-69-5P 611225-70-8P 611225-71-9P 611225-72-0P 611225-73-1P 611225-74-2P 611225-75-3P 611225-76-4P 611225-77-5P 611225-78-6P 611225-79-7P 611225-80-0P 611225-81-1P 611225-82-2P 611225-83-3P 611225-84-4P 611225-85-5P 611225-86-6P 611225-87-7P 611225-88-8P 611225-89-9P 611225-90-2P 611225-91-3P 611225-92-4P 611225-93-5P 611225-94-6P 611225-95-7P 611225-96-8P 611225-97-9P 611225-98-0P 611225-99-1P 611226-00-7P 611226-01-8P 611226-02-9P 611226-03-0P 611226-04-1P 611226-05-2P 611226-06-3P 611226-07-4P 611226-08-5P 611226-09-6P 611226-11-0P 611226-12-1P 611226-13-2P 611226-14-3P 611226-15-4P 611226-17-6P 611226-18-7P 611226-19-8P 611226-20-1P 611226-21-2P 611226-22-3P 611226-23-4P 611226-24-5P 611226-25-6P 611226-26-7P 611226-27-8P 611226-28-9P 611226-29-0P 611226-30-3P 611226-31-4P 611226-32-5P 611226-33-6P 611226-34-7P 710351-24-9P 710351-27-2P 710351-29-4P 710351-30-7P 710351-32-9P 710351-65-8P 710351-66-9P 710351-70-5P, 1-(2-Methyl-5-nitrophenyl)pyrrolidine 710351-71-6P, [4-Methyl-3-(pyrrolidin-1-yl)phenyl]amine 710351-72-7P, [4-Methyl-3-(pyrrolidin-1-yl)phenyl]isothiocyanate 710351-74-9P, 4-[[2-[(4-Chloro-3-nitrophenyl)amino]-1-methylbenzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-75-0P, 4-[[2-[(3-Amino-4-chlorophenyl)amino]-1-methylbenzimidazol-5-yl]oxy]-N-methylpyridine-2-carboxamide 710351-78-3P, 4-[4-(Methylamino)-3-nitrophenoxy]pyridine-2-carboxamide 710351-79-4P, 4-[3-Amino-4-(methylamino)phenoxy]pyridine-2-carboxamide 710351-81-8P, 1-[2-(3-Nitrophenyl)ethyl]pyrrolidine 710351-82-9P, [3-[2-(Pyrrolidin-1-yl)ethyl]phenyl]amine 710351-83-0P, [3-[2-(Pyrrolidin-1-yl)ethyl]phenyl]isothiocyanate 710351-84-1P, [3-Bromo-4-(2,2,2-trifluoroethoxy)phenyl]amine 710351-85-2P, 2-Bromo-4-nitro-1-(2,2,2-trifluoroethoxy)benzene 710351-86-3P, 3-Isopropyl-4-fluoroaniline 710351-87-4P, 4-Methyl-3-(3-furyl)nitrobenzene 710351-88-5P, 4-Methyl-3-(3-furyl)aniline 710351-89-6P, 4-Methyl-3-(tetrahydrofuran-3-yl)aniline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted benzazoles as Raf kinase

inhibitors for treatment of cancer)

IT 139691-76-2, Raf kinase 146702-84-3, MEK kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

IT 62-53-3, Aniline, reactions 95-54-5, o-Phenylenediamine, reactions 95-64-7, 3,4-Dimethylaniline 98-16-8, 3-Trifluoromethylaniline 99-55-8, (2-Methyl-5-nitrophenyl)amine 99-56-9, 4-Nitrobenzene-1,2-diamine 100-14-1, 4-(Chloromethyl)-1-nitrobenzene 100-19-6, 1-(4-Nitrophenyl)ethan-1-one 100-46-9, Phenylmethylamine, reactions 103-72-0, Phenyl isothiocyanate 106-40-1, 4-Bromoaniline 106-49-0, 4-Methylaniline, reactions 108-42-9, 3-Chloroaniline 109-01-3, N-Methylpiperazine 109-64-8, 1,3-Dibromopropane 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 140-89-6 274-09-9, 2H-Benzo[d]1,3-dioxolane 350-46-9, 4-Fluoro-1-nitrobenzene 445-27-2, 2'-Fluoroacetophenone 446-35-5, 2,4-Difluoronitrobenzene 533-98-2, 1,2-Dibromobutane 587-02-0, 3-Ethylaniline 610-81-1, 4-Amino-3-nitrophenol 614-69-7 622-59-3, 4-Methylphenyl isothiocyanate 622-79-7, Benzylazide 626-01-7, 3-Iodoaniline 635-22-3, (4-Chloro-3-nitrophenyl)amine 701-45-1 876-08-4, 4-(Chloromethyl)benzoyl chloride 1122-91-4, 4-Bromobenzaldehyde 1535-73-5, 3-Trifluoromethoxyaniline 1544-68-9, 4-Fluorophenyl isothiocyanate 1568-70-3, 4-Methoxy-2-nitrophenol 1645-65-4, 4-Trifluoromethylphenylisothiocyanate 1692-15-5, 4-Pyridineboronic acid 1692-25-7, Pyridine-3-boronic acid 1840-19-3, 3-Trifluoromethylphenylisothiocyanate 1878-68-8, 4-Bromophenylacetic acid 1939-99-7, α-Toluenesulfonyl chloride 1985-12-2, 4-Bromophenyl isothiocyanate 2131-55-7, 4-Chlorophenyl isothiocyanate 2131-59-1, 3-Bromophenyl isothiocyanate 2131-62-6, 4-Isothiocyanatobenzoic acid 2131-63-7, 3-Isothiocyanatobenzoic acid 2131-64-8, 4-(Dimethylamino)phenyl isothiocyanate 2243-47-2, 3-Biphenylamine 2369-11-1, 5-Fluoro-2-nitrophenylamine 3125-64-2, 3-Methoxyphenylisothiocyanate 3125-73-3, 3-Iodophenyl isothiocyanate 3586-12-7, 3-Phenoxyaniline 3694-46-0 3934-20-1, 2,4-Dichloropyrimidine 4509-90-4 4635-59-0, 4-Chlorobutanoyl chloride 4769-96-4, 6-Nitroindole 5308-25-8, N-Ethylpiperazine 5339-26-4, 4-(2-Bromoethyl)-1-nitrobenzene 5369-16-4, 3-Isopropylaniline 5369-19-7, 3-tert-Butylaniline 5807-09-0, 4-Morpholinebutanoic acid 6590-94-9, 3,4-Dichlorophenylisothiocyanate 7154-73-6, 2-Pyrrolidinoethylamine 7663-77-6 7745-93-9, 2-Bromo-1-methyl-4-nitrobenzene 14703-88-9, 4-(Methylamino)-3-nitrophenol 15863-41-9, 4-Methylthiophenyl isothiocyanate 15863-56-6 16588-26-4, 1-Bromo-2-chloro-5-nitrobenzene 18856-63-8, 4-Ethylphenyl isothiocyanate 19235-89-3, 4-Chloro-2-cyanopyridine 19241-17-9, 3,4-Dimethylphenylisothiocyanate 19241-20-4, 3-Ethylphenyl isothiocyanate 19241-24-8, 4-tert-Butylphenylisothiocyanate 20515-62-2, 2,2-Dimethyloxazolidine 21043-40-3, N-Cyclopentylpiperazine 22282-70-8 22526-09-6 23159-07-1, 1-Pyrrolidinepropanamine 23163-86-2, 4-Chloro-3-trifluoromethylphenyl isothiocyanate 25343-70-8 27578-60-5, 2-Piperidinoethylamine 30235-28-0 30766-22-4, Methyl 5-hydroxypyridine-3-carboxylate 32118-33-5, 4-Bromo-3-chlorophenylisothiocyanate 33696-00-3 39842-01-8, 2,4-Dimethylphenylisothiocyanate 42729-26-0 52022-77-2, 2-(3-Nitrophenyl)ethan-1-ol 52024-17-6 53750-66-6, 4-Chloropyridine-2-carbonyl chloride 55552-70-0, 3-Furylboronic acid 55690-60-3, 5-Methoxy-2-mercaptobenzothiazole 64285-95-6, 4-Trifluoromethoxyphenylisothiocyanate 71672-88-3, 4-Bromo-3-methylphenyl isothiocyanate 74266-66-3 81171-71-3, 4-Bromo-2-fluorophenylisothiocyanate 98041-44-2 101856-90-0 128071-98-7, 4-Bromo-2-fluoropyridine 141184-31-8 175205-38-6,

2-Chloro-4-trifluoromethylphenylisothiocyanate 189281-95-6
205064-32-0, 3-Isopropoxyphenylisothiocyanate 207974-17-2,
2,6-Difluorophenyl isothiocyanate 211693-73-1, 5,6-Difluoro-2-
nitroaniline 220000-87-3 238742-91-1 244022-67-1 302912-40-9
362690-56-0 458532-98-4, 3-Chloro-4-pyridineboronic acid 611226-35-8
611226-36-9 611226-37-0 611226-39-2 611226-42-7 611226-43-8
611226-44-9 611226-45-0 611226-46-1 611226-50-7 611226-52-9
710351-46-5, 5-Indanylphenylisothiocyanate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted benzazoles as Raf kinase inhibitors for
treatment of cancer)

IT 50-18-0, Cyclophosphamide 51-21-8, 5-Fluorouracil 58-05-9, Leucovorin
15663-27-1, Cisplatin 41575-94-4, Carboplatin 95058-81-4, Gemcitabine
97682-44-5, Irinotecan 123948-87-8, Topotecan 130306-02-4,
Tezacitabine 152459-95-5, Imatinib 174722-31-7, Rituximab
180288-69-1, Trastuzumab
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of substituted benzazoles as Raf kinase inhibitors for use in
combination with other antitumor agents)

=> d que l2
L2 2 SEA FILE=WPIX ABB=ON PLU=ON US2003-675927/APPS

=> d iall code l2 1-2
YOU HAVE REQUESTED DATA FROM FILE 'WPIX' - CONTINUE? (Y)/N:y

L2 ANSWER 1 OF 2 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
ACCESSION NUMBER: 2005-296040 [30] WPIX
CROSS REFERENCE: 2003-833520
DOC. NO. CPI: C2005-091531 [30]
TITLE: New substituted benzazole compounds are Raf kinase inhibitors useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer
DERWENT CLASS: B02
INVENTOR: AMIRI P; FANTL W; LEVINE B H; POON D J; RAMURTHY S; RENHOWE P A; SUBRAMANIAN S; SUNG L; AMIRI P C C; FANTL W C C; LEVINE B H C C; POON D J C C; RAMURTHY S C C; RENHOWE P A C C; SUBRAMANIAN S C C; SUNG L C C
PATENT ASSIGNEE: (CHIR-C) CHIRON CORP
COUNTRY COUNT: 107

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2005032548	A1	20050414	(200530)*	EN	326[0]	
EP 1675584	A1	20060705	(200644)	EN		
BR 2004014908	A	20061107	(200674)	PT		
AU 2004277405	A1	20050414	(200677)	EN		
MX 2006003435	A1	20060701	(200677)	ES		
KR 2006089232	A	20060808	(200705)	KO		
JP 2007507428	W	20070329	(200725)	JA	308	
IN 2006KN00838	P2	20070413	(200735)	EN		
CN 1913884	A	20070214	(200746)	ZH		
ZA 2006003418	A	20070725	(200758)	EN	329	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005032548 A1		WO 2004-US32161	20040929
AU 2004277405 A1		AU 2004-277405	20040929
BR 2004014908 A		BR 2004-14908	20040929
CN 1913884 A		CN 2004-80032677	20040929
EP 1675584 A1		EP 2004-789345	20040929
EP 1675584 A1		WO 2004-US32161	20040929
BR 2004014908 A		WO 2004-US32161	20040929
MX 2006003435 A1		WO 2004-US32161	20040929
KR 2006089232 A		WO 2004-US32161	20040929
JP 2007507428 W		WO 2004-US32161	20040929
IN 2006KN00838 P2		WO 2004-US32161	20040929
JP 2007507428 W		JP 2006-528331	20040929
MX 2006003435 A1		MX 2006-3435	20060327
KR 2006089232 A		KR 2006-706470	20060403
IN 2006KN00838 P2		IN 2006-KN838	20060405
ZA 2006003418 A		ZA 2006-3418	20060428

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
EP 1675584	A1	Based on	WO 2005032548	A
BR 2004014908	A	Based on	WO 2005032548	A
AU 2004277405	A1	Based on	WO 2005032548	A
MX 2006003435	A1	Based on	WO 2005032548	A
KR 2006089232	A	Based on	WO 2005032548	A
JP 2007507428	W	Based on	WO 2005032548	A

PRIORITY APPLN. INFO: US 2003-675927 20030929

INT. PATENT CLASSIF.:

MAIN: A61K031-41; C07D401-12; A61K

SECONDARY: A61P; A61P035-00; C07D; C07D401-14; C07D405-14; C07D407-14; C07D409-14; C07D413-12; C07D413-14; C07D417-12; C07D417-14; C07D471-08

IPC ORIGINAL: A61K0031-41 [I,A]; A61K0031-41 [I,A]; A61K0031-41 [I,C]; A61K0031-41 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-4709 [I,A]; A61K0031-4709 [I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-506 [I,A]; A61K0031-506 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C]; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0045-00 [I,A]; A61K0045-00 [I,C]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0035-02 [I,A]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0405-14 [I,A]; C07D0407-00 [I,C]; C07D0407-00 [I,C]; C07D0407-14 [I,A]; C07D0407-14 [I,A]; C07D0409-00 [I,C]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-12 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0413-14 [I,A]; C07D0413-14

[I,A]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0471-08 [I,A]

IPC RECLASSIF.: A61P0035-00 [I,A]; A61P0035-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0453-00 [I,C]; C07D0453-02 [I,A]

ECLA: C07D0401-12+235C+213; C07D0401-14+235C+213+207; C07D0401-14+235C+213+209C; C07D0401-14+235C+217+213; C07D0401-14+235C+231+213; C07D0401-14+235C+233+213; C07D0405-14+307B+235C+213; C07D0405-14+319+235C+213; C07D0409-14+317+235C+213; C07D0409-14+333B+235C+213; C07D0413-14+261+235C+213; C07D0413-14+263B+235C+213; C07D0417-12+277+213; C07D0453-02

BASIC ABSTRACT:

WO 2005032548 A1 UPAB: 20051222

NOVELTY - Substituted benzazole compounds (I) and their salts, esters or prodrugs are new.

DETAILED DESCRIPTION - Substituted benzazole compounds of formula (I) and their salts, esters or prodrugs are new.

X1, X2 = N, NR4, O or S (provided that if X1 is -NR4-, O or S, then X2 is -NR4, O or S then X2 is N, and both X1 and X2 are not N);

Y = O or S;

A1 = optionally substituted alkyl, (hetero)cycloalkyl, polycyclic aryl, polycyclic arylalkyl, (hetero)aryl, biaryl, heteroarylaryl, heteroarylheteroaryl, (hetero)cycloalkylalkyl, (hetero)arylalkyl, biarylalkyl or heteroarylarylalkyl;

A2 = optionally substituted heteroaryl; either

R1 = O or H; and

R2 = NR5R6 or OH; or

R1+R2 = optionally substituted with heterocycloalkyl or heteroaryl;

dashed line = single or double bond;

R3 = H, halo, lower alkyl or lower alkoxy;

R4 = H, OH, (di)alkylamino or alkyl; either

R5, R6 = H or optionally substituted alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, (hetero)cycloalkyl, (hetero)aryl, alkyloxyalkylheterocyclo or heteroarylalkyl; or

NR5R6 = optionally substituted heterocyclo or heteroaryl; and

R7 = H or lower alkyl.

An INDEPENDENT CLAIM is also included for the composition comprising (I).

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Raf serine/threonine kinase inhibitor. (I) were tested for Raf serine/threonine kinase inhibitory activity in biotinylated Raf screen assay. The median inhibitory concentration of 4-((2-((4-chloro-3-trifluoromethyphenyl)amino)-1H-benzimidazol-6-yl)oxy)- N-methylpyridine-2-carboxamide (Ia) was less than 5 microM.

USE - (I) are useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer (claimed).

ADVANTAGE - (I) has great efficacy in inhibiting tumor cell proliferation.

MANUAL CODE: CPI: B02-A; B04-A07A; B04-B03D; B04-G01A; B04-G21; B05-A03B; B05-B01J; B05-C01; B05-C07; B06-H; B07-D04C; B07-D11; B07-D12; B10-C02; B14-D06C; B14-H01D1; B14-H01F4

AN 2005-296040 [30] WPIX

DC B02

IC ICM A61K031-41; C07D401-12; A61K

```
     ICS A61P; A61P035-00; C07D; C07D401-14; C07D405-14; C07D407-14;
         C07D409-14; C07D413-12; C07D413-14; C07D417-12; C07D417-14; C07D471-08
IPCI A61K0031-41 [I,A]; A61K0031-41 [I,A]; A61K0031-41 [I,C]; A61K0031-41
     [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-444 [I,A];
     A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-4709 [I,A];
     A61K0031-4709 [I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496
     [I,A]; A61K0031-496 [I,C]; A61K0031-506 [I,A]; A61K0031-506 [I,C];
     A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55
     [I,C]; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0045-00 [I,A];
     A61K0045-00 [I,C]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0035-02
     [I,A]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; C07D0401-00 [I,C];
     C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-12
     [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0401-14 [I,A];
     C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-12
     [I,A]; C07D0405-14 [I,A]; C07D0405-14 [I,A]; C07D0407-00 [I,C];
     C07D0407-00 [I,C]; C07D0407-14 [I,A]; C07D0407-14 [I,A]; C07D0409-00
     [I,C]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0409-14 [I,A];
     C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-12
     [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0413-14 [I,A];
     C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-00
     [I,C]; C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-12 [I,A];
     C07D0417-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0471-08 [I,A]
IPCR A61P0035-00 [I,A]; A61P0035-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12
     [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A];
     C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00
     [I,C]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-12 [I,A];
     C07D0417-14 [I,A]; C07D0453-00 [I,C]; C07D0453-02 [I,A]
EPC  C07D0401-12+235C+213; C07D0401-14+235C+213+207; C07D0401-14+235C+213+209C;
     C07D0401-14+235C+217+213; C07D0401-14+235C+231+213; C07D0401-
     14+235C+233+213; C07D0405-14+307B+235C+213; C07D0405-14+319+235C+213;
     C07D0409-14+317+235C+213; C07D0409-14+333B+235C+213; C07D0413-
     14+261+235C+213; C07D0413-14+263B+235C+213; C07D0417-12+277+213;
     C07D0453-02
IT   UPIT 20051222
     1062356-CL 1062356-NEW; 0154-07801-CL 0154-07801-NEW; 0154-07802-CL
     0154-07802-NEW; 0154-07803-CL 0154-07803-NEW; 0154-07804-CL
     0154-07804-NEW; 100185-CL; 67298-CL; 98147-CL; 109181-CL; 95995-CL;
     9091-CL; 95506-CL; 91104-CL; 90035-CL; 123715-CL; 184621-CL; 184584-CL;
     184586-CL; 166620-CL; 197131-CL
MC   CPI: B02-A; B04-A07A; B04-B03D; B04-G01A; B04-G21; B05-A03B; B05-B01J;
           B05-C01; B05-C07; B06-H; B07-D04C; B07-D11; B07-D12; B10-C02;
           B14-D06C; B14-H01D1; B14-H01F4
CMC  UPB   20051222
     DRN: 0008-U 0165-U
     DCR: 67298-U 9091-U
     M1 *16*   M417 M423 M431 M782 P631 P633  M905
               DCN: RA0A32-K RA0A32-M RA0A32-T
               DCR: 184621-K 184621-M 184621-T
     M1 *17*   M417 M423 M431 M782 P631 P633  M905
               DCN: RA03PR-K RA03PR-M RA03PR-T
               DCR: 184584-K 184584-M 184584-T
     M1 *18*   M417 M423 M431 M782 P631 P633  M905
               DCN: RA0L0H-K RA0L0H-M RA0L0H-T
               DCR: 184586-K 184586-M 184586-T
     M1 *19*   M423 M431 M782 P631 P633  M905
               DCN: RA1VLZ-K RA1VLZ-M RA1VLZ-T
               DCR: 166620-K 166620-M 166620-T
     M1 *20*   M423 M431 M782 P631 P633  M905
               DCN: RA28R6-K RA28R6-M RA28R6-T
               DCR: 197131-K 197131-M 197131-T
```

M2 *01* D012 D022 D711 F012 F014 F431 G015 G100 H1 H102 H121 H5 H521 H6
H602 H641 H685 H8 J0 J011 J3 J311 L922 M1 M123 M125 M141 M143
M210 M211 M273 M281 M311 M321 M344 M353 M391 M412 M431 M511 M521
M531 M540 M710 M782 P616 P631 P633 M905 M904
DCN: RAHKOL-M RAHKOL-N RAHKOL-T
DCR: 1062356-M 1062356-N 1062356-T

M2 *02* D010 D012 D013 D019 D020 D022 D023 D029 D040 D049 D711 E400 E600
F010 F019 F020 F021 F029 G001 G002 G010 G011 G012 G013 G019 G020
G021 G022 G029 G030 G039 G040 G050 G100 G111 G112 G113 G221 G299
G553 G563 H1 H100 H101 H102 H103 H121 H122 H141 H161 H181 H182
H183 H201 H211 H401 H481 H5 H521 H541 H581 H582 H592 H600 H641
J011 J012 J013 J014 J111 J321 J341 J361 J371 J372 J373 J411 K640
K850 L532 L599 L640 L699 L922 M1 M111 M112 M113 M114 M115 M116
M119 M121 M122 M123 M124 M125 M126 M129 M136 M141 M142 M143 M210
M211 M212 M213 M214 M215 M216 M220 M221 M222 M223 M224 M225 M226
M231 M232 M233 M240 M262 M272 M273 M281 M282 M283 M311 M312 M313
M314 M315 M316 M320 M321 M322 M323 M331 M332 M333 M340 M342 M343
M349 M373 M381 M383 M391 M392 M393 M412 M431 M511 M512 M513 M520
M521 M522 M523 M530 M531 M532 M533 M540 M541 M542 M543 M630 M640
M650 M710 M782 P616 P631 P633 M905 M904
MCN: 0154-07801-M 0154-07801-N 0154-07801-T

M2 *03* D010 D012 D019 D020 D022 D023 D029 D040 D049 D711 F010 F019 F020
F021 F029 G001 G002 G010 G011 G012 G013 G019 G020 G021 G022 G029
G030 G039 G040 G050 G100 G111 G112 G113 G221 G299 G553 G563 H1
H100 H101 H102 H103 H121 H122 H141 H161 H181 H182 H183 H401 H481
H5 H521 H541 H581 H582 H592 H600 H641 J011 J012 J013 J014 J111
J321 J341 J361 J371 J372 J373 J411 L532 L599 L640 L699 L922 M1
M111 M112 M113 M114 M115 M116 M119 M121 M122 M123 M124 M125 M126
M129 M136 M141 M142 M143 M210 M211 M212 M213 M214 M215 M216 M220
M221 M222 M223 M224 M225 M226 M231 M232 M233 M240 M262 M272 M273
M281 M282 M283 M311 M312 M313 M314 M315 M316 M320 M321 M322 M323
M331 M332 M333 M340 M342 M343 M349 M373 M381 M383 M391 M392 M393
M412 M431 M511 M512 M513 M520 M521 M522 M523 M530 M531 M532 M533
M540 M541 M542 M543 M630 M640 M650 M710 M782 P616 P631 P633
M905 M904
MCN: 0154-07802-M 0154-07802-N 0154-07802-T

M2 *04* D010 D012 D013 D019 D020 D022 D023 D029 D040 D049 D711 E400 E600
F010 F019 F020 F021 F029 G001 G002 G010 G011 G012 G013 G019 G020
G021 G022 G029 G030 G039 G040 G050 G100 G111 G112 G113 G221 G299
G553 G563 H1 H100 H101 H102 H103 H121 H122 H141 H161 H181 H182
H183 H201 H211 H401 H481 H5 H521 H541 H581 H582 H592 H600 H641
J011 J012 J013 J014 J111 J321 J341 J361 J371 J372 J373 J411 K640
K850 L532 L599 L640 L699 L922 M1 M111 M112 M113 M114 M115 M116
M119 M121 M122 M123 M124 M125 M126 M129 M136 M141 M142 M143 M210
M211 M212 M213 M214 M215 M216 M220 M221 M222 M223 M224 M225 M226
M231 M232 M233 M240 M262 M272 M273 M280 M281 M282 M283 M311 M312
M313 M314 M315 M316 M320 M321 M322 M323 M331 M332 M333 M340 M342
M343 M349 M373 M381 M383 M391 M392 M393 M412 M431 M511 M512 M513
M520 M521 M522 M523 M530 M531 M532 M533 M540 M541 M542 M543 M630
M640 M650 M710 M782 P616 P631 P633 M905 M904
MCN: 0154-07803-M 0154-07803-N 0154-07803-T

M2 *05* D010 D012 D019 D020 D022 D023 D029 D040 D049 D711 F010 F019 F020
F021 F029 G001 G002 G010 G011 G012 G013 G019 G020 G021 G022 G029
G030 G039 G040 G050 G100 G111 G112 G113 G221 G299 G553 G563 H1
H100 H101 H102 H103 H121 H122 H141 H161 H181 H182 H183 H401 H481
H5 H521 H541 H581 H582 H592 H600 H641 J011 J012 J013 J014 J111
J321 J341 J361 J371 J372 J373 J411 L532 L599 L640 L699 L922 M1
M111 M112 M113 M114 M115 M116 M119 M121 M122 M123 M124 M125 M126
M129 M136 M141 M142 M143 M210 M211 M212 M213 M214 M215 M216 M220
M221 M222 M223 M224 M225 M226 M231 M232 M233 M240 M262 M272 M273

M280 M281 M282 M283 M311 M312 M313 M314 M315 M316 M320 M321 M322
M323 M331 M332 M333 M340 M342 M343 M349 M373 M381 M383 M391 M392
M393 M412 M431 M511 M512 M513 M520 M521 M522 M523 M530 M531 M532
M533 M540 M541 M542 M543 M630 M640 M650 M710 M782 P616 P631 P633
M905 M904
MCN: 0154-07804-M 0154-07804-N 0154-07804-T

M2 *06* F011 F012 F013 F014 F015 F019 F113 F542 H1 H100 H121 H2 H211 H4
H402 H421 H481 H6 H601 H682 H7 H720 H8 J5 J521 L9 L910 M280 M311
M322 M342 M343 M353 M373 M391 M413 M431 M510 M522 M530 M540 M782
P631 P633 M905 M904
DCN: RA0KGY-K RA0KGY-M RA0KGY-T
DCR: 100185-K 100185-M 100185-T

M2 *07* B615 B701 B711 B720 B732 B815 B831 B840 F012 F018 F640 H6 H602
H608 H681 H689 M280 M312 M322 M332 M342 M362 M392 M411 M431 M510
M521 M530 M540 M782 P631 P633 M905 M904 M910
RIN: 07746
DCN: R00008-K R00008-M R00008-T
DCR: 67298-K 67298-M 67298-T 67298-U

M2 *08* D011 D013 D016 D019 D022 E570 F011 F014 F019 F433 F499 H1 H121
H2 H201 H211 H4 H401 H421 H8 J5 J522 K0 L4 L463 L9 L941 L942
M210 M212 M240 M282 M320 M412 M431 M511 M522 M530 M540 M782 P631
P633 M905 M904
RIN: 41300
DCN: RA035H-K RA035H-M RA035H-T
DCR: 98147-K 98147-M 98147-T

M2 *09* D011 D013 D016 D023 E570 H1 H103 H181 H4 H402 H421 H441 H8 J5
J522 L9 L941 L942 M210 M211 M212 M240 M273 M281 M282 M311 M321
M342 M373 M391 M412 M431 M511 M520 M530 M540 M782 P631 P633
M905 M904
RIN: 41300
DCN: RA035F-K RA035F-M RA035F-T RA2PVY-K RA2PVY-M RA2PVY-T
DCR: 109181-K 109181-M 109181-T 165667-K 165667-M 165667-T

M2 *10* F011 F012 F013 F014 F015 F017 F019 F113 F542 H1 H100 H121 H2
H211 H4 H402 H421 H481 H6 H601 H608 H622 H8 J5 J521 K0 L818 L834
L835 L9 L910 M280 M311 M321 M342 M373 M391 M413 M431 M510 M522
M530 M540 M782 P631 P633 M905 M904
DCN: RA0EH0-K RA0EH0-M RA0EH0-T
DCR: 95995-K 95995-M 95995-T

M2 *11* F012 F014 F015 F542 H6 H601 H621 J5 J522 L9 L910 M280 M320 M413
M431 M510 M521 M530 M540 M782 P631 P633 M905 M904 M910
DCN: R00165-K R00165-M R00165-T R14958-K R14958-M R14958-T
DCR: 9091-K 9091-M 9091-T 9091-U

M2 *12* D013 D019 D940 G013 G100 H1 H100 H102 H121 H141 H2 H211 J0 J014
J1 J172 J3 J331 J371 J5 J521 L9 L910 L941 M280 M311 M313 M321
M332 M342 M343 M349 M373 M381 M391 M412 M431 M511 M520 M531 M540
M782 P631 P633 M905 M904
DCN: R08935-K R08935-M R08935-T RA07GO-K RA07GO-M RA07GO-T
DCR: 131710-K 131710-M 131710-T 95506-K 95506-M 95506-T

M2 *13* A678 A940 A950 C017 C100 C500 C730 C801 C804 C806 C807 M411 M431
M782 P631 P633 M905 M904
DCN: R03071-K R03071-M R03071-T
DCR: 91104-K 91104-M 91104-T

M2 *14* A678 A950 A960 C500 C710 C801 C802 C804 C806 C807 G030 G038 G543
J0 J012 J1 J152 M280 M320 M411 M431 M510 M520 M530 M541 M630
M782 P631 P633 M905 M904
DCN: R11768-K R11768-M R11768-T
DCR: 90035-K 90035-M 90035-T

M2 *15* F011 F012 F013 F014 F019 F431 F541 F553 G013 G015 G100 H1 H102
H121 H182 H2 H202 J0 J011 J3 J331 L922 M1 M116 M121 M123 M136
M143 M210 M211 M240 M273 M281 M311 M321 M342 M373 M391 M413 M431

M510 M523 M532 M540 M782 P631 P633 M905 M904
DCN: RA5GNT-K RA5GNT-M RA5GNT-T
DCR: 123715-K 123715-M 123715-T

L2 ANSWER 2 OF 2 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
ACCESSION NUMBER: 2003-833520 [77] WPIX
CROSS REFERENCE: 2005-296040
DOC. NO. CPI: C2003-234492 [77]
TITLE: New substituted benzazole compounds useful for treating Raf kinase mediated disorders e.g. cancer
DERWENT CLASS: B02; B05
INVENTOR: AMIRI P; FANTL W; HANSEN T; HASKELL B; LEVINE B H; MCBRIDE C; POON D J; RAMURTHY S; RAMURTHY S L; RENHOWE P A; SHAFER C M; SUBRAMANIAN S; SUNG L; FANTI W
PATENT ASSIGNEE: (AMIR-I) AMIRI P; (CHIR-C) CHIRON CORP; (FANT-I) FANTL W; (LEVI-I) LEVINE B H; (POON-I) POON D J; (RAMU-I) RAMURTHY S; (RENH-I) RENHOWE P A; (SUBR-I) SUBRAMANIAN S; (SUNG-I) SUNG L
COUNTRY COUNT: 102

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2003082272	A1	20031009	(200377)*	EN	130[0]	
US 20040087626	A1	20040506	(200430)	EN		
AU 2003226211	A1	20031013	(200435)	EN		
US 20040122237	A1	20040624	(200442)	EN		
EP 1499311	A1	20050126	(200508)	EN		
BR 2003008854	A	20050222	(200517)	PT		
KR 2004095355	A	20041112	(200519)	KO		
NO 2004004617	A	20041228	(200520)	NO		
MX 2004009541	A1	20050201	(200564)	ES		
JP 2005529089	W	20050929	(200568)	JA	245	
CN 1655779	A	20050817	(200572)	ZH		
IN 2004KN01433	P2	20051230	(200604)	EN		
ZA 2004008386	A	20060531	(200640)	EN	268	
US 7071216	B2	20060704	(200644)	EN		
JP 2006193533	A	20060727	(200649)	JA	242	
NZ 535985	A	20070427	(200731)	EN		
US 20070299039	A1	20071227	(200803)	EN		
AU 2003226211	B2	20080529	(200860)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2003082272 A1		WO 2003-US10117	20030331
US 20040087626 A1	Provisional	US 2002-369066P	20020329
US 20040122237 A1	Provisional	US 2002-369066P	20020329
US 7071216 B2	Provisional	US 2002-369066P	20020329
US 20070299039 A1	Provisional	US 2002-369066P	20020329
AU 2003226211 A1		AU 2003-226211	20030331
BR 2003008854 A		BR 2003-8854	20030331
CN 1655779 A		CN 2003-812193	20030331
EP 1499311 A1		EP 2003-745683	20030331
JP 2005529089 W		JP 2003-579810	20030331
JP 2006193533 A	Div Ex	JP 2003-579810	20030331
NZ 535985 A		NZ 2003-535985	20030331
US 20040087626 A1		US 2003-405945	20030331

US 20040122237 A1 CIP of	US 2003-405945 20030331
US 7071216 B2	US 2003-405945 20030331
US 20070299039 A1 Div Ex	US 2003-405945 20030331
US 20040122237 A1	US 2003-675927 20030929
IN 2004KN01433 P2	IN 2004-KN1433 20040927
MX 2004009541 A1	MX 2004-9541 20040929
KR 2004095355 A	KR 2004-715523 20040930
ZA 2004008386 A	ZA 2004-8386 20041015
NO 2004004617 A	NO 2004-4617 20041026
US 20070299039 A1	US 2005-282939 20051118
JP 2006193533 A	JP 2006-96143 20060330
AU 2003226211 B2	AU 2003-226211 20030331
EP 1499311 A1 PCT Application	WO 2003-US10117 20030331
BR 2003008854 A PCT Application	WO 2003-US10117 20030331
NO 2004004617 A PCT Application	WO 2003-US10117 20030331
MX 2004009541 A1 PCT Application	WO 2003-US10117 20030331
JP 2005529089 W PCT Application	WO 2003-US10117 20030331
IN 2004KN01433 P2 PCT Application	WO 2003-US10117 20030331
NZ 535985 A PCT Application	WO 2003-US10117 20030331

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
US 20070299039	A1	Div ex	US 7071216	B
AU 2003226211	A1	Based on	WO 2003082272	A
EP 1499311	A1	Based on	WO 2003082272	A
BR 2003008854	A	Based on	WO 2003082272	A
MX 2004009541	A1	Based on	WO 2003082272	A
JP 2005529089	W	Based on	WO 2003082272	A
NZ 535985	A	Based on	WO 2003082272	A
AU 2003226211	B2	Based on	WO 2003082272	A

PRIORITY APPLN. INFO: US 2002-369066P 20020329
US 2003-405945 20030331
US 2003-675927 20030929
US 2005-282939 20051118

INT. PATENT CLASSIF.:

MAIN: A61K031-41; C07D277-82; C07D401-12

SECONDARY: A61K031-4427; A61K031-4439; A61K031-444; A61K031-4545; A61K031-46; A61K031-4725; A61K031-496; A61K031-506; A61K031-5377; A61K031-55; A61K031-551; A61K045-00; A61P035-00; A61P043-00; C07D263-60; C07D401-14; C07D405-14; C07D407-14; C07D409-14; C07D413-12; C07D413-14; C07D417-12; C07D417-14; C07D451-14; C07D471-08

IPC ORIGINAL: A61K [N,S]; A61K0031-4164 [I,C]; A61K0031-4184 [I,A]; A61K0031-4427 [I,C]; A61K0031-4427 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-4439 [I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-46 [I,A]; A61K0031-46 [I,C]; A61K0031-4709 [N,A]; A61K0031-4709 [N,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-4965 [I,C]; A61K0031-497 [I,A]; A61K0031-506 [I,A]; A61K0031-506 [I,C]; A61K0031-506 [I,C]; A61K0031-5375 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C]; A61K0031-55 [I,C]; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0031-665 [I,A]; A61K0031-665 [I,C]; A61K0045-00 [I,A]; A61K0045-00 [I,C]; A61P [N,S];

A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0035-00 [I,C]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; A61P0043-00 [I,C]; C07D [N,S]; C07D0235-00 [I,C]; C07D0235-30 [I,A]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-10 [I,A]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-04 [I,A]; C07D0413-10 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-10 [I,A]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0451-00 [I,C]; C07D0451-14 [I,A]

IPC RECLASSIF.: A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-46 [I,A]; A61K0031-46 [I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-506 [I,A]; A61K0031-506 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C]; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0045-00 [I,A]; A61K0045-00 [I,C]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-04 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0451-00 [I,C]; C07D0451-14 [I,A]; C07D0453-00 [I,C]; C07D0453-02 [I,A]

ECLA: C07D0401-12+235C+213; C07D0401-14+235C+213+205; C07D0401-14+235C+213+207; C07D0401-14+235C+213+209; C07D0401-14+235C+213+209C; C07D0401-14+235C+213+213; C07D0401-14+235C+217+213; C07D0401-14+235C+223+213; C07D0401-14+235C+231+213; C07D0401-14+235C+233+213; C07D0401-14R+235C+213; C07D0401-14R+235C+213+209C; C07D0405-12+319+235C+213; C07D0405-14+307B+235C+213; C07D0405-14+317+235C+213; C07D0405-14+319+235C+213; C07D0409-14+317+235C+213; C07D0409-14+333B+235+213; C07D0409-14+333B+235C+213; C07D0413-04+263+213; C07D0413-14+261+235C+213; C07D0413-14+263+213+205; C07D0413-14+263+233+213; C07D0413-14+263B+235C+213; C07D0417-12+277+213; C07D0417-14+277B+213+207; C07D0417-14+277B+235C+213; C07D0453-02

ICO: M07D0401:12; M07D0401:14; M07D0401:14R

USCLASS NCLM: 514/110.000

NCLS: 514/212.080; 514/234.500; 514/253.090; 514/333.000; 514/338.000; 514/367.000; 514/375.000; 540/524.000; 544/131.000; 544/364.000; 546/256.000; 546/270.100; 546/271.700; 546/273.400; 548/161.000; 548/217.000

BASIC ABSTRACT:

WO 2003082272 A1 UPAB: 20060120

NOVELTY - Substituted benzazoles (I), their salts, esters or prodrugs, are new.

DETAILED DESCRIPTION - Substituted benzazoles of formula (I), their salts, esters or prodrugs, are new:

X1, X2 = =N-, -NR4-, -O- or -S-;

Y = O or S;

A1 = alkyl, (hetero)cycloalkyl, (hetero)aryl, (polycyclic)aryl, (polycyclic)arylalkyl, biaryl, heteroarylaryl, heteroarylheteroaryl, (hetero)cycloalkylalkyl, (hetero)arylalkyl, biarylalkyl or heteroarylarylalkyl (all optionally substituted);

A2 = optionally substituted heteroaryl;
R1 = O or H;
R2 = N(R5)(R6) or hydroxyl; or
R1+R2 = heterocycloalkyl or heteroaryl (both optionally substituted);
a = single or double bond;
R3 = H, halo, lower alkyl or lower alkoxy;
R4 = H, hydroxyl, (di)alkylamino or alkyl;
R5, R6 = alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, (hetero)cycloalkyl, (hetero)aryl, alkoxyalkylheterocyclo, heteroarylalkyl (all optionally substituted) or H; or
R5+R6 = heterocyclo or heteroaryl (both optionally substituted); and
provided that:
(i) when X1 is -NR4-, -O- or -S-, then X2 is =N-;
(ii) when X2 is -NR4-, -O- or -S-, then X1 is =N-; and
(iii) both X1 and X2 are not =N-.
An INDEPENDENT CLAIM is also included for a pharmaceutical composition (C1) comprising (I).
ACTIVITY - Cytostatic.
MECHANISM OF ACTION - Raf kinase inhibitor.
Raf kinase inhibiting assay was carried out using 4-((2-((4-bromophenyl)amino)-1-methyl-1H-benzimidazol-5-yl)oxy)-N- methylpyridin-2-carboxamide (Ia). Recombinant isoforms of Raf were obtained by purification from sf9 insect cells infected with a human Raf recombinant baculovirus expression vector. The IC50 value of (A) was less than 5 microM.
USE - In the manufacture of a medicament for treating cancer (preferably hormone dependent or hematological) in humans or animals (claimed).
ADVANTAGE - (I) Effectively reduce or prevent tumor growth in humans or animals.

MANUAL CODE: CPI: B04-A07A; B04-B03A; B05-A03B; B05-B01J; B06-H; B07-H; B09-D02; B14-D06; B14-H01

AN 2003-833520 [77] WPIX
DC B02; B05
IC ICM A61K031-41; C07D277-82; C07D401-12
ICS A61K031-4427; A61K031-4439; A61K031-444; A61K031-4545; A61K031-46; A61K031-4725; A61K031-496; A61K031-506; A61K031-5377; A61K031-55; A61K031-551; A61K045-00; A61P035-00; A61P043-00; C07D263-60; C07D401-14; C07D405-14; C07D407-14; C07D409-14; C07D413-12; C07D413-14; C07D417-12; C07D417-14; C07D451-14; C07D471-08
IPCI A61K [N,S]; A61K0031-4164 [I,C]; A61K0031-4184 [I,A]; A61K0031-4427 [I,C]; A61K0031-4427 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-4439 [I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-46 [I,A]; A61K0031-46 [I,C]; A61K0031-4709 [N,A]; A61K0031-4709 [N,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-4965 [I,C]; A61K0031-497 [I,A]; A61K0031-506 [I,A]; A61K0031-506 [I,C]; A61K0031-506 [I,C]; A61K0031-5375 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C]; A61K0031-55 [I,C]; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0031-665 [I,A]; A61K0031-665 [I,C]; A61K0045-00 [I,A]; A61K0045-00 [I,C]; A61P [N,S]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0035-00 [I,C]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; A61P0043-00 [I,C]; C07D [N,S]; C07D0235-00 [I,C]; C07D0235-30 [I,A]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-10 [I,A]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-04 [I,A]; C07D0413-10 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-10 [I,A]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0451-00 [I,C]; C07D0451-14 [I,A]

IPCR A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-46 [I,A]; A61K0031-46 [I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-506 [I,A]; A61K0031-506 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C]; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0045-00 [I,A]; A61K0045-00 [I,C]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-04 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0451-00 [I,C]; C07D0451-14 [I,A]; C07D0453-00 [I,C]; C07D0453-02 [I,A]

EPC C07D0401-12+235C+213; C07D0401-14+235C+213+205; C07D0401-14+235C+213+207; C07D0401-14+235C+213+209; C07D0401-14+235C+213+209C; C07D0401-14+235C+213+213; C07D0401-14+235C+217+213; C07D0401-14+235C+223+213; C07D0401-14+235C+231+213; C07D0401-14+235C+233+213; C07D0401-14R+235C+213; C07D0401-14R+235C+213+209C; C07D0405-12+319+235C+213; C07D0405-14+307B+235C+213; C07D0405-14+317+235C+213; C07D0405-14+319+235C+213; C07D0409-14+317+235C+213; C07D0409-14+333B+235+213; C07D0409-14+333B+235C+213; C07D0413-04+263+213; C07D0413-14+261+235C+213; C07D0413-14+263+213+205; C07D0413-14+263+233+213; C07D0413-14+263B+235C+213; C07D0417-12+277+213; C07D0417-14+277B+213+207; C07D0417-14+277B+235C+213; C07D0453-02

ICO M07D0401:12; M07D0401:14; M07D0401:14R

NCL NCLM 514/110.000

NCLS 514/212.080; 514/234.500; 514/253.090; 514/333.000; 514/338.000; 514/367.000; 514/375.000; 540/524.000; 544/131.000; 544/364.000; 546/256.000; 546/270.100; 546/271.700; 546/273.400; 548/161.000; 548/217.000

IT UPIT 20060120

800769-CL 800769-NEW; 0111-82101-CL 0111-82101-NEW; 98147-CL; 109181-CL; 95995-CL; 9091-CL; 95506-CL; 90035-CL; 91104-CL; 100185-CL; 67298-CL; 123715-CL; 786228-CL; 184621-CL; 184584-CL; 166620-CL; 197131-CL

MC CPI: B04-A07A; B04-B03A; B05-A03B; B05-B01J; B06-H; B07-H; B09-D02; B14-D06; B14-H01

CMC UPB 20060120

DRN: 0008-U 0165-U

DCR: 67298-U 9091-U

M1 *14* M417 M423 M431 M782 M905

DCN: RA0A32-K RA0A32-M

DCR: 184621-K 184621-M

M1 *15* M417 M423 M431 M782 M905

DCN: RA03PR-K RA03PR-M

DCR: 184584-K 184584-M

M1 *16* M423 M431 M782 M905

DCN: RA1VLZ-K RA1VLZ-M

DCR: 166620-K 166620-M

M1 *17* M423 M431 M782 M905

DCN: RA28R6-K RA28R6-M

DCR: 197131-K 197131-M

M2 *01* D013 D022 D711 F012 F014 F431 G013 G100 H1 H102 H121 H181 H2 H201 H5 H521 H6 H603 H641 H8 J0 J011 J3 J311 L922 M1 M123 M125 M141 M143 M210 M211 M273 M282 M320 M412 M431 M511 M521 M531 M540 M710 M782 P616 P631 P633 M905 M904

DCN: RAC66Y-M RAC66Y-N RAC66Y-T

DCR: 800769-M 800769-N 800769-T

M2 *02* C316 D010 D011 D012 D013 D019 D020 D022 D023 D029 D040 D049 D601 D622 D700 D711 E400 E600 F010 F012 F013 F014 F015 F016 F019 F020 F021 F029 F111 F211 F423 F431 F433 F499 F521 F541 F553 F620 F653

G001 G002 G003 G010 G011 G012 G013 G019 G020 G021 G022 G029 G030
G031 G039 G040 G050 G100 G111 G112 G113 G211 G221 G223 G299 G553
G563 G670 H1 H100 H101 H102 H103 H121 H122 H141 H142 H161 H181
H182 H183 H201 H211 H341 H401 H481 H5 H521 H541 H542 H581 H582
H592 H594 H600 H608 H641 H642 H643 H681 H682 H683 H685 J011 J012
J013 J014 J111 J321 J331 J341 J361 J371 J372 J431 J471 J472 J521
J581 J582 K353 K620 K640 K699 K850 L532 L599 L640 L699 L922 L941
L943 L999 M1 M113 M115 M116 M121 M122 M123 M124 M125 M126 M129
M131 M132 M135 M136 M139 M141 M142 M143 M146 M147 M149 M150 M210
M211 M212 M213 M214 M215 M216 M220 M221 M222 M223 M224 M225 M226
M231 M232 M233 M240 M262 M271 M272 M273 M280 M281 M282 M283 M311
M312 M313 M314 M315 M316 M320 M321 M322 M323 M331 M332 M333 M334
M340 M342 M343 M344 M349 M353 M372 M373 M381 M383 M391 M392 M393
M412 M431 M511 M512 M513 M520 M521 M522 M523 M530 M531 M532 M533
M540 M541 M542 M543 M630 M640 M650 M710 M782 P616 P631 P633
M905 M904
MCN: 0111-82101-M 0111-82101-N 0111-82101-T

M2 *03* D011 D013 D016 D019 D022 E570 F011 F014 F019 F433 F499 H1 H121
H2 H201 H211 H4 H401 H421 H8 J5 J522 K0 L4 L463 L9 L941 L942
M210 M212 M240 M282 M320 M412 M431 M511 M522 M530 M540 M782
M905 M904
RIN: 41300
DCN: RA035H-K RA035H-M
DCR: 98147-K 98147-M

M2 *04* D011 D013 D016 D023 E570 H1 H103 H181 H4 H402 H421 H441 H8 J5
J522 L9 L941 L942 M210 M211 M212 M240 M273 M281 M282 M311 M321
M342 M373 M391 M412 M431 M511 M520 M530 M540 M782 M905 M904
RIN: 41300
DCN: RA035F-K RA035F-M RA2PVY-K RA2PVY-M
DCR: 109181-K 109181-M 165667-K 165667-M

M2 *05* F011 F012 F013 F014 F015 F017 F019 F113 F542 H1 H100 H121 H2
H211 H4 H402 H421 H481 H6 H601 H608 H622 H8 J5 J521 K0 L818 L834
L835 L9 L910 M280 M311 M321 M342 M373 M391 M413 M431 M510 M522
M530 M540 M782 M905 M904
DCN: RA0EH0-K RA0EH0-M
DCR: 95995-K 95995-M

M2 *06* F012 F014 F015 F542 H6 H601 H621 J5 J522 L9 L910 M280 M320 M413
M431 M510 M521 M530 M540 M782 M905 M904 M910
DCN: R00165-K R00165-M R14958-K R14958-M
DCR: 9091-K 9091-M 9091-U

M2 *07* D013 D019 D940 G013 G100 H1 H100 H102 H121 H141 H2 H211 J0 J014
J1 J172 J3 J331 J371 J5 J521 L9 L910 L941 M280 M311 M313 M321
M332 M342 M343 M349 M373 M381 M391 M412 M431 M511 M520 M531 M540
M782 M905 M904
DCN: R08935-K R08935-M RA07GO-K RA07GO-M
DCR: 131710-K 131710-M 95506-K 95506-M

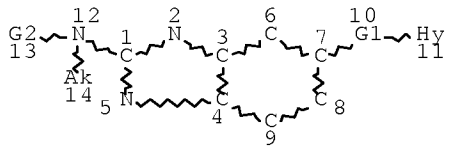
M2 *08* A678 A950 A960 C500 C710 C801 C802 C804 C806 C807 G030 G038 G543
J0 J012 J1 J152 M280 M320 M411 M431 M510 M520 M530 M541 M630
M782 M905 M904
DCN: R11768-K R11768-M
DCR: 90035-K 90035-M

M2 *09* A678 A940 A950 C017 C100 C500 C730 C801 C804 C806 C807 M411 M431
M782 M905 M904
DCN: R03071-K R03071-M
DCR: 91104-K 91104-M

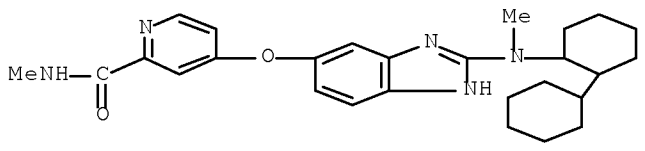
M2 *10* F011 F012 F013 F014 F015 F019 F113 F542 H1 H100 H121 H2 H211 H4
H402 H421 H481 H6 H601 H682 H7 H720 H8 J5 J521 L9 L910 M280 M311
M322 M342 M343 M353 M373 M391 M413 M431 M510 M522 M530 M540 M782
M905 M904
DCN: RA0KGY-K RA0KGY-M

```
          DCR: 100185-K 100185-M
M2 *11*   B615 B701 B711 B720 B732 B815 B831 B840 F012 F018 F640 H6 H602
          H608 H681 H689 M280 M312 M322 M332 M342 M362 M392 M411 M431 M510
          M521 M530 M540 M782  M905 M904 M910
          RIN: 07746
          DCN: R00008-K R00008-M
          DCR: 67298-K 67298-M 67298-U
M2 *12*   F011 F012 F013 F014 F019 F431 F541 F553 G013 G015 G100 H1 H102
          H121 H182 H2 H202 J0 J011 J3 J331 L922 M1 M116 M121 M123 M136
          M143 M210 M211 M240 M273 M281 M311 M321 M342 M373 M391 M413 M431
          M510 M523 M532 M540 M782  M905 M904
          DCN: RA5GNT-K RA5GNT-M
          DCR: 123715-K 123715-M
M2 *13*   G031 G034 G038 G039 G060 G750 M210 M211 M240 M283 M320 M415 M431
          M510 M520 M530 M541 M610 M782 M800  M905 M904
          RIN: 68858
          DCN: RABVF3-K RABVF3-M
          DCR: 786228-K 786228-M
```

```
=> => d que stat l7
L3                STR
```

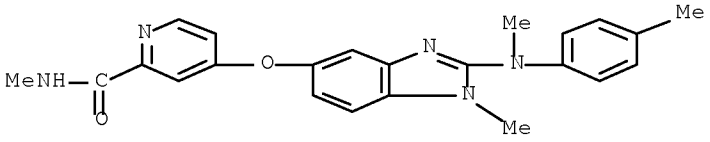



```
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
L7            3 SEA FILE=REGISTRY SSS FUL L3

100.0% PROCESSED    8913 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01


=> d ide l7 1-3
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y



L7   ANSWER 1 OF 3  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   1028269-87-5  REGISTRY
ED   Entered STN:  15 Jun 2008
CN   2-Pyridinecarboxamide, 4-[[2-([1,1'-bicyclohexyl]-2-ylmethylamino)-1H-
     benzimidazol-6-yl]oxy]-N-methyl-  (CA INDEX NAME)
MF   C27 H35 N5 O2
SR   Other Sources
       Database: ChemSpider (ChemZoo, Inc.)
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
```

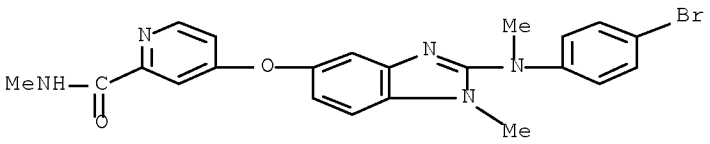
L7 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN
RN 611215-10-2 REGISTRY
ED Entered STN: 31 Oct 2003
CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)
MF C23 H23 N5 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

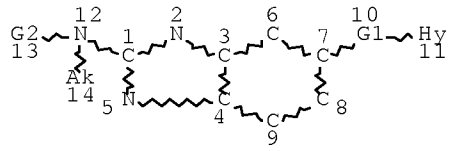
L7 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN
RN 611215-02-2 REGISTRY
ED Entered STN: 31 Oct 2003
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)
MF C22 H20 Br N5 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

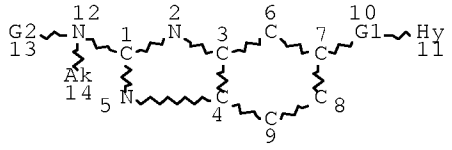
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
=> => d que stat l7
L3               STR
```

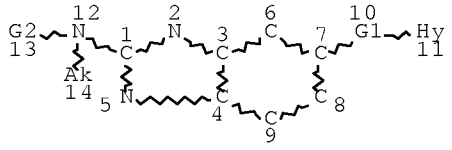



```
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
L7             3 SEA FILE=REGISTRY SSS FUL L3

100.0% PROCESSED    8913 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01



=> d que nos l21
L1             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  US2003-675927/APPS
L3               STR
L7             3 SEA FILE=REGISTRY SSS FUL L3
L8               QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9               QUE  ABB=ON  PLU=ON  FANTL, W?/AU
L10              QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11              QUE  ABB=ON  PLU=ON  POON, D?/AU
L12              QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13              QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14              QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15              QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16              QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L17            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L18            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND (L8 OR L9 OR L10 OR
                 L11 OR L12 OR L13 OR L14 OR L15 OR L16)
L19            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L1 AND L18
L20            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L18 OR L19)
L21            0 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 NOT L20


=> d his l24

     (FILE 'USPATFULL, USPATOLD, USPAT2, TOXCENTER' ENTERED AT 09:25:08 ON 23
     SEP 2008)
L24            0 S L22 NOT L23

=> d que nos l24
L3               STR
L7             3 SEA FILE=REGISTRY SSS FUL L3
```

```
L8              QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9              QUE  ABB=ON  PLU=ON  FANTL, W?/AU
L10             QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11             QUE  ABB=ON  PLU=ON  POON, D?/AU
L12             QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13             QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14             QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15             QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16             QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L22           6 SEA L7
L23           6 SEA L22 AND (L8 OR L9 OR L10 OR L11 OR L12 OR L13 OR L14 OR
                L15 OR L16)
L24           0 SEA L22 NOT L23


=> d que stat l26
L3              STR
```




```
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
L26           0 SEA FILE=BEILSTEIN SSS FUL L3

100.0% PROCESSED    1788 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.10


=> d que stat l28
L3              STR
```




```
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
```

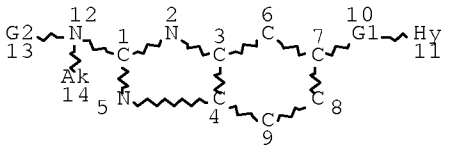
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L28 0 SEA FILE=CHEMINFORMRX SSS FUL L3 (0 REACTIONS)

100.0% DONE 292 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.10

=> d que stat l30
L3 STR



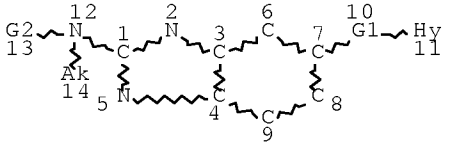
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L30 8 SEA FILE=WPIX SSS FUL L3

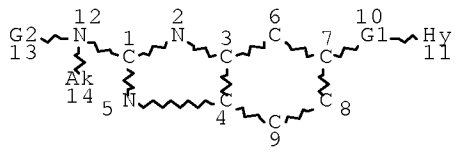
100.0% PROCESSED 639 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.05

=> d que l35
L2 2 SEA FILE=WPIX ABB=ON PLU=ON US2003-675927/APPS
L3 STR



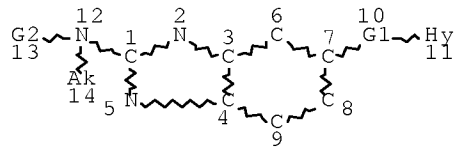
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM

```
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
L8              QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9              QUE  ABB=ON  PLU=ON  FANTL, W?/AU
L10             QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11             QUE  ABB=ON  PLU=ON  POON, D?/AU
L12             QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13             QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14             QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15             QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16             QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L30           8 SEA FILE=WPIX SSS FUL L3
L31           2 SEA FILE=WPIX ABB=ON  PLU=ON  (RAFREO/DCN OR RARYLB/DCN OR
                RARYLC/DCN OR RARYLD/DCN OR RARYLF/DCN OR RARYLG/DCN OR
                RARYLH/DCN OR RARYLI/DCN) OR L30/DCR
L32           2 SEA FILE=WPIX ABB=ON  PLU=ON  L31 AND (L8 OR L9 OR L10 OR L11
                OR L12 OR L13 OR L14 OR L15 OR L16)
L33           0 SEA FILE=WPIX ABB=ON  PLU=ON  L2 AND L32
L34           4 SEA FILE=WPIX ABB=ON  PLU=ON  L2 OR L32 OR L33
L35           0 SEA FILE=WPIX ABB=ON  PLU=ON  L32 NOT L34


=> d que stat l38
L36             STR
```




```
VAR G1=O/S
VAR G2=15/16
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL  IS ANY    AT   1  2  3  4  5  6  7  8  9 11 14 15 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
L38        5203 SEA FILE=MARPAT SSS FUL L36

100.0% PROCESSED   164831 ITERATIONS  (     1 INCOMPLETE)     5203 ANSWERS
SEARCH TIME: 00.01.08


=> d que l50
L8              QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9              QUE  ABB=ON  PLU=ON  FANTL, W?/AU
```

```
L10             QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11             QUE  ABB=ON  PLU=ON  POON, D?/AU
L12             QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13             QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14             QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15             QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16             QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L36             STR
```



Ak @15 Cy @16

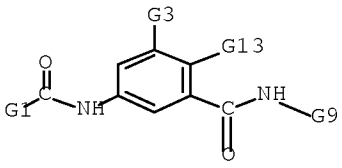
```
VAR G1=O/S
VAR G2=15/16
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL  IS ANY    AT   1  2  3  4  5  6  7  8  9 11 14 15 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
L38        5203 SEA FILE=MARPAT SSS FUL L36
L39             QUE  ABB=ON  PLU=ON  AY<2005 OR PY<2005 OR PRY<2005 OR MY
                <2005 OR REVIEW/DT
L40        5203 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L38
L41        3625 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L40 AND L39
L42         359 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L41 AND (?BENZAZOL? OR
                ?BENZIMIDAZOL? OR (?BENZ(1T)(AZOL? OR IMIDAZOL?)))
L43             QUE  ABB=ON  PLU=ON  A61P0035/IPC
L44         131 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L42 AND L43
L45          56 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44 AND ?KINAS?
L46           9 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L45 AND (RAF OR RAS OR
                RETROVIR? OR (RETRO(1W)VIR?))
L47           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L46 AND (L8 OR L9 OR L10 OR
                L11 OR L12 OR L13 OR L14 OR L15 OR L16)
L48           8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L46 NOT L47
L49           8 SEA FILE=MARPAT ABB=ON  PLU=ON  L48
L50           8 SEA FILE=MARPAT ABB=ON  PLU=ON  L38 AND L49


=> dup rem l21 l24 l35 l50
L21 HAS NO ANSWERS
L24 HAS NO ANSWERS
L35 HAS NO ANSWERS
FILE 'MARPAT' ENTERED AT 10:16:37 ON 23 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 149 ISS 12 (20080919/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987
```

```
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US  20080188684 07 AUG 2008
DE 202008001599 31 JUL 2008
EP      1953168 06 AUG 2008
JP   2008181992 07 AUG 2008
WO   2008094958 07 AUG 2008
GB      2444641 11 JUN 2008
FR      2912218 08 AUG 2008
RU      2330029 27 JUL 2008
CA      2615024 14 JUN 2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT
have increased from 100,000 to 200,000 for both on-line and batch
searches.  For more information on MARPAT search limits, type HELP
SLIMITS at an arrow prompt.
PROCESSING COMPLETED FOR L21
PROCESSING COMPLETED FOR L24
PROCESSING COMPLETED FOR L35
PROCESSING COMPLETED FOR L50
L51           8 DUP REM L21 L24 L35 L50 (0 DUPLICATES REMOVED)
                ANSWERS '1-8' FROM FILE MARPAT


=> file stnguide
FILE 'STNGUIDE' ENTERED AT 10:16:50 ON 23 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 19, 2008 (20080919/UP).
```

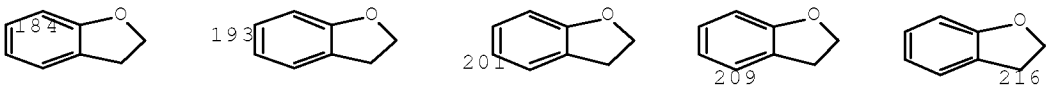
```
=> d ibib ab hit
YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y



L51  ANSWER 1 OF 8  MARPAT  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         145:103567  MARPAT  Full-text
TITLE:                    Preparation of pyridine carboxamides as anti-cancer
                          agents
INVENTOR(S):              Almeida, Lynsie; Aquila, Brian; Cook, Don; Cowen,
                          Scott; Dakin, Les; Ezhuthachan, Jayachandran;
                          Ioannidis, Stephanos; Lee, John W.; Lee, Stephen;
                          Lyne, Paul Dermot; Pontz, Timothy; Scott, David; Su,
                          Mei; Zheng, Xiaolan
PATENT ASSIGNEE(S):       Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:                   PCT Int. Appl., 186 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     WO 2006067446      A1   20060629       WO 2005-GB4986   20051222
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     AU 2005317870      A1   20060629       AU 2005-317870   20051222
     CA 2589773         A1   20060629       CA 2005-2589773  20051222
     EP 1831198         A1   20070912       EP 2005-820952   20051222
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR
     JP 2008525406      T    20080717       JP 2007-547642   20051222
     NO 2007002784      A    20070717       NO 2007-2784     20070531
     MX 200707574       A    20070724       MX 2007-7574     20070621
     IN 2007DN05331     A    20070817       IN 2007-DN5331   20070710
     KR 2007091675      A    20070911       KR 2007-716841   20070720
     CN 101128454       A    20080220       CN 2005-80048590 20070822
PRIORITY APPLN. INFO.:                       US 2004-639234P  20041222
                                             WO 2005-GB4986   20051222
AB    The title compds. I [A = carbocyclyl, heterocyclyl, wherein if said
      heterocyclyl ring contains an NH moiety that N may be optionally substituted
      by R5; R5 = alkyl, alkanoyl, Bn, carbamoyl, etc.; each R1 = independently
      halo, NO2, CN, OH, NH2, (un)substituted alk(en)yl, N,N'-dialkylureido etc.; n
      = 0-4; R2 = H, halo, (un)substituted alkanoyl, etc.; R3 = halo, OH, CN, Me,
      OMe, CH2OH; R4 = halo, ureido, sulfamoyl, carboxy, etc.; m = 0-4; with the
      exclusion of certain compds.] which possess B-Raf inhibitory activity and are
      accordingly useful for their anti cancer activity and thus in methods of
```

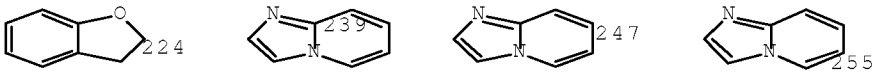
treatment of the human or animal body, were prepared Thus, reacting 5-amino-2-methyl-N-(pyridin-3- yl)benzamide (preparation given) with 3-chlorobenzoic acid in DMF in the presence of HATU afforded II which showed IC50 of 0.057 μM when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of said compds. I, to pharmaceutical compns. containing them and to their use in the manufacture of medicaments of use in the production of an anti-cancer effect in a warm blooded animal such as man.

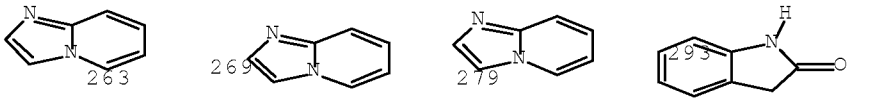
MSTR 1

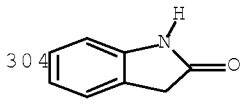


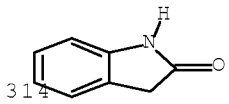
G1 = Ph (opt. substd. by (1-4) G2) /
aryl <bicyclic> (opt. substd. by (1-4) G2) /
heterocycle <containing zero or more N, zero or more O, zero or more S, 1 or more double bonds, mono- or bicyclic, including 5- or 6-membered rings>
(opt. substd. by 1 or more G11) /
(Specifically claimed: pyridyl / pyrazolyl / thienyl / indolyl / 184 / 193 / 201 / 209 / 216 / 224 / 239 / 247 / 255 / 263 / 269 / 279 / isoxazolyl / benzimidazolyl / 293 / 304 / 314 / 324 / 333 / 342 / furyl / thiazolyl / pyrimidinyl / pyrrolyl / 355 / 358 / 373 / 379)

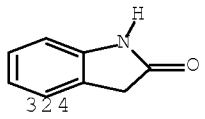


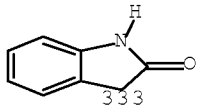


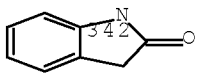


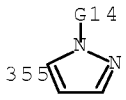


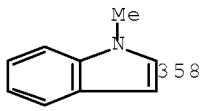


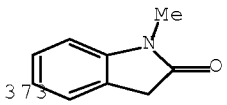


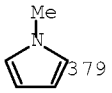




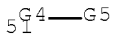


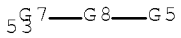


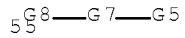


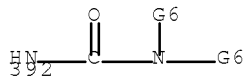


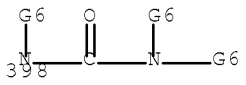
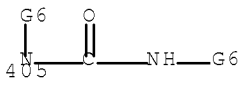
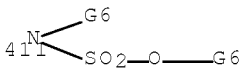
G2 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> / alkoxy <containing 1-6 C> / CHO / alkylcarbonyl <containing 1-6 C> / alkylcarbonyloxy <containing 1-6 C> / OCHO / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / NHCHO / alkylcarbonylamino <containing 1-6 C> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> / alkoxycarbonyl <containing 1-6 C> / alkoxycarbonylamino <containing 1-6 C> / alkylaminosulfonyl <containing 1-6 C> / dialkylaminosulfonyl <each alkyl containing 1-6 C> / alkylsulfonylamino <containing 1-6 C> / carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.) / 51 / 53 / 55 / 392 / 398 / 405 / 411



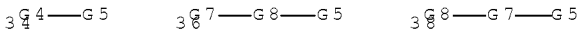




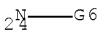


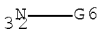
G3 = H / F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> / alkoxy <containing 1-6 C> / CHO / alkylcarbonyl <containing 1-6 C> / alkylcarbonyloxy <containing 1-6 C> / OCHO / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / NHCHO / alkylcarbonylamino <containing 1-6 C> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> / alkoxycarbonyl <containing 1-6 C> / alkylaminosulfonyl <containing 1-6 C> / dialkylaminosulfonyl <each alkyl containing 1-6 C> / alkylsulfonylamino <containing 1-6 C> / carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.) / 34 / 36 / 38



G4 = O / NH / 24 / C(O) / S / S(O) / SO2

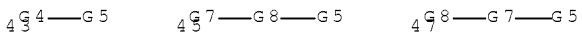


G5 = carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.)
G6 = alkyl <containing 1-6 C>
G7 = C(O) / SO2
G8 = NH / 32

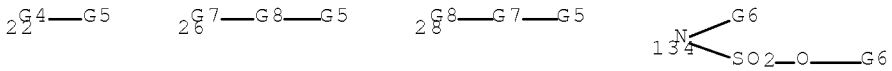


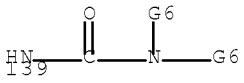
G9 = 3-pyridyl (opt. substd. by (1-4) G10)
G10 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /

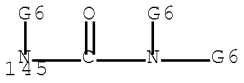
alkoxy <containing 1-6 C> / CHO /
alkylcarbonyl <containing 1-6 C> /
alkylcarbonyloxy <containing 1-6 C> / OCHO /
alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> / NHCHO /
alkylcarbonylamino <containing 1-6 C> /
alkylaminocarbonyl <containing 1-6 C> /
dialkylaminocarbonyl <each alkyl containing 1-6 C> /
alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6
C> / alkylsulfonyl <containing 1-6 C> /
alkoxycarbonyl <containing 1-6 C> /
alkylaminosulfonyl <containing 1-6 C> /
dialkylaminosulfonyl <each alkyl containing 1-6 C> /
alkylsulfonylamino <containing 1-6 C> /
carbocycle <containing 3-12 C, mono- or bicyclic>
(opt. substd.) / heterocycle <containing 4-12 atoms,
zero or more N, zero or more O, zero or more S,
mono- or bicyclic> (opt. substd.) / 43 / 45 / 47 / NHCONH2

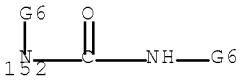


G11 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 /
CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> /
alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /
alkoxy <containing 1-6 C> / CHO /
alkylcarbonyl <containing 1-6 C> /
alkylcarbonyloxy <containing 1-6 C> / OCHO /
alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> / NHCHO /
alkylcarbonylamino <containing 1-6 C> /
alkylaminocarbonyl <containing 1-6 C> /
dialkylaminocarbonyl <each alkyl containing 1-6 C> /
alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6
C> / alkylsulfonyl <containing 1-6 C> /
alkoxycarbonylamino <containing 1-6 C> / 134 / 139 / 145 /
152 / alkoxycarbonyl <containing 1-6 C> /
alkylaminosulfonyl <containing 1-6 C> /
dialkylaminosulfonyl <each alkyl containing 1-6 C> /
alkylsulfonylamino <containing 1-6 C> /
carbocycle <containing 3-12 C, mono- or bicyclic>
(opt. substd.) / heterocycle <containing 4-12 atoms,
zero or more N, zero or more O, zero or more S,
mono- or bicyclic> (opt. substd.) / 22 / 26 /
28 / CH2Ph /
CO2CH2Ph / COPh / SO2Ph





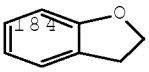


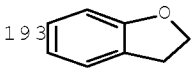


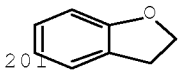
G13 = F / Cl / Br / I / OH / CN / Me / OMe / CH2OH
G14 = Me / Bu-t
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

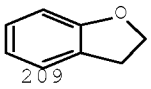
MSTR 3

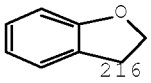
G1 = Ph (opt. substd. by (1-4) G2) /
aryl <bicyclic> (opt. substd. by (1-4) G2) /
heterocycle <containing zero or more N, zero or more O, zero or more S, 1 or more double bonds, mono- or bicyclic, including 5- or 6-membered rings>
(opt. substd. by 1 or more G11) /
(Specifically claimed: pyridyl / pyrazolyl / thienyl / indolyl / 184 / 193 / 201 / 209 / 216 / 224 / 239 / 247 / 255 / 263 / 269 / 279 / isoxazolyl / benzimidazolyl / 293 / 304 / 314 / 324 / 333 / 342 / furyl / thiazolyl / pyrimidinyl / pyrrolyl / 355 / 358 / 373 / 379)

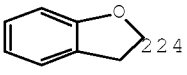


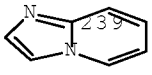


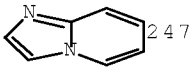


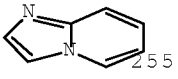


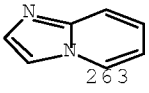


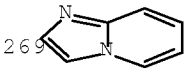


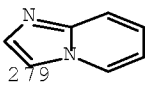


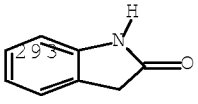


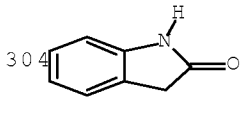


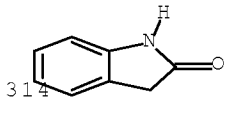


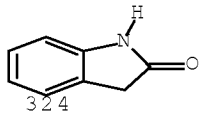


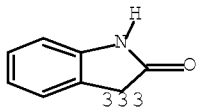


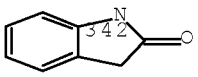


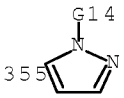


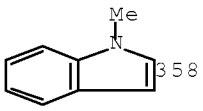


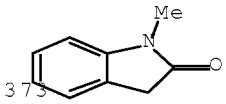


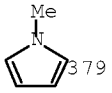




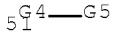


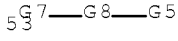


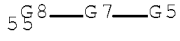


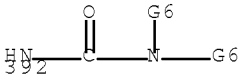


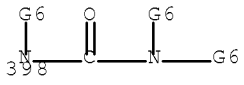
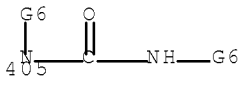
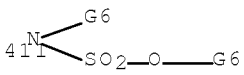
G2 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> / alkoxy <containing 1-6 C> / CHO / alkylcarbonyl <containing 1-6 C> / alkylcarbonyloxy <containing 1-6 C> / OCHO / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / NHCHO / alkylcarbonylamino <containing 1-6 C> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> / alkoxycarbonyl <containing 1-6 C> / alkoxycarbonylamino <containing 1-6 C> / alkylaminosulfonyl <containing 1-6 C> / dialkylaminosulfonyl <each alkyl containing 1-6 C> / alkylsulfonylamino <containing 1-6 C> / carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.) / 51 / 53 / 55 / 392 / 398 / 405 / 411



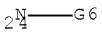




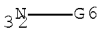


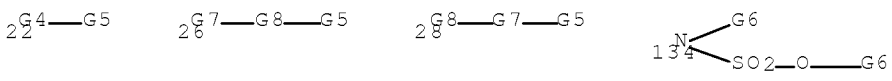
G4 = O / NH / 24 / C(O) / S / S(O) / SO2

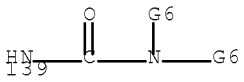


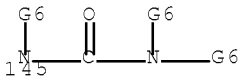
G5 = carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.)
G6 = alkyl <containing 1-6 C>
G7 = C(O) / SO2
G8 = NH / 32

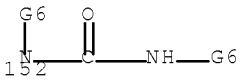


G11 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> / alkoxy <containing 1-6 C> / CHO / alkylcarbonyl <containing 1-6 C> / alkylcarbonyloxy <containing 1-6 C> / OCHO / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / NHCHO / alkylcarbonylamino <containing 1-6 C> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> / alkoxycarbonylamino <containing 1-6 C> / 134 / 139 / 145 / 152 / alkoxycarbonyl <containing 1-6 C> / alkylaminosulfonyl <containing 1-6 C> / dialkylaminosulfonyl <each alkyl containing 1-6 C> / alkylsulfonylamino <containing 1-6 C> / carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.) / 22 / 26 / 28 / CH2Ph / CO2CH2Ph / COPh / SO2Ph



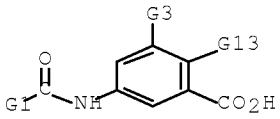




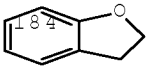


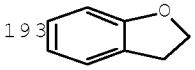
G14 = Me / Bu-t
Patent location: claim 11

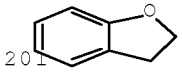
MSTR 4

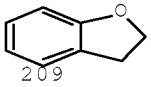


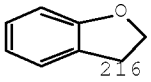
G1 = Ph (opt. substd. by (1-4) G2) /
aryl <bicyclic> (opt. substd. by (1-4) G2) /
heterocycle <containing zero or more N, zero or more O, zero or more S, 1 or more double bonds, mono- or bicyclic, including 5- or 6-membered rings>
(opt. substd. by 1 or more G11) /
(Specifically claimed: pyridyl / pyrazolyl / thienyl / indolyl / 184 / 193 / 201 / 209 / 216 / 224 / 239 / 247 / 255 / 263 / 269 / 279 / isoxazolyl / benzimidazolyl / 293 / 304 / 314 / 324 / 333 / 342 / furyl / thiazolyl / pyrimidinyl / pyrrolyl / 355 / 358 / 373 / 379)

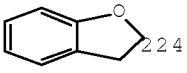


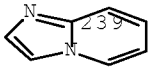


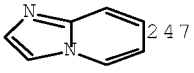


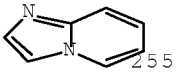


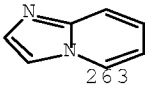


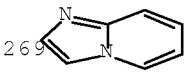


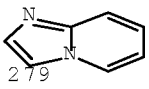


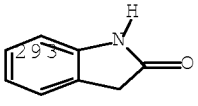


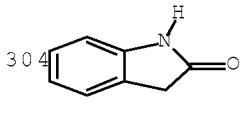


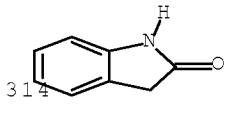


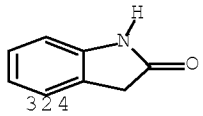


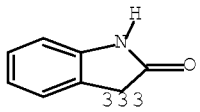


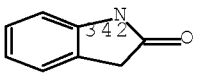


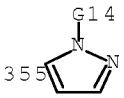


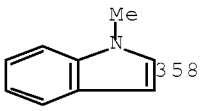


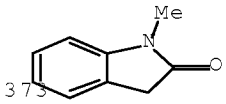


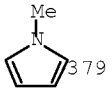




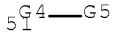


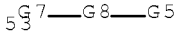


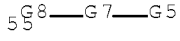


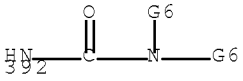


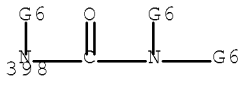
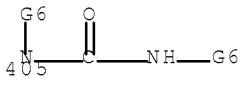
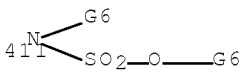
G2 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> / alkoxy <containing 1-6 C> / CHO / alkylcarbonyl <containing 1-6 C> / alkylcarbonyloxy <containing 1-6 C> / OCHO / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / NHCHO / alkylcarbonylamino <containing 1-6 C> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> / alkoxycarbonyl <containing 1-6 C> / alkoxycarbonylamino <containing 1-6 C> / alkylaminosulfonyl <containing 1-6 C> / dialkylaminosulfonyl <each alkyl containing 1-6 C> / alkylsulfonylamino <containing 1-6 C> / carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.) / 51 / 53 / 55 / 392 / 398 / 405 / 411



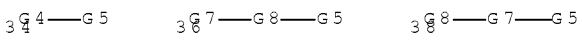




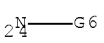


G3 = H / F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> / alkoxy <containing 1-6 C> / CHO / alkylcarbonyl <containing 1-6 C> / alkylcarbonyloxy <containing 1-6 C> / OCHO / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / NHCHO / alkylcarbonylamino <containing 1-6 C> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C> / alkoxycarbonyl <containing 1-6 C> / alkylaminosulfonyl <containing 1-6 C> / dialkylaminosulfonyl <each alkyl containing 1-6 C> / alkylsulfonylamino <containing 1-6 C> / carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.) / 34 / 36 / 38



G4 = O / NH / 24 / C(O) / S / S(O) / SO2

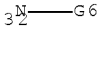


G5 = carbocycle <containing 3-12 C, mono- or bicyclic> (opt. substd.) / heterocycle <containing 4-12 atoms, zero or more N, zero or more O, zero or more S, mono- or bicyclic> (opt. substd.)

G6 = alkyl <containing 1-6 C>

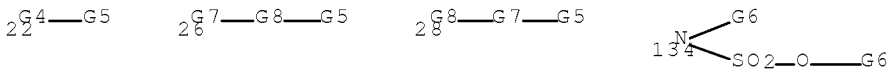
G7 = C(O) / SO2

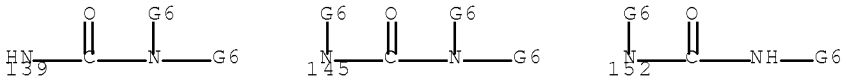
G8 = NH / 32



G11 = F / Cl / Br / I / NO2 / CN / OH / OCF3 / NH2 / CO2H / CONH2 / SH / SO2NH2 / alkyl <containing 1-6 C> / alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /

alkoxy <containing 1-6 C> / CHO /
alkylcarbonyl <containing 1-6 C> /
alkylcarbonyloxy <containing 1-6 C> / OCHO /
alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> / NHCHO /
alkylcarbonylamino <containing 1-6 C> /
alkylaminocarbonyl <containing 1-6 C> /
dialkylaminocarbonyl <each alkyl containing 1-6 C> /
alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6
C> / alkylsulfonyl <containing 1-6 C> /
alkoxycarbonylamino <containing 1-6 C> / 134 / 139 / 145 /
152 / alkoxycarbonyl <containing 1-6 C> /
alkylaminosulfonyl <containing 1-6 C> /
dialkylaminosulfonyl <each alkyl containing 1-6 C> /
alkylsulfonylamino <containing 1-6 C> /
carbocycle <containing 3-12 C, mono- or bicyclic>
(opt. substd.) / heterocycle <containing 4-12 atoms,
zero or more N, zero or more O, zero or more S,
mono- or bicyclic> (opt. substd.) / 22 / 26 / 28 / CH2Ph /
CO2CH2Ph / COPh / SO2Ph





G13 = F / Cl / Br / I / OH / CN / Me / OMe / CH2OH
G14 = Me / Bu-t
Patent location: claim 11

AN 145:103567 MARPAT Full-text
ANPL 2006:631355

=> d ibib ab hit 2-8
YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

L51 ANSWER 2 OF 8 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:233089 MARPAT Full-text
TITLE: Preparation of aryl-amino substituted pyrrolopyrimidine multi-kinase inhibiting compounds as antiproliferative, particularly antitumor agents
INVENTOR(S): Ahmed, Saleh; Barba, Oscar; Bloxham, Jason; Dawson, Graham; Gattrell, William; Kitchin, John; Pegg, Neil Anthony; Saba, Imaad; Shadiq, Shazia; Smith, Colin Peter Sambrook; Smyth, Don; Steinig, Arno G.; Wilkes,

Robin; Foreman, Kenneth; Weng, Qinghua Felix; Stolz, Kathryn; Tavares, Paula; Panicker, Bijoy; Li, An-Hu; Dong, Hanqing; Ma, Lifu; Cox, Matthew

PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 253 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

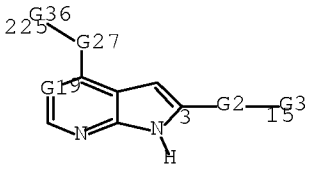
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

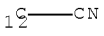
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006017443	A2	20060216	WO 2005-US27274	20050801
WO 2006017443	A3	20070118		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2575808	A1	20060216	CA 2005-2575808	20050801
US 20060211678	A1	20060921	US 2005-194158	20050801
EP 1797054	A2	20070620	EP 2005-778352	20050801
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101052629	A	20071010	CN 2005-80033538	20050801
JP 2008508358	T	20080321	JP 2007-524889	20050801
BR 2005014094	A	20080527	BR 2005-14094	20050801
MX 200701399	A	20070418	MX 2007-1399	20070201
IN 2007CN00519	A	20070824	IN 2007-CN519	20070206
PRIORITY APPLN. INFO.:			US 2004-598173P	20040802
			US 2005-698516P	20050712
			WO 2005-US27274	20050801

AB Title compds. I [X = N, C-CN; A = 1,4-piperidinylene, 1,4-pyrazinylene, 1,2,3,6-tetrahydro-1,4-pyridinylene, etc.; Z = (un)substituted hetaryl, alkyloxyalkyl, alkylsulfonyl, dialkylamino, hetarylsulfonyl, etc.; Y = O, S, -N(alkyl)-, etc.; R1 = (un)substituted het-aryl, heterocyclyl; and their stereoisomers, and their pharmaceutically acceptable salts] were prepared as inhibitors of least two of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mekl, PDK-1, GSK3β, EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, IGF-1R, Ron, Ret, and KDR kinases in animals, including humans, for the treatment and/or prevention of various diseases and conditions such as cancer. For example, Pd-coupling of (1H-indazol-5-yl)(6-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amine with [1-(2-methoxyethyl)-2-oxo-1,2-dihydropyridin-4-yl]boronic acid gave pyrrolopyrimidine II. In kinase inhibition studies, selected I inhibited at least 2 of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3β, EGFR, p70S6K, BMX, SGK,CaMKII, Tie-2, Ret and KDR kinases at an IC50 of greater than 50% inhibition at 10 to 14 nM.

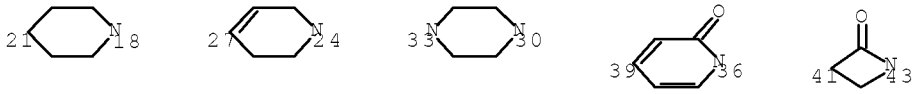
MSTR 1



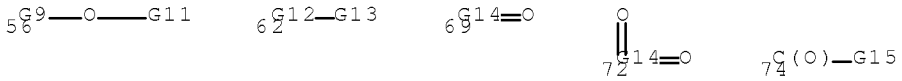
G1 = N / 12

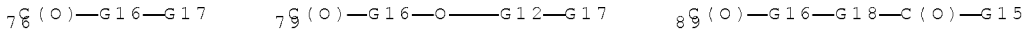


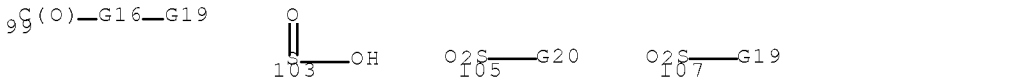
G2 = 21-3 18-15 / 27-3 24-15 / 33-3 30-15 / 39-3 36-15 / 41-3 43-15

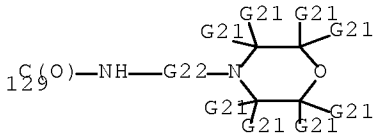


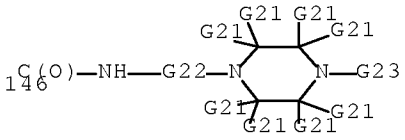
G3 = heteroaryl <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4) / 56 / heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4) / 69 / 72 / 62 / heteroaryl <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4) / 74 / 76 / 79 / 89 / 99 / 103 / alkylsulfonyl <containing 1 or more C> (opt. substd. by (1-6) G10) / 105 / 107 / 129 / 146 / 164 / 182 / 198 / 216 / 220 / (Specifically claimed: CO2Bu-t / 286)

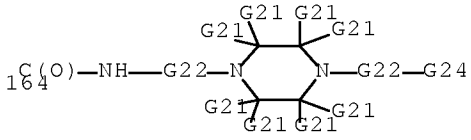


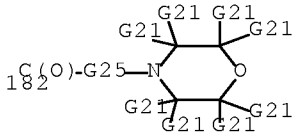


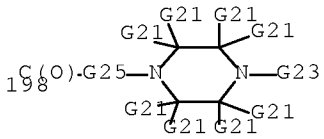


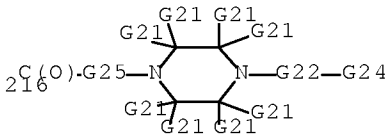


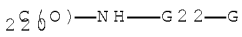


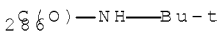




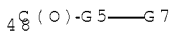




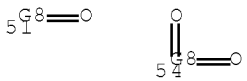




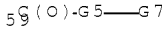
G4 = F / Cl / Br / I / OH / 46 / 48 /
alkyl <containing 1-6 C>



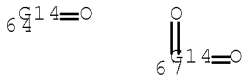
G5 = bond / alkylene <containing 1-6 C>
G6 = OH / alkoxy <containing 1-6 C> / NH2 /
alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C>
G7 = NH2 / alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> /
heterocycle <containing zero or more O, zero or more S,
zero or more N> (opt. substd. by alkyl <containing 1-4 C>) /
51 / 54



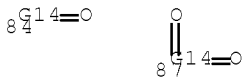
G8 = heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd. by alkyl <containing 1-4 C>)
G9 = alkylene <containing 1 or more C> (opt. substd. by (1-6) G10)
G10 = F / Cl / Br / I / OH / OH / alkoxy <containing 1-6 C> / NH2 / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / 59



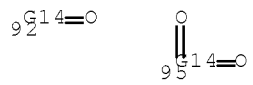
G11 = alkyl <containing 1 or more C> (opt. substd. by (1-6) G10)
G12 = alkylene <containing 1 or more C> (opt. substd. by (1-6) G10)
G13 = heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4) / 64 / 67 / heteroaryl <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4)



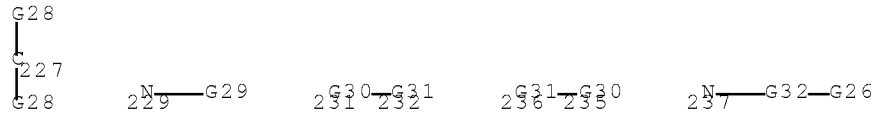
G14 = heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4)
G15 = H / alkyl <containing 1 or more C> (opt. substd. by (1-6) G10)
G16 = bond / alkylene <containing 1 or more C> (opt. substd. by (1-6) G10)
G17 = OH / alkoxy <containing 1 or more C> (opt. substd. by (1-6) G10) / NH2 / alkylamino <containing 1 or more C> (opt. substd. by (1-6) G10) / dialkylamino <each alkyl containing 1 or more C> (opt. substd. by (1-6) G10) / heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4) / 84 / 87



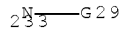
G18 = heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4) / 92 / 95



G19 = heteroaryl <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G4)
G20 = NH2 / alkylamino <containing 1 or more C> (opt. substd. by (1-6) G10) / dialkylamino <each alkyl containing 1 or more C> (opt. substd. by (1-6) G10)
G21 = H / alkyl <containing 1-6 C>
G22 = alkylene <containing 2-6 C>
G23 = H / alkyl <containing 1-6 C>
G24 = OH / NH2 / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C>
G25 = alkylene <containing 1-6 C>
G26 = NH2 / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C>
G27 = 227 / NH / 229 / 231-225 232-9 / 236-225 235-9 / O / S / 237 / 240 / 243 / 247

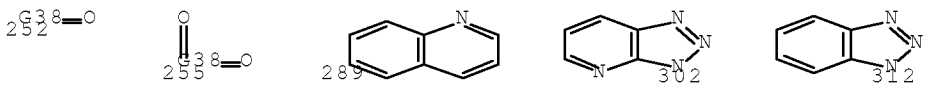


G28 = H / alkyl <containing 1-6 C>
G29 = alkyl <containing 1-6 C>
G30 = NH / 233

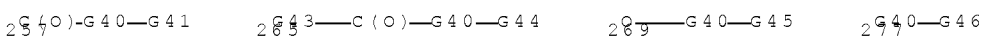


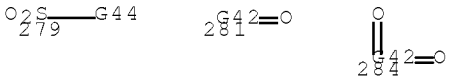
G31 = alkylene <containing 1-6 C>
G32 = bond / alkylene <containing 2-6 C>
G33 = OH / alkoxy <containing 1-6 C>
G34 = NH2 / alkylamino <containing 1-6 C>
G35 = alkylene <containing 2-6 C>
G36 = aryl (opt. substd. by (1-6) G37) / heteroaryl <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G37) / heterocycle <containing zero or more O, zero or more S,

zero or more N> (opt. substd. by (1-6) G37) / 252 / 255 /
(Specifically claimed: 289 / 302 / 312)

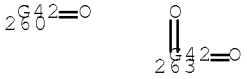


G37 = F / Cl / Br / I / CN / OH /
alkyl <containing 1 or more C> (opt. substd. by 1 or more G39) / carbocycle <containing 3-10 C> (opt. substd.) / alkynyl <containing 2-6 C> (opt. substd.) / NH2 / alkylamino <containing 1-6 C> (opt. substd.) / dialkylamino <each alkyl containing 1-6 C> (opt. substd.) / 257 / 265 / 269 / 277 / 279 / aryl (opt. substd.) / heteroaryl <containing zero or more O, zero or more S, zero or more N> (opt. substd.) / heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd.) / 281 / 284



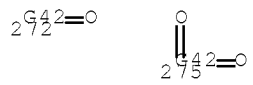


G38 = heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd. by (1-6) G37) / carbocycle <aromatic, 6 or more normalized bonds, 1 or more 6-membered rings> (opt. substd. by (1-6) G37)
G39 = F / Cl / Br / I / R
G40 = bond / alkylene <containing 1-6 C> (opt. substd.)
G41 = NH2 / alkylamino <containing 1-6 C> (opt. substd.) / dialkylamino <each alkyl containing 1-6 C> (opt. substd.) / heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd.) / 260 / 263



G42 = heterocycle <containing zero or more O, zero or more S, zero or more N> (opt. substd.)
G43 = alkylene <containing 1-6 C> (opt. substd.)
G44 = NH2 / alkylamino <containing 1-6 C> (opt. substd.) / dialkylamino <each alkyl containing 1-6 C> (opt. substd.)
G45 = heterocycle <containing zero or more O,

zero or more S, zero or more N> (opt. substd.) / 272 / 275



G46 = OH / alkoxy <containing 1-6 C> (opt. substd.) /
NH2 / alkylamino <containing 1-6 C> (opt. substd.) /
dialkylamino <each alkyl containing 1-6 C> (opt. substd.) /
heteroaryl <containing zero or more O, zero or more S,
zero or more N> (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts

AN 144:233089 MARPAT Full-text
ANPL 2006:152715

L51 ANSWER 3 OF 8 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:192247 MARPAT Full-text
TITLE: Substituted cyclic urea derivatives, preparation thereof and pharmaceutical use thereof as kinase inhibitors for treating cancer and other diseases
INVENTOR(S): Strobel, Hartmut; Nemecek, Conception; Lesuisse, Dominique; Ruf, Sven; Guessregen, Stefan; Lebrun, Anne; Ritter, Kurt; Malleron, Jean-Luc
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1621539	A1	20060201	EP 2004-291905	20040727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
AU 2005266461	A1	20060202	AU 2005-266461	20050725
CA 2571324	A1	20060202	CA 2005-2571324	20050725
WO 2006010642	A1	20060202	WO 2005-EP8721	20050725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1773828	A1	20070418	EP 2005-776537	20050725
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

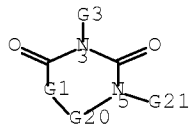
CN 1993359	A	20070704	CN 2005-80025520	20050725
JP 2008508229	T	20080321	JP 2007-523039	20050725
SG 140591	A1	20080328	SG 2008-1117	20050725
BR 2005013863	A	20080520	BR 2005-13863	20050725
IN 2006KN03877	A	20070622	IN 2006-KN3877	20061221
MX 200700735	A	20070330	MX 2007-735	20070118
KR 2007044440	A	20070427	KR 2007-702138	20070126
US 20070259891	A1	20071108	US 2007-627505	20070126
NO 2007001073	A	20070426	NO 2007-1073	20070226
PRIORITY APPLN. INFO.:			EP 2004-291905	20040727
			WO 2005-EP8721	20050725

OTHER SOURCE(S): CASREACT 144:192247

AB The invention relates to the products of formula I (wherein V = a monocyclic or bicyclic heterocycle; R1 = O or NH, p = 0-2; Y and Y1 = OCF3, SF5, (un)substituted alkyl, cycloalkyl, alkylamino, etc.; R2, R2', R3 and R3' = H, halogen, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and heteroaryl, or 2 of R2, R2', R3 and R3' form, together with the C atom(s) to which they are attached, a carbocyclic or heterocyclic radical; A = a bond, alkylene, alkenyl, alkynyl, CO, SO2, O, NH, NH-alkyl; B =s a saturated or unsatd. monocyclic or bicyclic heterocyclic radical; Y2 = H, halogen, OH, CN, alkyl, alkoxy, etc.) as kinase inhibitors for treating cancer and other diseases (no biol. data given). Thus, II was prepared in 2 steps from 2-amino-4-phenylthiazole and 3-methyl-2-[(pyridin-4-ylmethyl)amino]butyric acid Me ester.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 1

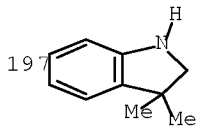


G1 = (0-2) 10

G2 = H / F / Cl / Br / I / carbon chain <containing up to 12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / cycloalkyl <containing 3-10 C> (opt. substd.) / aryl (opt. substd.) / heteroaryl <containing up to 10 atoms, 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms)> (opt. substd.) / (Specifically claimed: Me)

G3 = 12 / (Specifically claimed: 197)

$_{12}^{G4}$—$_{13}^{G12}$



G4 = arylene (opt. substd. by 1 or more G34) /
heteroarylene <containing up to 10 atoms,
1 or more heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms)>
(opt. substd. by 1 or more G34) /
carbocycle <bicyclic> (opt. substd. by 1 or more G34) /
heterocycle <containing 5-11 atoms, 1-2 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms)>
(opt. substd. by 1 or more G34) / 16-3 17-13 /
(Specifically claimed: phenylene (opt. substd. by G29))

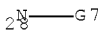
$_{18}^{G5}$—$_{17}^{G6}$

G5 = arylene (opt. substd. by 1 or more G34) /
heteroarylene <containing up to 10 atoms,
1 or more heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms)>
(opt. substd. by 1 or more G34) /
carbocycle <bicyclic> (opt. substd. by 1 or more G34) /
heterocycle <containing 5-11 atoms, 1-2 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms)>
(opt. substd. by 1 or more G34)
G6 = O / C(O) / S / S(O) / SO2 / NH / 18 / 20-16 21-13 /
22-16 23-13 / 32-16 34-13 / 35-16 37-13 / 39-16 40-13

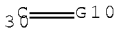
$_{18}^{N}$——G7 $_{20}^{G11}$—$_{21}^{G8}$ $_{22}^{G8}$—$_{23}^{G11}$ $_{32}^{G8}$——G9——$_{34}^{G8}$ $_{35}^{G8}$——C(O)$_{37}^{O}$

$_{39}^{C(O)}$$_{40}^{O}$

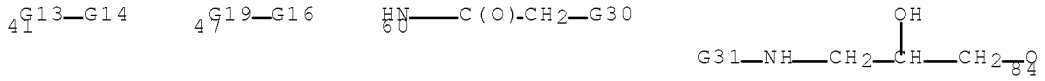
G7 = H / carbon chain <containing up to 12 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / cycloalkyl <containing 3-10 C>
(opt. substd.) / aryl (opt. substd.) /
heteroaryl <containing up to 10 atoms, zero or more N,
zero or more O, zero or more S (no other heteroatoms)>
(opt. substd.)
G8 = NH / 28

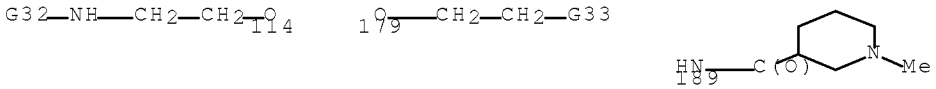


G9 = 30 / SO2

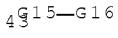


G10 = O / S
G11 = C(O) / SO2
G12 = 41 / 47 / (Specifically claimed: 60 / 84 / 114 / 179 / 189)

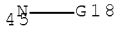




G13 = carbon chain <containing up to 12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / cycloalkylene <containing 3-10 C> (opt. substd.) / phenylene (opt. substd.) / heteroarylene <containing up to 10 atoms, 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms)> (opt. substd.)
G14 = NH2 / 43 / heterocycle <containing 4-10 atoms, 1 or more heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N> (opt. substd.)



G15 = NH / 45



G16 = carbon chain <containing up to 12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / cycloalkyl <containing 3-10 C>

(opt. substd.) / aryl (opt. substd.) /
heteroaryl <containing up to 10 atoms,
1 or more heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms)> (opt. substd.) /
alkyl <containing up to 12 C> (substd. by 1 or more G17)

G17 = aryl (opt. substd.) / heteroaryl <containing up to
10 atoms, 1 or more heteroatoms, zero or more N,
zero or more O, zero or more S (no other heteroatoms)>
(opt. substd.)

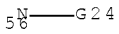
G18 = carbon chain <containing up to 12 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / cycloalkyl <containing 3-10 C>
(opt. substd.)

G19 = heterocycle <containing 4-8 atoms,
1 or more heteroatoms, 1 or more N, zero or more O,
zero or more S (no other heteroatoms)> (opt. substd.)

G20 = 50 / carbocycle <containing 3-10 C> (opt. substd.) /
heterocycle <containing 3-10 atoms, 1 or more heteroatoms,
zero or more N, no O, zero or more S (no other heteroatoms)>
(opt. substd.)

G21 = heterocycle <containing 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms)> (opt. substd. by 1 or more G25) / 54 /
(Specifically claimed: 58)

G22 = carbon chain <containing up to 12 C,
0 or more double bonds, 0 or more triple bonds> /
cycloalkylene <containing 3-10 C> / O / C(O) / SO2 / NH / 56

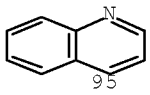


G23 = heterocycle <containing 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms)> (opt. substd. by 1 or more G25)

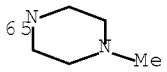
G24 = H / alkyl <containing up to 12 C> /
cycloalkyl <containing 3-10 C>

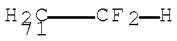
G25 = F / Cl / Br / I / carbon chain <containing up to 12
C, 0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / cycloalkyl <containing 3-10 C>
(opt. substd.) / aryl (opt. substd.) /
alkyl <containing up to 12 C> (substd. by 1 or more G26) /
heteroaryl <containing up to 10 atoms,
1 or more heteroatoms, zero or more N, zero or more O,

zero or more S (no other heteroatoms)> (opt. substd.) /
alkyl <containing up to 12 C> (substd. by 1 or more G27)
G26 = aryl (opt. substd.) / R
G27 = heteroaryl <containing up to 10 atoms,
1 or more heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms)> (opt. substd.)
G28 = 4-pyridyl / 95

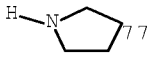


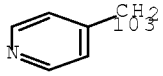
G29 = R / OCF3 / OMe
G30 = morpholino / NEt2 / thiomorpholino / pyrrolidino /
65 / 71

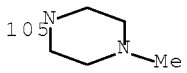


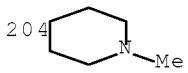


G31 = 77 / 4-pyridyl / morpholino / 103 / 105 / 204

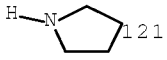


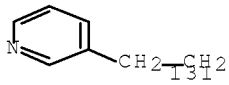


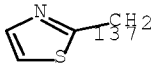


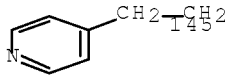


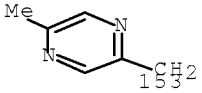
G32 = 121 / 4-pyridyl / 131 / 137 / Et / CH2CH2OH /
pyrrolidino / 145 / 153 / 159 / 166 / cyclopentyl / 167 /
211 / 223

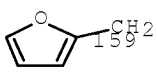


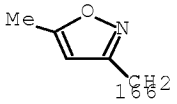


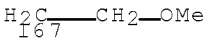


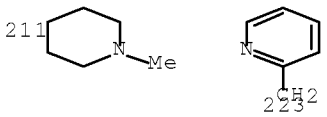




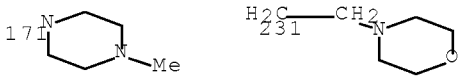








G33 = 171 / morpholino / pyrrolidino / 231



G34 = F / Cl / Br / I / OH /
carbon chain <containing up to 12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) /
cycloalkyl <containing 3-10 C> (opt. substd.) /
alkoxy <containing up to 12 C> (opt. substd.)
Patent location: claim 1
Note: additional ring formation and oxo formation also claimed
Note: and addition salts
Stereochemistry: and racemic, enantiomeric, and diastereoisomeric forms

AN 144:192247 MARPAT Full-text
ANPL 2006:94804

L51 ANSWER 4 OF 8 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:22931 MARPAT Full-text
TITLE: Preparation of Raf modulators and their use in treatment of kinase-dependent diseases
INVENTOR(S): Anand, Neel Kumar; Blazey, Charles M.; Bowles, Owen Joseph; Bussenius, Joerg; Costanzo, Simona; Curtis, Jeffry Kimo; Dubenko, Larisa; Kennedy, Abigail R.; Defina, Steven Charles; Kim, Angie I.; Manalo, Jean-Claire L.; Peto, Csaba J.; Rice, Kenneth D.; Tsang, Tsze H.
PATENT ASSIGNEE(S): Exelixis, Inc., USA; Joshi, Anagha Abhijit
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112932	A2	20051201	WO 2005-US10187	20050325
WO 2005112932	A3	20061123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,

SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

AU 2005244736	A1	20051201	AU 2005-244736	20050325
CA 2565200	A1	20051201	CA 2005-2565200	20050325
EP 1751124	A2	20070214	EP 2005-731363	20050325
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2007536224	T	20071213	JP 2007-511366	20050325
US 20080009488	A1	20080110	US 2007-568789	20070904
PRIORITY APPLN. INFO.:			US 2004-569009P	20040507
			WO 2005-US10187	20050325

AB The invention is related to compds. of formula (I) [A = (un)substituted 3- to 7-membered ortho-arylene, or a 5- to 6-membered ortho-heteroarylene; X1, X2, X3 = independently O, -N:, SO, SO2, S, etc.; E, Y = independently absent, CH2 and derivs., CO, -CH: and derivs., -N:, but E, Y are not both absent, and E, Y are not both -N: when both Z and X are -N:; G = CHO and derivs., (un)substituted arylalkyl, heterocyclylalkyl, SH and derivs., etc.; with the exception of certain compds.], and their tautomers, and their pharmaceutically acceptable salts, hydrates and prodrugs useful for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. I modulate protein kinase enzymic activity to modulate cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. I inhibit, regulate and/or modulate kinases, particularly Raf. Methods of using compds. I, and their pharmaceutical compns., to treat kinase-dependent diseases and conditions are also an aspect of the invention. The invention is also related to the preparation of compds. I. For example, reacting 2-[(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6- yl)carbonyl]benzoic acid with 4-chlorobenzylamine gave II in 15% yield. Selected I inhibited c-Raf kinase with IC50 values of less than 100 nM.

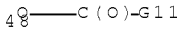
MSTR 1

G25—G12

G1 = carbocycle <containing 3 or more C, non-aromatic> (opt. substd.) / heterocycle <containing zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms), 3 or more C, polycyclic> (opt. substd.) / carbocycle <containing 6 or more C, aromatic, 6 or more normalized bonds, mono- or polycyclic, 1 or more 6-membered rings> (opt. substd. by 1 or more G2) / o-C6H4 / heteroarylene <containing zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms), mono- or polycyclic> (opt. substd.)

G2 = F / Cl / Br / I / CN / NO2 / OH / 15 / NH2 / SH / 17 / 19 / heterocycle <containing 5-7 atoms, 1 or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms),

attached through 1 or more N> (opt. substd.) / 24 / 31 / 44 / 48 / carbon chain <containing 1-6 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / aryl <containing 6-14 C> (opt. substd.) / heterocycle <containing 3-15 atoms, 1-5 heteroatoms, zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms)> (opt. substd.) / carbon chain <containing 1-6 C, 0 or more double bonds, 0 or more triple bonds> (substd. by 1 or more G5)



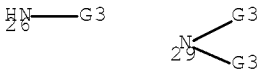
G3 = carbon chain <containing 1-6 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / carbocycle <containing 3-7 C, non-aromatic, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / aryl <containing 6-14 C> (opt. substd.) / heterocycle <containing 3-15 atoms, 1-5 heteroatoms, zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms)> (opt. substd.) / carbon chain <containing 1-3 C, 0 or more double bonds, 0 or more triple bonds> (substd. by 1 or more G5)

G4 = O / NH / 22 / S / S(O) / SO2

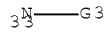
G5 = aryl <containing 6-14 C> (opt. substd.) / heterocycle <containing 3-15 atoms, 1-5 heteroatoms, zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms)> (opt. substd.)

G6 = SO2 / C(O)

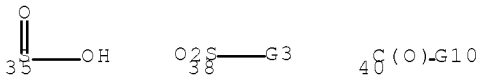
G7 = NH2 / 26 / 29 / heterocycle <containing 5-7 atoms, 1 or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms), attached through 1 or more N> (opt. substd.)



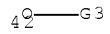
G8 = NH / 33



G9 = 35 / 38 / 40

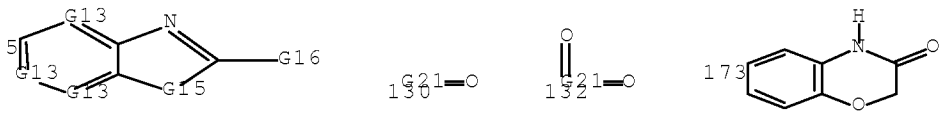


G10 = H / carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,
zero or more N, zero or more O, zero or more S,
zero or more P (no other heteroatoms)> (opt. substd.) /
carbon chain <containing 1-3 C, 0 or more double bonds,
0 or more triple bonds> (substd. by 1 or more G5) / OH / 42

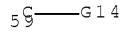


G11 = H / carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,
zero or more N, zero or more O, zero or more S,
zero or more P (no other heteroatoms)> (opt. substd.) /
carbon chain <containing 1-3 C, 0 or more double bonds,
0 or more triple bonds> (substd. by 1 or more G5)

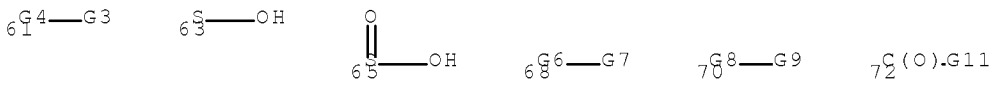
G12 = any ring <containing 9-10 atoms, 3 or more C,
0-6 heteroatoms, 0-6 N, 0-2 O, 0-2 S (no other heteroatoms),
aromatic, 6 or more normalized bonds, bicyclic,
(0-1) 5-membered, (1-2) 6-membered rings only>
(opt. substd. by 1 or more G19) / 130 / 132 / 5 / 173

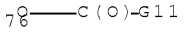


G13 = N / 59

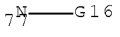


G14 = H / F / Cl / Br / I / CN / NO2 / OH / 61 / NH2 /
SH / 63 / 65 / heterocycle <containing 5-7 atoms,
1 or more N, zero or more O, zero or more S,
zero or more P (no other heteroatoms),
attached through 1 or more N> (opt. substd.) / 68 / 70 / 72 /
76 / carbon chain <containing 1-6 C, 0 or more double bonds,
0 or more triple bonds> (opt. substd.) /
aryl <containing 6-14 C> (opt. substd.) /
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,
zero or more N, zero or more O, zero or more S,
zero or more P (no other heteroatoms)> (opt. substd.) /
carbon chain <containing 1-6 C, 0 or more double bonds,
0 or more triple bonds> (substd. by 1 or more G5)

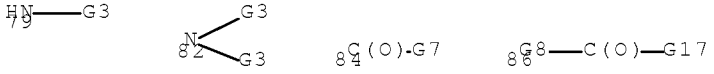




G15 = 77 / S / S(O) / SO2 / O

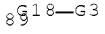


G16 = H / carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,
zero or more N, zero or more O, zero or more S,
zero or more P (no other heteroatoms)> (opt. substd.) /
carbon chain <containing 1-3 C, 0 or more double bonds,
0 or more triple bonds> (substd. by 1 or more G5) / NH2 /
79 / 82 / heterocycle <containing 5-7 atoms, 1 or more N,
zero or more O, zero or more S,
zero or more P (no other heteroatoms),
attached through 1 or more N> (opt. substd.) / 84 / 86

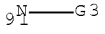


G17 = H / carbon chain <containing 1-6 C,

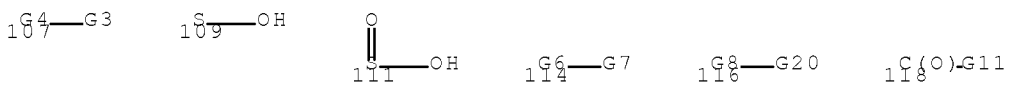
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,
zero or more N, zero or more O, zero or more S,
zero or more P (no other heteroatoms)> (opt. substd.) /
carbon chain <containing 1-3 C, 0 or more double bonds,
0 or more triple bonds> (substd. by 1 or more G5) / OH /
NH2 / 89 / heterocycle <containing 5-7 atoms, 1 or more N,
zero or more O, zero or more S,
zero or more P (no other heteroatoms),
attached through 1 or more N> (opt. substd.)

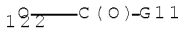


G18 = O / NH / 91

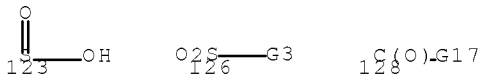


G19 = F / Cl / Br / I / CN / NO2 / OH / 107 / NH2 / SH /
109 / 111 / heterocycle <containing 5-7 atoms, 1 or more N,
zero or more O, zero or more S,
zero or more P (no other heteroatoms),
attached through 1 or more N> (opt. substd.) / 114 / 116 /
118 / 122 / carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / aryl <containing 6-14 C> (opt. substd.) /
heterocycle <containing 3-15 atoms, 1-5 heteroatoms,
zero or more N, zero or more O, zero or more S,
zero or more P (no other heteroatoms)> (opt. substd.) /
carbon chain <containing 1-6 C, 0 or more double bonds,
0 or more triple bonds> (substd. by 1 or more G5)



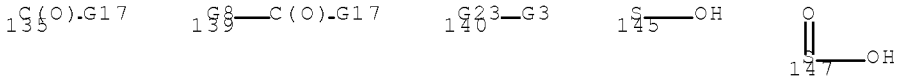


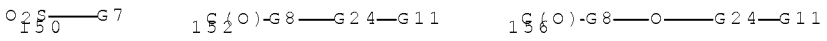
G20 = 123 / 126 / 128



G21 = any ring <containing 9-10 atoms, 3 or more C, 0-6 heteroatoms, 0-6 N, 0-2 O, 0-2 S (no other heteroatoms), aromatic, 6 or more normalized bonds, bicyclic, (0-1) 5-membered, (1-2) 6-membered rings only> (opt. substd.)

G22 = 135 / 139 / NH2 / 140 / SH / 145 / 147 / heterocycle <containing 5-7 atoms, 1 or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms), attached through 1 or more N> (opt. substd.) / 150 / 152 / 156 / aryl <containing 6-14 C> (opt. substd.) / heterocycle <containing 3-15 atoms, 1-5 heteroatoms, zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms)> (opt. substd.) / carbon chain <containing 1-3 C, 0 or more double bonds, 0 or more triple bonds> (substd. by 1 or more G5)

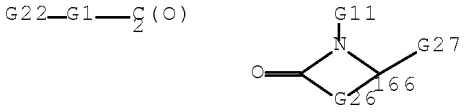




G23 = NH / 143 / S / S(O) / SO2

G24 = bond / carbon chain <containing 1 or more C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.) / CH2

G25 = 2 / 166



G26 = carbocycle <containing 3 or more C, non-aromatic> (opt. substd.) / heterocycle <containing zero or more N, zero or more O, zero or more S, zero or more P (no other heteroatoms), 3 or more C, polycyclic> (opt. substd.) / carbocycle <containing 6 or

more C, aromatic, 6 or more normalized bonds,
mono- or polycyclic, 1 or more 6-membered rings>
(opt. substd. by 1 or more G2) / o-C6H4 /
heteroarylene <containing zero or more N, zero or more O,
zero or more S, zero or more P (no other heteroatoms),
mono- or polycyclic> (opt. substd.)
G27 = H / OH / carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / 170

~~170~~ G28

G28 = carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts, hydrates or prodrugs
Note: also incorporates later claims
Note: substitution is restricted

AN 144:22931 MARPAT Full-text
ANPL 2005:1262437

L51 ANSWER 5 OF 8 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:266924 MARPAT Full-text
TITLE: Preparation of ureidoalkyl-substituted benzimidazole derivatives as kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Burgdorf, Lars; Stieber, Frank; Amendt, Christiane; Grell, Mathias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

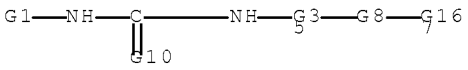
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082862	A2	20050909	WO 2005-EP1445	20050214
WO 2005082862	A3	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005217042	A1	20050909	AU 2005-217042	20050214
CA 2557398	A1	20050909	CA 2005-2557398	20050214
EP 1718637	A2	20061108	EP 2005-715321	20050214

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

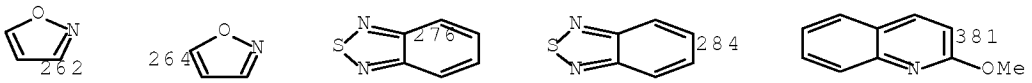
JP 2007523929	T	20070823	JP 2007-500097	20050214
US 20070191444	A1	20070816	US 2006-590798	20060825
PRIORITY APPLN. INFO.:			EP 2004-4332	20040226
			EP 2004-4967	20040303
			WO 2005-EP1445	20050214

AB Title compds. I [Ar1 = aromatic hydrocarbon; E, D = divalent alkyl; R8-10 = H, cyloalkyl, halo, alkylhalo, etc.; Y = O, S, etc.; p = 0-5; q = 0-4] are prepared For instance, N-[2-(4-nitrophenyl)ethyl]acetamide is reduced, acetylated and deacylated to give 4-(2-aminoethyl)-3-nitroaniline. This is converted to the urea with 4-chloro-3-(trifluoromethyl)isocyanate and subsequently reduced to the corresponding diamine. Treatment of this with cyanogen bromide and subsequent acetylation provide example compound II. I are modulators of, e.g., A-Raf, B-Raf, Tie-1, etc. kinases [no data] and are useful for the treatment of cancer.

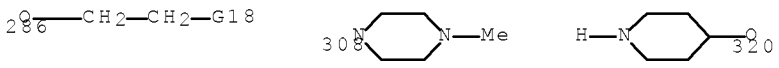
MSTR 1

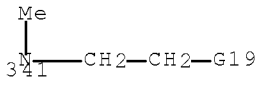
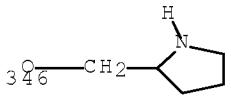
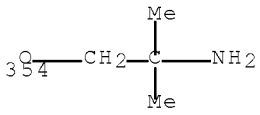


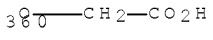
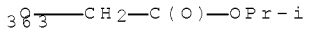
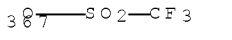
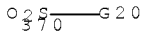
G1 = aryl <containing 6-14 C> (opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4) / (Specifically claimed: Ph (opt. substd. by 1 or more G2) / 262 / 264 / thienyl (opt. substd. by 1 or more G22) / pyridyl (opt. substd. by 1 or more G21) / isoquinolinyl (opt. substd.) / 276 / 284) / (Example: 381)

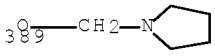


G2 = R / (Specifically claimed: Cl / CF3 / 286 / OMe / Me / 389) / (Examples: 308 / 320 / morpholino / 341 / 346 / 354 / piperazino / NMe2 / NEt2 / pyrrolidino / NHMe / 360 / CO2Me / 363 / Et / SO2Me / 367 / OSO2Me / 370 / Pr-i / SCN / CO2Et / NO2)

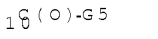
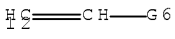
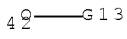


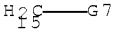







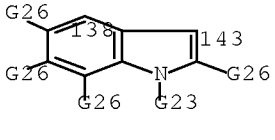
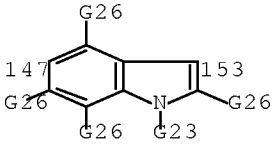
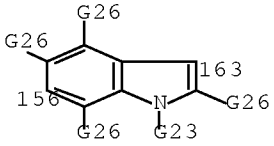
G3 = carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds>
(opt. substd. by 1 or more G9) / G14
G4 = H / carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) /
cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /
Br / I / NO2 / NH2 (opt. substd.) / OH (opt.
substd.) /
heterocycle <containing 1-3 N, zero or more O,
zero or more S (no other heteroatoms),
attached through 1 or more N, 5- to 7-membered monocyclic
ring> (opt. substd.) / 10 / 12 / OCN / NCO / 42 / R

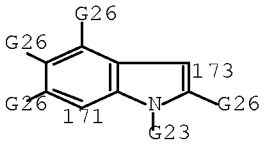




G5 = OH (opt. substd.) / NH2 (opt. substd.) /
carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / R
G6 = CO2H (opt. substd.) / 15

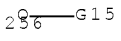


G7 = NH2 (opt. substd.) / OH (opt. substd.)
G8 = 138-5 143-7 / 147-5 153-7 / 156-5 163-7 /
171-5 173-7

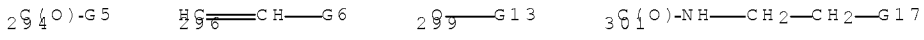


G9 = cycloalkyl <containing 3 or more C> (opt. substd.) / 256 / heterocycle <containing 3 or more atoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), non-aromatic, saturated> (opt. substd.)

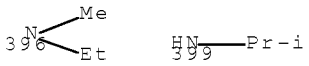


G10 = O / S / NH (opt. substd.) / 21 / 24

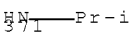
G11 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G12 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / CN / R
G13 = heterocycle <containing zero or more N, zero or more O, zero or more S (no other heteroatoms), 0 or more double bonds> (opt. substd.) / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G14 = (1-3) CH2 (opt. substd.)
G15 = alkyl <containing 1 or more C> (opt. substd.)
G16 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 294 / 296 / OCN / NCO / 299 / R / (Specifically claimed: CONHMe / CO2Me / NHCOMe) / (Example: 301)



G17 = NH2 / NHMe / NMe2 / NEt2 / OH / OMe / OEt
G18 = NHMe / NH2 / (Examples: morpholino / NEt2 / piperazino / pyrrolidino / NMe2 / 396 / 399)



G19 = OMe / NMe2
G20 = NH2 / 371 / NEt2 / morpholino / CF3



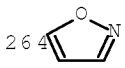
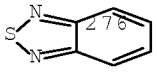
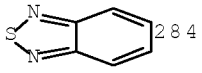
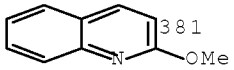
G21 = R / (Examples: Cl / OMe / CF3)
G22 = R / (Examples: Me / CO2Me / Et / CO2Et / Br / Bu-t / Cl)
G23 = H / R / (Specifically claimed: carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / 401 / 403 / heterocycle <containing 3 or more atoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), non-aromatic, saturated> (opt. substd.))

G24 = alkylene <containing 1 or more C> (opt. substd.)
G25 = cycloalkyl <containing 3 or more C> (opt. substd.) / alkoxy <containing 1 or more C> (opt. substd.)
G26 = H / R / (Examples: Me / Et)
Patent location: claim 3
Note: and pharmaceutically acceptable derivatives, salts, and solvates
Note: substitution is restricted
Note: additional substitution also claimed

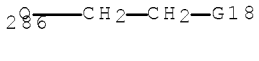
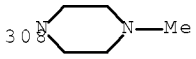
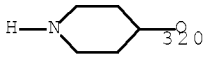
MSTR 3

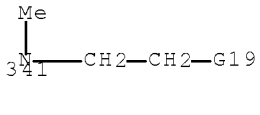
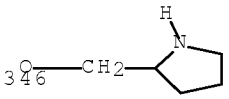
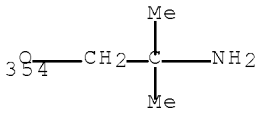
G1 = aryl <containing 6-14 C> (opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O,

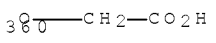
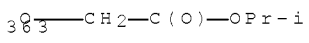
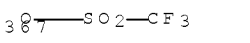
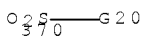
zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4) / (Specifically claimed: Ph (opt. substd. by 1 or more G2) / 262 / 264 / thienyl (opt. substd. by 1 or more G22) / pyridyl (opt. substd. by 1 or more G21) / isoquinolinyl (opt. substd.) / 276 / 284) / (Example: 381)

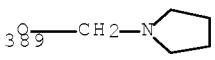






G2 = R / (Specifically claimed: Cl / CF3 / 286 / OMe / Me / 389) / (Examples: 308 / 320 / morpholino / 341 / 346 / 354 / piperazino / NMe2 / NEt2 / pyrrolidino / NHMe / 360 / CO2Me / 363 / Et / SO2Me / 367 / OSO2Me / 370 / Pr-i / SCN / CO2Et / NO2)

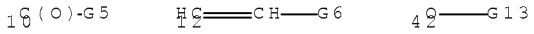




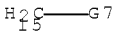







G4 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 10 / 12 / OCN / NCO / 42 / R

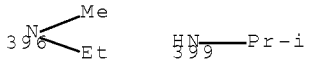


G5 = OH (opt. substd.) / NH2 (opt. substd.) / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G6 = CO2H (opt. substd.) / 15

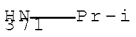


G7 = NH2 (opt. substd.) / OH (opt. substd.)
G10 = O / S / NH (opt. substd.) / 21 / 24

G11 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G12 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / CN / R
G13 = heterocycle <containing zero or more N, zero or more O, zero or more S (no other heteroatoms), 0 or more double bonds> (opt. substd.) / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G18 = NHMe / NH2 / (Examples: morpholino / NEt2 / piperazino / pyrrolidino / NMe2 / 396 / 399)



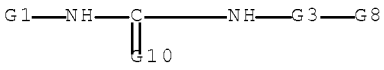
G19 = OMe / NMe2
G20 = NH2 / 371 / NEt2 / morpholino / CF3



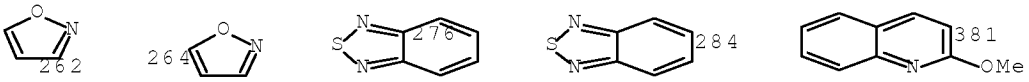
G21 = R / (Examples: Cl / OMe / CF3)
G22 = R / (Examples: Me / CO2Me / Et / CO2Et / Br / Bu-t / Cl)
G23 = NH2 / 401 / 403

G24 = R <"metal atom">
Patent location: claim 28
Note: substitution is restricted
Note: additional substitution also claimed
Note: also incorporates claim 29, formula IIIb

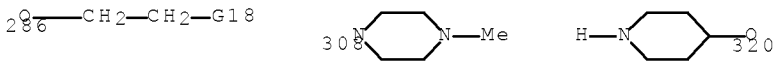
MSTR 5

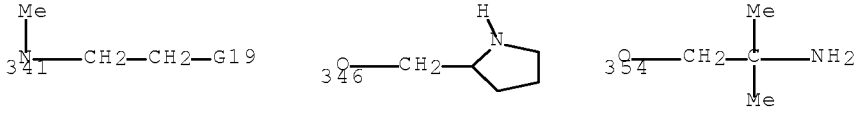


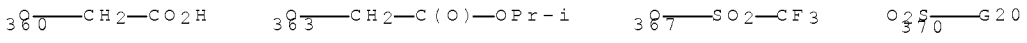
G1 = aryl <containing 6-14 C>
(opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4) /
(Specifically claimed: Ph (opt. substd. by 1 or more G2) / 262 / 264 / thienyl (opt. substd. by 1 or more G22) / pyridyl (opt. substd. by 1 or more G21) / isoquinolinyl (opt. substd.) / 276 / 284) / (Example: 381)

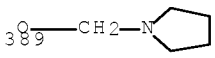


G2 = R / (Specifically claimed: Cl / CF3 / 286 / OMe / Me / 389) / (Examples: 308 / 320 / morpholino / 341 / 346 / 354 / piperazino / NMe2 / NEt2 / pyrrolidino / NHMe / 360 / CO2Me / 363 / Et / SO2Me / 367 / OSO2Me / 370 / Pr-i / SCN / CO2Et / NO2)

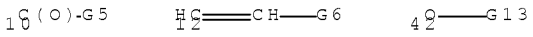




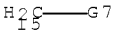




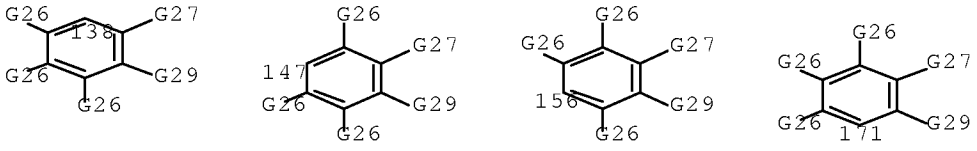
G3 = carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds>
(opt. substd. by 1 or more G9) / G14
G4 = H / carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) /
cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl /
Br / I / NO2 / NH2 (opt. substd.) / OH (opt.
substd.) /
heterocycle <containing 1-3 N, zero or more O,
zero or more S (no other heteroatoms),
attached through 1 or more N, 5- to 7-membered monocyclic
ring> (opt. substd.) / 10 / 12 / OCN / NCO / 42 / R



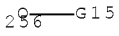
G5 = OH (opt. substd.) / NH2 (opt. substd.) /
carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / R
G6 = CO2H (opt. substd.) / 15



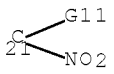
G7 = NH2 (opt. substd.) / OH (opt. substd.)
G8 = 138 / 147 / 156 / 171

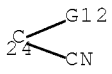


G9 = cycloalkyl <containing 3 or more C> (opt. substd.) /
256 / heterocycle <containing 3 or more atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), non-aromatic,
saturated> (opt. substd.)

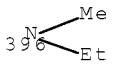


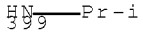
G10 = O / S / NH (opt. substd.) / 21 / 24



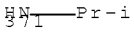


G11 = H / carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / R
G12 = H / carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) /
CN / R
G13 = heterocycle <containing zero or more N,
zero or more O, zero or more S (no other heteroatoms),
0 or more double bonds> (opt. substd.) /
carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / R
G14 = (1-3) CH2 (opt. substd.)
G15 = alkyl <containing 1 or more C> (opt. substd.)
G18 = NHMe / NH2 / (Examples: morpholino / NEt2 /
piperazino / pyrrolidino / NMe2 / 396 / 399)

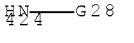




G19 = OMe / NMe2
G20 = NH2 / 371 / NEt2 / morpholino / CF3



G21 = R / (Examples: Cl / OMe / CF3)
G22 = R / (Examples: Me / CO2Me / Et / CO2Et / Br / Bu-t /
Cl)
G24 = alkylene <containing 1 or more C> (opt. substd.)
G25 = cycloalkyl <containing 3 or more C> (opt. substd.) /
alkoxy <containing 1 or more C> (opt. substd.)
G26 = H / R / (Examples: Me / Et)
G27 = NH2 / 424



G28 = carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) /

cycloalkyl <containing 3 or more C> (opt. substd.) / 426 / 428 / heterocycle <containing 3 or more atoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), non-aromatic, saturated> (opt. substd.)

G29 = NH2 / NO2
Patent location: claim 28
Note: substitution is restricted
Note: additional substitution also claimed

AN 143:266924 MARPAT Full-text
ANPL 2005:979621

L51 ANSWER 6 OF 8 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:134604 MARPAT Full-text
TITLE: Preparation of benzimidazole amides as raf kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Wiesner, Matthias; Burgdorf, Lars; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

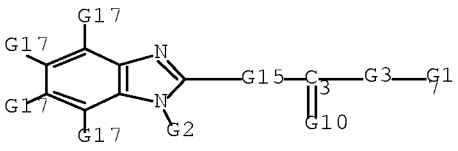
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004864	A1	20050120	WO 2004-EP6419	20040615
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004255403	A1	20050120	AU 2004-255403	20040615
CA 2531859	A1	20050120	CA 2004-2531859	20040615
EP 1653951	A1	20060510	EP 2004-739891	20040615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007513054	T	20070524	JP 2006-519783	20040615
US 20070010560	A1	20070111	US 2006-564185	20060807
PRIORITY APPLN. INFO.:			EP 2003-15582	20030711
			WO 2004-EP6419	20040615

OTHER SOURCE(S): CASREACT 142:134604
AB Title compds. I [R6-7 = H, A, SO2A; A = alkyl, alkenyl, cycloalkyl, etc.; Ar2 = aromatic hydrocarbon; R8-10 = H, A, cycloalkyl, etc.; X = divalent alkyl,

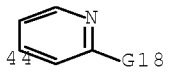
etc.; p, n = 0-5; q = 0-4] are prepared For instance, II is prepared from the corresponding 2-aminoimidazole and carboxylic acid (DMF, TBTU, HOBt, i-Pr2NEt). I are raf kinase inhibitors and are useful for the treatment of cancer.

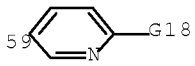
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 1



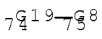
G1 = aryl <containing 6-14 C> (opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4) / (Specifically claimed: 44 / 59)





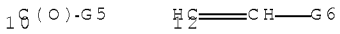
G2 = H / alkyl <containing 1 or more C> (opt. substd.) / alkenyl / cycloalkyl <containing 3 or more C> (opt. substd.) / 38 / alkoxy <containing 1 or more C> (opt. substd.) / alkyl <containing 1 or more C> (substd. by alkoxy <containing 1 or more C> (opt. substd.)) / 76

G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7

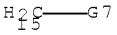


G4 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic

ring> (opt. substd.) / 10 / 12 / OCN / NCO / R

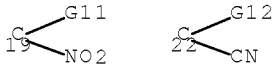


G5 = OH (opt. substd.) / NH2 (opt. substd.) /
carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / R
G6 = CO2H (opt. substd.) / 15

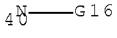


G7 = NH2 (opt. substd.) / OH (opt. substd.)
G8 = carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / O /
S / NH (opt. substd.) / 17 / S(O) / SO2 /
R <"bridging group">

G9 = O / S / NH (opt. substd.)
G10 = O / S / NH (opt. substd.) / 19 / 22

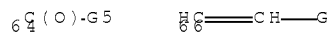


G11 = H / carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / R
G12 = H / carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) /
CN / R
G13 = alkylene <containing 1 or more C> (opt. substd.)
G14 = cycloalkyl <containing 3 or more C> (opt. substd.)
G15 = NH / 40

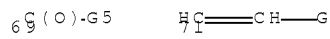


G16 = alkyl <containing 1 or more C> (opt. substd.) /
alkenyl / cycloalkyl <containing 3 or more C>
(opt. substd.) / 42 / alkoxy <containing 1 or more C>
(opt. substd.) / alkyl <containing 1 or more C>
(substd. by alkoxy <containing 1 or more C> (opt. substd.)) /
80

G17 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 64 / 66 / OCN / NCO / R / (Specifically claimed: Me / CF3)



G18 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 69 / 71 / OCN / NCO / R / (Specifically claimed: CONHMe)



G19 = phenylene (opt. substd. by (1-4) G4)

G20 = alkyl <containing 1 or more C> (opt. substd.) / alkenyl / cycloalkyl <containing 3 or more C> (opt. substd.) / 78 / alkoxy <containing 1 or more C> (opt. substd.) / alkyl <containing 1 or more C> (substd. by alkoxy <containing 1 or more C> (opt. substd.))

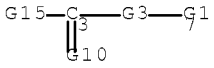
Patent location: claim 1

Note: and physiologically acceptable derivatives, salts, and solvates

Note: substitution is restricted

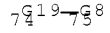
Note: additional substitution also claimed

MSTR 3

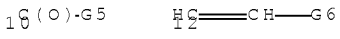


G1 = aryl <containing 6-14 C>
(opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4) /
(Specifically claimed: 44 / 59)

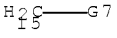
G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7



G4 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 10 / 12 / OCN / NCO / R

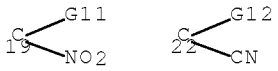


G5 = OH (opt. substd.) / NH2 (opt. substd.) / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G6 = CO2H (opt. substd.) / 15

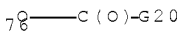


G7 = NH2 (opt. substd.) / OH (opt. substd.)
G8 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / O / S / NH (opt. substd.) / 17 / S(O) / SO2 / R <"bridging group">

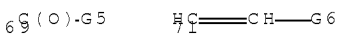
G9 = O / S / NH (opt. substd.)
G10 = O / S / NH (opt. substd.) / 19 / 22



G11 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G12 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / CN / R
G15 = Cl / Br / I / OH / 76 / diazonium



G18 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 69 / 71 / OCN / NCO / R / (Specifically claimed: CONHMe)



G19 = phenylene (opt. substd. by (1-4) G4)
G20 = R <"ester group">
Patent location: claim 29

AN 142:134604 MARPAT Full-text
ANPL 2005:55062

L51 ANSWER 7 OF 8 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:134603 MARPAT Full-text
TITLE: A preparation of benzimidazolecarboxamide derivatives, useful as raf-kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Wiesner, Matthias; Zenke, Frank; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

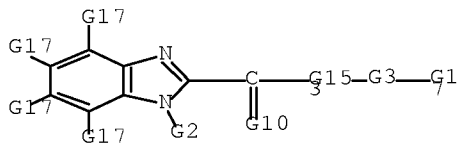
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004863	A1	20050120	WO 2004-EP6337	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004255402	A1	20050120	AU 2004-255402	20040611
CA 2531856	A1	20050120	CA 2004-2531856	20040611
EP 1643991	A1	20060412	EP 2004-739826	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007506676	T	20070322	JP 2006-519782	20040611
US 20070093532	A1	20070426	US 2006-564184	20060807
PRIORITY APPLN. INFO.:			EP 2003-15583	20030711
			WO 2004-EP6337	20040611

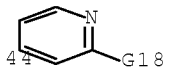
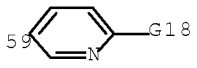
AB The invention relates to a preparation of benzimidazolecarboxamide derivs. of formula I [wherein: R1 is 0 to 5 independent substituents selected from H, cycloalkyl, halogen, CH2-halogen, or (CH2)0-5-CN, etc.; R2 and R3 are independently selected from H, (cyclo)alkyl, alkoxy, or SO2-(cyclo)alkyl, etc.; R4 is 1 to 5 substituted phenyl; Y is O, S, or C(CN)2, etc.], useful as raf-kinase inhibitors. For instance, benzimidazolecarboxamide derivative of formula II was prepared via amidation of 5-chlorobenzimidazolecarboxylic acid by 4-(4-pyridinyloxy)phenylamine with a yield of 75%. The preferred compound of the invention are raf-kinase inhibitors and showed IC50 values in the range of 100 μM or below.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 1

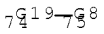


G1 = aryl <containing 6-14 C>
(opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4) /
(Specifically claimed: 44 / 59)

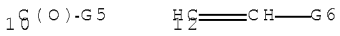



G2 = H / alkyl <containing 1 or more C> (opt. substd.) / alkenyl / cycloalkyl <containing 3 or more C> (opt. substd.) / 38 / alkoxy <containing 1 or more C> (opt. substd.) / alkyl <containing 1 or more C> (substd. by alkoxy <containing 1 or more C> (opt. substd.)) / 77

G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7

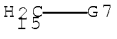


G4 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 10 / 12 / OCN / NCO / R



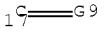
G5 = OH (opt. substd.) / NH2 (opt. substd.) / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R

G6 = CO2H (opt. substd.) / 15

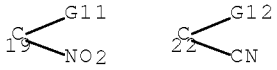


G7 = NH2 (opt. substd.) / OH (opt. substd.)

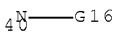
G8 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / O / S / NH (opt. substd.) / 17 / S(O) / SO2 / R <"bridging group">



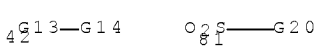
G9 = O / S / NH (opt. substd.)
G10 = O / S / NH (opt. substd.) / 19 / 22



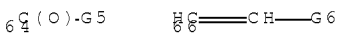
G11 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / R
G12 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / CN / R
G13 = alkylene <containing 1 or more C> (opt. substd.)
G14 = cycloalkyl <containing 3 or more C> (opt. substd.)
G15 = NH / 40



G16 = alkyl <containing 1 or more C> (opt. substd.) / alkenyl / cycloalkyl <containing 3 or more C> (opt. substd.) / 42 / alkoxy <containing 1 or more C> (opt. substd.) / alkyl <containing 1 or more C> (substd. by alkoxy <containing 1 or more C> (opt. substd.)) / 81



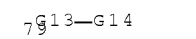
G17 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 64 / 66 / OCN / NCO / R / (Specifically claimed: Me / CF3)



G18 = H / carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms),

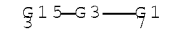
attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 69 / 71 / OCN / NCO / R / (Specifically claimed: CONHMe / CO2Me)

G19 = phenylene (opt. substd. by (1-4) G4)
G20 = alkyl <containing 1 or more C> (opt. substd.) / alkenyl / cycloalkyl <containing 3 or more C> (opt. substd.) / 79 / alkoxy <containing 1 or more C> (opt. substd.) / alkyl <containing 1 or more C> (substd. by alkoxy <containing 1 or more C> (opt. substd.))

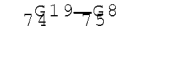


Patent location: claim 1
Note: and physiologically acceptable derivatives, salts, and solvates
Note: substitution is restricted
Note: additional substitution also claimed

MSTR 3

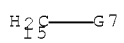


G1 = aryl <containing 6-14 C> (opt. substd. by (1-5) G4) / heterocycle <containing 1-2 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 3-10 C, 1 or more double bonds> (opt. substd. by (1-5) G4)
G3 = phenylene (opt. substd. by (1-4) G4) / 74-3 75-7

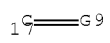


G4 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.) / cycloalkyl <containing 3 or more C> (opt. substd.) / F / Cl / Br / I / NO2 / NH2 (opt. substd.) / OH (opt. substd.) / heterocycle <containing 1-3 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 7-membered monocyclic ring> (opt. substd.) / 10 / 12 / OCN / NCO / R

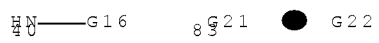
G5 = OH (opt. substd.) / NH2 (opt. substd.) /
carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / R
G6 = CO2H (opt. substd.) / 15



G7 = NH2 (opt. substd.) / OH (opt. substd.)
G8 = carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.) / O /
S / NH (opt. substd.) / 17 / S(O) / SO2 /
R <"bridging group">

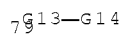


G9 = O / S / NH (opt. substd.)
G13 = alkylene <containing 1 or more C> (opt. substd.)
G14 = cycloalkyl <containing 3 or more C> (opt. substd.)
G15 = NH2 / 40 / 83



G16 = alkyl <containing 1 or more C> (opt. substd.) /
alkenyl / cycloalkyl <containing 3 or more C>
(opt. substd.) / 42 / alkoxy <containing 1 or more C>
(opt. substd.) / alkyl <containing 1 or more C>
(substd. by alkoxy <containing 1 or more C> (opt. substd.)) /
81

G19 = phenylene (opt. substd. by (1-4) G4)
G20 = alkyl <containing 1 or more C> (opt. substd.) /
alkenyl / cycloalkyl <containing 3 or more C>
(opt. substd.) / 79 / alkoxy <containing 1 or more C>
(opt. substd.) / alkyl <containing 1 or more C>
(substd. by alkoxy <containing 1 or more C> (opt. substd.))



G21 = NH2 / 85

HN
85 ——G16

G22 = R <"metal ion">
Patent location: claim 29
Note: substitution is restricted
Note: additional substitution also claimed

AN 142:134603 MARPAT Full-text
ANPL 2005:55061

L51 ANSWER 8 OF 8 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:64366 MARPAT Full-text
TITLE: Method for treating or preventing obesity with adipogenesis-inhibiting agents which antagonize fibroblast growth factor signaling
INVENTOR(S): Prins, Johannes Bernhard; Hutley, Louise Joyce; Mcgeary, Ross Peter
PATENT ASSIGNEE(S): Australia
SOURCE: U.S. Pat. Appl. Publ., 145 pp., Cont.-in-part of Appl. No. PCT/AU03/00826.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050282733	A1	20051222	US 2004-21305	20041223
WO 2004003179	A1	20040108	WO 2003-AU826	20030627

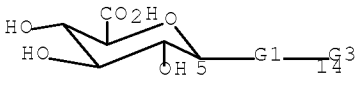
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
US 2002-392130P	20020627	
WO 2003-AU826	20030627	
EP 2004-900050	20040107	

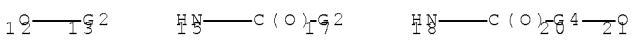
AB The invention discloses methods and agents for modulating the differentiation potential and/or proliferation of preadipocytes, i.e., adipogenesis, by antagonizing fibroblast growth factor signaling. These agents may be used to prevent or treat obesity. The antiobesity agents include 6-arylpyrido[2,3-d]pyrimidines and naphthyridines, 2-arylbenzimidazoles, benzofuro[3,2-c]quinolines, pyrimidine derivs., 2,2'-dithiobis(1H-indoles), 4-anilinoquinazolines, 4-anilinoquinolines and cinnolines, 1-oxo-3-aryl-1H-indene carboxylic acid derivs., indolinones, 8-prenylflavonones, tetrahydropyridizines and tetrahydropyridizin-3-ones, sulfonamide-containing heterocyclic compds., etc. Addnl. agents include sugars, oligosaccharides, and carbohydrates such as carrageenans, salts or complexes of sulfated saccharides, and sulfomannans, and RNA binding to FGF-2 or peptides which antagonize FGF-2 binding to its receptor. Thus, inhibition of post-fibroblast

growth factor receptor signal transduction was shown to have marked effects on FGF-1-mediated human adipogenesis. Inhibition of protein kinase C, phosphatidylinositol 3-kinase, and phospholipase Cγ all significantly reduced differentiation. MEK and p38 kinase inhibition during preadipocyte replication phase alone significantly reduced subsequent differentiation.

MSTR 19



G1 = 12-5 13-14 / 15-5 17-14 / 18-5 21-14

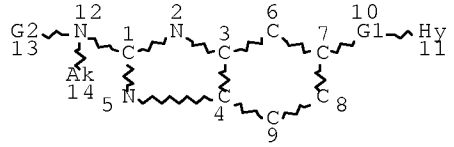


G2 = (0-6) CH2
G3 = cycloalkyl <containing 3-6 C>
(opt. substd. by 1 or more G6) /
Ph (opt. substd. by 1 or more G6) /
heterocycle <containing 5-10 atoms, 1-4 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), non-aromatic>
(opt. substd. by 1 or more G6) /
heteroaryl <containing up to 11 atoms, 1-4 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms)>
(opt. substd. by 1 or more G6) / 22

G4 = (1-6) CH2
G5 = carbocycle <containing 3-6 C, non-aromatic,
saturated> (opt. substd.) / heterocycle <containing 5-10
atoms, 1-4 heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), non-aromatic>
(opt. substd.)
G6 = OH / alkoxy <containing 1-3 C> / F / Cl / Br / I /
CN / NO2 / SH / alkylthio <containing 1-3 C> / NH2 /
alkylamino <containing 1-3 C> /
dialkylamino <each alkyl containing 1-3 C> / CO2H /
alkoxycarbonyl <containing 1-3 C>
Patent location: claim 35

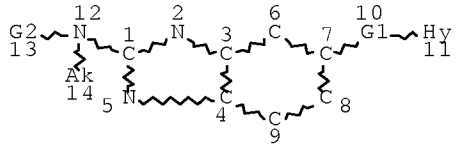
AN 144:64366 MARPAT Full-text
ANPL 2005:1332127

```
=> d que nos l20
L1             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  US2003-675927/APPS
L3               STR
L7             3 SEA FILE=REGISTRY SSS FUL L3
L8               QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9               QUE  ABB=ON  PLU=ON  FANTL, W?/AU
L10              QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11              QUE  ABB=ON  PLU=ON  POON, D?/AU
L12              QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13              QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14              QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15              QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16              QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L17            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L18            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND (L8 OR L9 OR L10 OR
                 L11 OR L12 OR L13 OR L14 OR L15 OR L16)
L19            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L1 AND L18
L20            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L18 OR L19)


=> d his l23

     (FILE 'USPATFULL, USPATOLD, USPAT2, TOXCENTER' ENTERED AT 09:25:08 ON 23
     SEP 2008)
L23            6 S L22 AND L8-L16

=> d que nos l23
L3               STR
L7             3 SEA FILE=REGISTRY SSS FUL L3
L8               QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9               QUE  ABB=ON  PLU=ON  FANTL, W?/AU
L10              QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11              QUE  ABB=ON  PLU=ON  POON, D?/AU
L12              QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13              QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14              QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15              QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16              QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L22            6 SEA L7
L23            6 SEA L22 AND (L8 OR L9 OR L10 OR L11 OR L12 OR L13 OR L14 OR
                 L15 OR L16)


=> d que l34
L2             2 SEA FILE=WPIX ABB=ON  PLU=ON  US2003-675927/APPS
L3               STR
```




```
VAR G1=O/S
VAR G2=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
```

```
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
L8              QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9              QUE  ABB=ON  PLU=ON  FANTL, W?/AU
L10             QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11             QUE  ABB=ON  PLU=ON  POON, D?/AU
L12             QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13             QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14             QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15             QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16             QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L30           8 SEA FILE=WPIX SSS FUL L3
L31           2 SEA FILE=WPIX ABB=ON  PLU=ON  (RAFREO/DCN OR RARYLB/DCN OR
                RARYLC/DCN OR RARYLD/DCN OR RARYLF/DCN OR RARYLG/DCN OR
                RARYLH/DCN OR RARYLI/DCN) OR L30/DCR
L32           2 SEA FILE=WPIX ABB=ON  PLU=ON  L31 AND (L8 OR L9 OR L10 OR L11
                OR L12 OR L13 OR L14 OR L15 OR L16)
L33           0 SEA FILE=WPIX ABB=ON  PLU=ON  L2 AND L32
L34           4 SEA FILE=WPIX ABB=ON  PLU=ON  L2 OR L32 OR L33


=> d que l47
L8              QUE  ABB=ON  PLU=ON  AMIRI, P?/AU
L9              QUE  ABB=ON  PLU=ON  FANTL, W?/AU
L10             QUE  ABB=ON  PLU=ON  LEVINE, B?/AU
L11             QUE  ABB=ON  PLU=ON  POON, D?/AU
L12             QUE  ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13             QUE  ABB=ON  PLU=ON  RENHOWE, P?/AU
L14             QUE  ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15             QUE  ABB=ON  PLU=ON  SUNG, L?/AU
L16             QUE  ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA
L36             STR
```



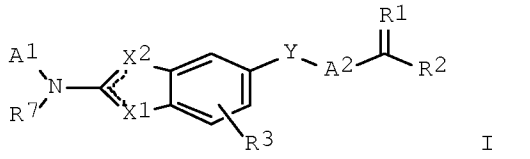
Ak @15 Cy @16

```
VAR G1=O/S
VAR G2=15/16
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL  IS ANY    AT   1  2  3  4  5  6  7  8  9 11 14 15 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
L38        5203 SEA FILE=MARPAT SSS FUL L36
L39             QUE  ABB=ON  PLU=ON  AY<2005 OR PY<2005 OR PRY<2005 OR MY
```

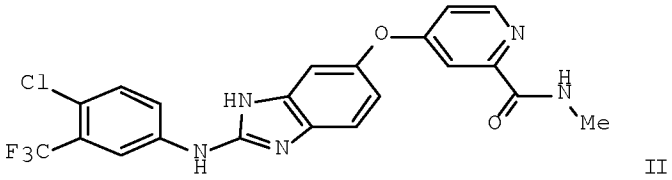
```
                <2005 OR REVIEW/DT
L40         5203 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L38
L41         3625 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L40 AND L39
L42          359 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L41 AND (?BENZAZOL? OR
                 ?BENZIMIDAZOL? OR (?BENZ(1T)(AZOL? OR IMIDAZOL?)))
L43              QUE  ABB=ON  PLU=ON  A61P0035/IPC
L44          131 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L42 AND L43
L45           56 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44 AND ?KINAS?
L46            9 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L45 AND (RAF OR RAS OR
                 RETROVIR? OR (RETRO(1W)VIR?))
L47            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L46 AND (L8 OR L9 OR L10 OR
                 L11 OR L12 OR L13 OR L14 OR L15 OR L16)


=> dup rem l20 l23 l34 l47
FILE 'HCAPLUS' ENTERED AT 10:21:10 ON 23 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 10:21:10 ON 23 SEP 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:21:10 ON 23 SEP 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 10:21:10 ON 23 SEP 2008
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIX' ENTERED AT 10:21:10 ON 23 SEP 2008
COPYRIGHT (C) 2008 THOMSON REUTERS
PROCESSING COMPLETED FOR L20
PROCESSING COMPLETED FOR L23
PROCESSING COMPLETED FOR L34
PROCESSING COMPLETED FOR L47
L52            7 DUP REM L20 L23 L34 L47 (6 DUPLICATES REMOVED)
                 ANSWERS '1-2' FROM FILE HCAPLUS
                 ANSWERS '3-4' FROM FILE USPATFULL
                 ANSWERS '5-7' FROM FILE WPIX

=> file stnguide
FILE 'STNGUIDE' ENTERED AT 10:21:39 ON 23 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 19, 2008 (20080919/UP).
```

```
=> d ibib ed abs hitind hitstr 1-2
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX' - CONTINUE? (Y)/N:y



L52  ANSWER 1 OF 7  HCAPLUS  COPYRIGHT 2008 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2004:513393  HCAPLUS  Full-text
DOCUMENT NUMBER:          141:71544
TITLE:                    Preparation of substituted benzazoles as Raf kinase
                          inhibitors
INVENTOR(S):              Amiri, Payman; Fantl, Wendy;
                          Levine, Barry Haskell; Poon, Daniel J.
                          ; Ramurthy, Savithri; Renhowe, Paul
                          A.; Subramanian, Sharadha; Sung,
                          Leonard
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S.
                          Pat. Appl. 2004 87,626.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     US 20040122237        A1    20040624    US 2003-675927          20030929 <--
     US 20040087626        A1    20040506    US 2003-405945          20030331
     US 7071216            B2    20060704
     AU 2004277405         A1    20050414    AU 2004-277405          20040929 <--
     CA 2539748            A1    20050414    CA 2004-2539748         20040929 <--
     WO 2005032548         A1    20050414    WO 2004-US32161         20040929 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1675584            A1    20060705    EP 2004-789345          20040929 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     BR 2004014908         A     20061107    BR 2004-14908           20040929 <--
     CN 1913884            A     20070214    CN 2004-80032677        20040929 <--
     JP 2007507428         T     20070329    JP 2006-528331          20040929 <--
     US 20070299039        A1    20071227    US 2005-282939          20051118
     MX 2006PA03435        A     20060620    MX 2006-PA3435          20060327 <--
     JP 2006193533         A     20060727    JP 2006-96143           20060330
     IN 2006KN00838        A     20070413    IN 2006-KN838           20060405 <--
PRIORITY APPLN. INFO.:                        US 2002-369066P     P   20020329
                                              US 2003-405945      A2  20030331
                                              JP 2003-579810      A3  20030331
                                              US 2003-675927      A   20030929 <--
                                              WO 2004-US32161     W   20040929
```

OTHER SOURCE(S): MARPAT 141:71544
ED Entered STN: 25 Jun 2004
GI



I



II

AB The title compds. I [wherein X1, X2 = N, NR4, O, S (with provisos); Y = O, S; A1 = (un)substituted alkyl, (hetero)cycloalkyl(alkyl), (hetero)aryl(alkyl), etc.; A2 = (un)substituted heteroaryl; R1 = O, H; R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted (cyclo)alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, heterocyclyl, (hetero)aryl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl; R7 = alkyl; and pharmaceutically acceptable salts, esters, or prodrugs] were prepared as Raf kinase inhibitors. Examples include synthetic methods and phys. data for 1400 compds., as well as descriptions of two Raf kinase bioassays. For instance, 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N- methylcarboxamide were coupled using potassium bis(trimethylsilyl)amide and K2CO3 in DMF to give 4-[(4-amino-3-nitrophenyl)oxy]-N-methylpyridine-2-carboxamide. Pd-catalyzed hydrogenation, followed by cyclization with 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide•HCl in THF provided the benzimidazole II. One thousand ninety-four compds. inhibited Raf kinase activity with IC50 < 5 μM in a Raf/Mek filtration assay or a biotinylated Raf screen. Thus, I and their pharmaceutical compns., which may comprise at least one addnl. agent, are useful for the treatment of Raf kinase mediated disorders, such as cancer (no data).

IC ICM C07D277-82
ICS C07D263-60
INCL 548161000; 548217000
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 611214-97-2P 611214-98-3P 611214-99-4P 611215-00-0P 611215-01-1P
611215-02-2P 611215-03-3P 611215-04-4P 611215-05-5P
611215-06-6P 611215-07-7P 611215-08-8P 611215-09-9P
611215-10-2P 611215-11-3P 611215-12-4P 611215-13-5P
611215-14-6P 611215-15-7P 611215-16-8P 611215-17-9P 611215-18-0P
611215-20-4P 611215-21-5P 611215-22-6P 611215-23-7P 611215-24-8P
611215-25-9P 611215-26-0P 611215-27-1P 611215-28-2P 611215-29-3P
611215-30-6P 611215-31-7P 611215-32-8P 611215-33-9P 611215-34-0P
611215-35-1P 611215-36-2P 611215-37-3P 611215-38-4P 611215-39-5P

611215-40-8P 611215-41-9P 611215-42-0P 611215-43-1P 611215-44-2P
611215-45-3P 611215-46-4P 611215-47-5P 611215-48-6P 611215-49-7P
611215-50-0P 611215-51-1P 611215-52-2P 611215-53-3P 611215-56-6P
611215-57-7P 611215-58-8P 611215-59-9P 611215-60-2P 611215-61-3P
611215-62-4P 611215-63-5P 611215-64-6P 611215-65-7P 611215-66-8P
611215-67-9P 611215-69-1P 611215-70-4P 611215-71-5P 611215-72-6P
611215-73-7P 611215-74-8P 611215-75-9P 611215-76-0P 611215-77-1P
611215-78-2P 611215-79-3P 611215-80-6P 611215-81-7P 611215-82-8P
611215-83-9P 611215-84-0P 611215-85-1P 611215-86-2P 611215-87-3P
611215-88-4P 611215-89-5P 611215-90-8P 611215-91-9P 611215-92-0P
611215-93-1P 611215-94-2P 611215-95-3P 611215-96-4P 611215-98-6P
611215-99-7P 611216-00-3P 611216-01-4P 611216-02-5P 611216-03-6P
611216-04-7P 611216-05-8P 611216-06-9P 611216-07-0P 611216-08-1P
611216-09-2P 611216-10-5P 611216-11-6P 611216-12-7P 611216-13-8P
611216-14-9P 611216-15-0P 611216-16-1P 611216-17-2P 611216-18-3P
611216-19-4P 611216-20-7P 611216-21-8P 611216-22-9P 611216-23-0P
611216-25-2P 611216-26-3P 611216-27-4P 611216-28-5P 611216-29-6P
611216-30-9P 611216-31-0P 611216-32-1P 611216-33-2P 611216-34-3P
611216-35-4P 611216-36-5P 611216-37-6P 611216-39-8P 611216-40-1P
611216-41-2P 611216-42-3P 611216-43-4P 611216-44-5P 611216-45-6P
611216-46-7P 611216-47-8P 611216-48-9P 611216-49-0P 611216-50-3P
611216-51-4P 611216-52-5P 611216-53-6P 611216-54-7P 611216-55-8P
611216-56-9P 611216-57-0P 611216-58-1P 611216-59-2P 611216-60-5P
611216-61-6P 611216-62-7P 611216-63-8P 611216-64-9P 611216-65-0P
611216-66-1P 611216-67-2P 611216-68-3P 611216-69-4P 611216-70-7P
611216-71-8P 611216-72-9P 611216-73-0P 611216-74-1P 611216-75-2P
611216-76-3P 611216-77-4P 611216-78-5P 611216-79-6P 611216-80-9P
611216-81-0P 611216-82-1P 611216-83-2P 611216-84-3P 611216-85-4P
611216-86-5P 611216-87-6P 611216-88-7P 611216-89-8P 611216-91-2P
611216-92-3P 611216-93-4P 611216-94-5P 611216-95-6P 611216-96-7P
611216-97-8P 611216-98-9P 611216-99-0P 611217-00-6P 611217-02-8P
611217-03-9P 611217-04-0P 611217-05-1P 611217-06-2P 611217-07-3P
611217-09-5P 611217-10-8P 611217-11-9P 611217-12-0P 611217-13-1P
611217-14-2P 611217-16-4P 611217-17-5P 611217-18-6P 611217-19-7P
611217-20-0P 611217-21-1P 611217-22-2P 611217-23-3P 611217-24-4P
611217-25-5P 611217-26-6P 611217-27-7P 611217-28-8P 611217-29-9P
611217-31-3P 611217-32-4P 611217-34-6P 611217-36-8P 611217-37-9P
611217-39-1P 611217-41-5P 611217-43-7P 611217-44-8P 611217-46-0P
611217-47-1P 611217-48-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

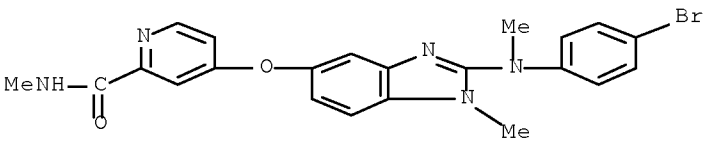
IT 611215-02-2P 611215-10-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

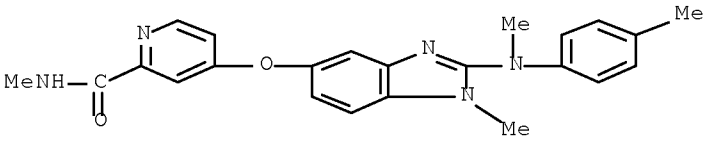
(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)

RN 611215-02-2 HCAPLUS

CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 HCAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



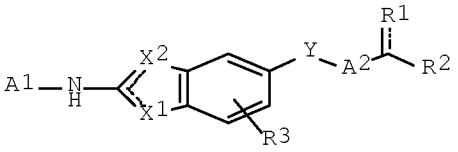
L52 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2003:796477 HCAPLUS Full-text
DOCUMENT NUMBER: 139:307759
TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors
INVENTOR(S): Renhowe, Paul A.; Ramurthy, Savithri; Amiri, Payman; Levine, Barry Haskell; Poon, Daniel J.; Subramanian, Sharadha; Sung, Leonard; Fantl, Wendy
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082272	A1	20031009	WO 2003-US10117	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480638	A1	20031009	CA 2003-2480638	20030331
AU 2003226211	A1	20031013	AU 2003-226211	20030331
AU 2003226211	B2	20080529		
EP 1499311	A1	20050126	EP 2003-745683	20030331

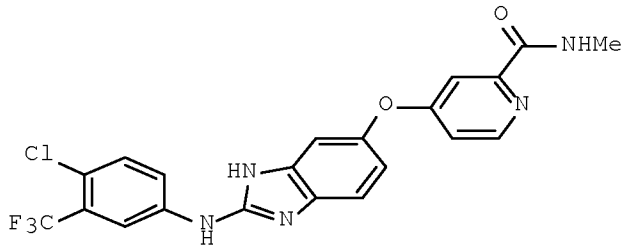
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003008854	A	20050222	BR 2003-8854		20030331
CN 1655779	A	20050817	CN 2003-812193		20030331
JP 2005529089	T	20050929	JP 2003-579810		20030331
NZ 535985	A	20070427	NZ 2003-535985		20030331
IN 2004KN01433	A	20051230	IN 2004-KN1433		20040927
MX 2004PA09541	A	20050125	MX 2004-PA9541		20040929
NO 2004004617	A	20041228	NO 2004-4617		20041026
ZA 2004008386	A	20060531	ZA 2004-8386		20060308
JP 2006193533	A	20060727	JP 2006-96143		20060330
PRIORITY APPLN. INFO.:			US 2002-369066P	P	20020329
			JP 2003-579810	A3	20030331
			WO 2003-US10117	W	20030331

OTHER SOURCE(S): MARPAT 139:307759
ED Entered STN: 10 Oct 2003
GI



I



II

AB The title compds. [I; X1, X2 = N, NR4, O, S (with the provisos); Y = O, S; A1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; A2 = (un)substituted heteroaryl; R1 = O, H, and R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted alkyl, alkoxyalkyl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl], useful for inhibition of Raf kinase activity in a human or animal subject, were prepared E.g., a 3-step synthesis of the benzimidazole II (starting from 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide), was given. The compds. of examples 1-1094 showed a Raf kinase inhibitory activity at an IC50 of less than 5 μM. A composition comprising the compound I is claimed. The new compds. compns. may be used either alone or in combination with at least one addnl. agent for the treatment of a Raf kinase mediated disorder, such as cancer.

IC ICM A61K031-41
ICS C07D401-12; C07D405-14; C07D409-14; C07D401-14; C07D417-12; C07D417-14; C07D413-14; C07D407-14; C07D413-12; C07D471-08; A61P035-00

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

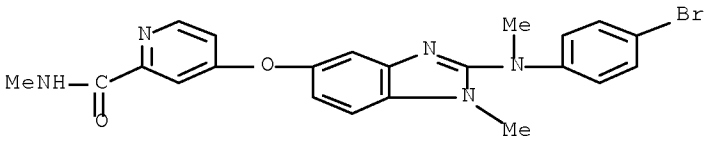
IT 611214-97-2P 611214-98-3P 611214-99-4P 611215-00-0P 611215-01-1P

611215-02-2P 611215-03-3P 611215-04-4P 611215-05-5P
611215-06-6P 611215-07-7P 611215-08-8P 611215-09-9P
611215-10-2P 611215-11-3P 611215-12-4P 611215-13-5P
611215-14-6P 611215-15-7P 611215-16-8P 611215-17-9P 611215-18-0P
611215-20-4P 611215-21-5P 611215-22-6P 611215-23-7P 611215-24-8P
611215-25-9P 611215-26-0P 611215-27-1P 611215-28-2P 611215-29-3P
611215-30-6P 611215-31-7P 611215-32-8P 611215-33-9P 611215-34-0P
611215-35-1P 611215-36-2P 611215-37-3P 611215-38-4P 611215-39-5P
611215-40-8P 611215-41-9P 611215-42-0P 611215-43-1P 611215-44-2P
611215-45-3P 611215-46-4P 611215-47-5P 611215-48-6P 611215-49-7P
611215-50-0P 611215-51-1P 611215-52-2P 611215-53-3P 611215-56-6P
611215-57-7P 611215-58-8P 611215-59-9P 611215-60-2P 611215-61-3P
611215-62-4P 611215-63-5P 611215-64-6P 611215-65-7P 611215-66-8P
611215-67-9P 611215-69-1P 611215-70-4P 611215-71-5P 611215-72-6P
611215-73-7P 611215-74-8P 611215-75-9P 611215-76-0P 611215-77-1P
611215-78-2P 611215-79-3P 611215-80-6P 611215-81-7P 611215-82-8P
611215-83-9P 611215-84-0P 611215-85-1P 611215-86-2P 611215-87-3P
611215-88-4P 611215-89-5P 611215-90-8P 611215-91-9P 611215-92-0P
611215-93-1P 611215-94-2P 611215-95-3P 611215-96-4P 611215-98-6P
611215-99-7P 611216-00-3P 611216-01-4P 611216-02-5P 611216-03-6P
611216-04-7P 611216-05-8P 611216-06-9P 611216-07-0P 611216-08-1P
611216-09-2P 611216-10-5P 611216-11-6P 611216-12-7P 611216-13-8P
611216-14-9P 611216-15-0P 611216-16-1P 611216-17-2P 611216-18-3P
611216-19-4P 611216-20-7P 611216-21-8P 611216-22-9P 611216-23-0P
611216-25-2P 611216-26-3P 611216-27-4P 611216-28-5P 611216-29-6P
611216-30-9P 611216-31-0P 611216-32-1P 611216-33-2P 611216-34-3P
611216-35-4P 611216-36-5P 611216-37-6P 611216-39-8P 611216-40-1P
611216-41-2P 611216-42-3P 611216-43-4P 611216-44-5P 611216-45-6P
611216-46-7P 611216-47-8P 611216-48-9P 611216-49-0P 611216-50-3P
611216-51-4P 611216-52-5P 611216-53-6P 611216-54-7P 611216-55-8P
611216-56-9P 611216-57-0P 611216-58-1P 611216-59-2P 611216-60-5P
611216-61-6P 611216-62-7P 611216-63-8P 611216-64-9P 611216-65-0P
611216-66-1P 611216-67-2P 611216-68-3P 611216-69-4P 611216-70-7P
611216-71-8P 611216-72-9P 611216-73-0P 611216-74-1P 611216-75-2P
611216-76-3P 611216-77-4P 611216-78-5P 611216-79-6P 611216-80-9P
611216-81-0P 611216-82-1P 611216-83-2P 611216-84-3P 611216-85-4P
611216-86-5P 611216-87-6P 611216-88-7P 611216-89-8P 611216-91-2P
611216-92-3P 611216-93-4P 611216-94-5P 611216-95-6P 611216-96-7P
611216-97-8P 611216-98-9P 611216-99-0P 611217-00-6P 611217-02-8P
611217-03-9P 611217-04-0P 611217-05-1P 611217-06-2P 611217-07-3P
611217-09-5P 611217-10-8P 611217-11-9P 611217-12-0P 611217-13-1P
611217-14-2P 611217-16-4P 611217-17-5P 611217-18-6P 611217-19-7P
611217-20-0P 611217-21-1P 611217-22-2P 611217-23-3P 611217-24-4P
611217-25-5P 611217-26-6P 611217-27-7P 611217-28-8P 611217-29-9P
611217-31-3P 611217-32-4P 611217-34-6P 611217-36-8P 611217-37-9P
611217-39-1P 611217-41-5P 611217-43-7P 611217-44-8P 611217-46-0P
611217-47-1P 611217-48-2P

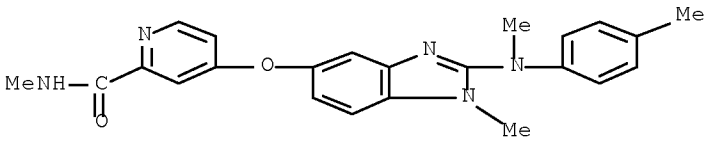
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzazoles as Raf kinase inhibitors)

IT 611215-02-2P 611215-10-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzazoles as Raf kinase inhibitors)

RN 611215-02-2 HCAPLUS
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 HCAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib ab hitstr 3-4
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX' - CONTINUE? (Y)/N:y

L52 ANSWER 3 OF 7 USPATFULL on STN DUPLICATE 2
ACCESSION NUMBER: 2004:114780 USPATFULL Full-text
TITLE: Substituted benz-azoles and methods of their use as inhibitors of Raf kinase
INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES
Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES
Amiri, Payman, Lafayette, CA, UNITED STATES
Levine, Barry Haskell, Lafayette, CA, UNITED STATES
Poon, Daniel J., Oakland, CA, UNITED STATES
Subramanian, Skaradha, San Ramon, CA, UNITED STATES
Sung, Leonard, Irvine, CA, UNITED STATES
Fanti, Wendy, San Francisco, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20040087626	A1	20040506
	US 7071216	B2	20060704
APPLICATION INFO.:	US 2003-405945	A1	20030331 (10)

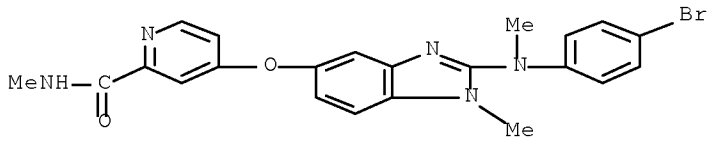
	NUMBER	DATE

PRIORITY INFORMATION: US 2002-369066P 20020329 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: CHIRON CORPORATION, Intellectual Property-R440, P.O. Box 8097, Emeryville, CA, 94662-8097
NUMBER OF CLAIMS: 86
EXEMPLARY CLAIM: 1
LINE COUNT: 7855
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

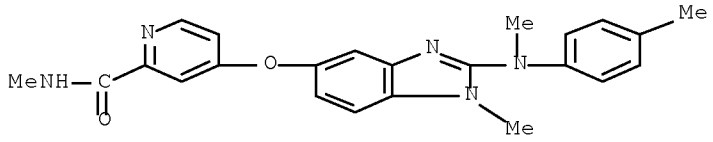
AB New substituted benz-azole compounds, compositions and methods of inhibition of Raf kinase activity in a human or animal subject are provided. The new compounds compositions may be used either alone or in combination with at least one additional agent for the treatment of a Raf kinase mediated disorder, such as cancer.

IT 611215-02-2P 611215-10-2P
(preparation of substituted benzazoles as Raf kinase inhibitors)

RN 611215-02-2 USPATFULL
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 USPATFULL
CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



L52 ANSWER 4 OF 7 USPATFULL on STN
ACCESSION NUMBER: 2007:342041 USPATFULL Full-text
TITLE: Substituted benz-azoles and methods of their use as inhibitors of Raf kinase
INVENTOR(S): Amiri, Payman, Walnut Creek, CA, UNITED STATES
Fantl, Wendy, San Francisco, CA, UNITED STATES
Hansen, Teresa, Danville, CA, UNITED STATES
Levine, Barry Haskell, Lafayette, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
Poon, Daniel J., Oakland, CA, UNITED STATES
Ramurthy, Savithri, Walnut Creek, CA, UNITED

STATES
Renbowe, Paul A., Danville, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Subramanian, Sharadha, San Ramon, CA, UNITED STATES
Sung, Leonard, Irvine, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation, Emeryville, CA, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20070299039	A1	20071227
APPLICATION INFO.:	US 2005-282939	A1	20051118 (11)

RELATED APPLN. INFO.: Division of Ser. No. US 2003-405945, filed on 31 Mar 2003, GRANTED, Pat. No. US 7071216

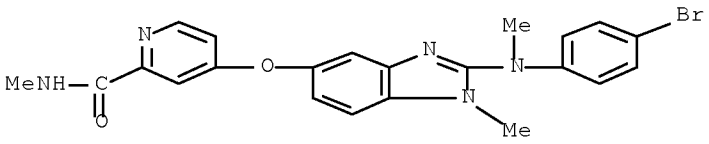
	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-369066P	20020329 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: CHIRON CORPORATION, INTELLECTUAL PROPERTY - R440, PO BOX 8097, EMERYVILLE, CA, 94662-8097, US
NUMBER OF CLAIMS: 52
EXEMPLARY CLAIM: 1
LINE COUNT: 7472
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

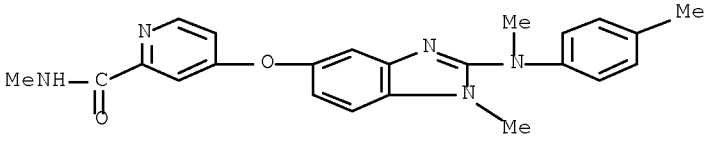
AB New substituted benz-azole compounds, compositions and methods of inhibition of Raf kinase activity in a human or animal subject are provided. The new compounds compositions may be used either alone or in combination with at least one additional agent for the treatment of a Raf kinase mediated disorder, such as cancer.

IT 611215-02-2P 611215-10-2P
(preparation of substituted benzazoles as Raf kinase inhibitors)

RN 611215-02-2 USPATFULL
CN 2-Pyridinecarboxamide, 4-[[2-[(4-bromophenyl)methylamino]-1-methyl-1H-benzimidazol-5-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 611215-10-2 USPATFULL
CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[methyl(4-methylphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)



```
=> d iall abeq tech abex hitstr 5-7
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX' - CONTINUE? (Y)/N:y



L52  ANSWER 5 OF 7  WPIX COPYRIGHT 2008       THOMSON REUTERS on STN
ACCESSION NUMBER:     2008-A94333 [06]   WPIX
CROSS REFERENCE:      2007-342166
DOC. NO. CPI:         C2008-026526 [06]
TITLE:                New substituted benzimidazole compounds useful for
                      treating cancer disorder e.g. melanoma, breast cancer or
                      prostate cancer
DERWENT CLASS:        B05
INVENTOR:             ALKAWA M E; AMIRI P; DOVE J H; LEVINE B
                      H; MCBRIDE C; PICK T E; POON D J;
                      RAMURTHY S; RENHOWE P A; SHAFER C;
                      STUART D; SUBRAMANIAN S
PATENT ASSIGNEE:      (NOVS-C) NOVARTIS AG
COUNTRY COUNT:        1

PATENT INFORMATION:

      PATENT NO      KIND DATE     WEEK     LA  PG          MAIN IPC
      ------------------------------------------------------------------
      US 20070161680  A1 20070712 (200806)* EN  81[15]

APPLICATION DETAILS:

      PATENT NO      KIND                   APPLICATION    DATE
      ------------------------------------------------------------------
      US 20070161680 A1 Provisional        US 2005-712539P 20050830
      US 20070161680 A1 Provisional        US 2005-713108P 20050830
      US 20070161680 A1 Provisional        US 2005-731591P 20051027
      US 20070161680 A1 Provisional        US 2006-774684P 20060217
      US 20070161680 A1                    US 2006-513959 20060830

PRIORITY APPLN. INFO: US 2006-513959       20060830
                      US 2005-712539P      20050830
                      US 2005-713108P      20050830
                      US 2005-731591P      20051027
                      US 2006-774684P      20060217
INT. PATENT CLASSIF.:
   IPC ORIGINAL:      A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; C07D0403-00
                      [I,C]; C07D0403-14 [I,A]
USCLASS NCLM:         514/338.000
        NCLS:         546/273.400
BASIC ABSTRACT:
            US 20070161680 A1   UPAB: 20080123
             NOVELTY - Substituted benzimidazole compounds, or their salts,
      tautomer, stereoisomer, polymorph, ester, metabolite, or prodrug are new.
             DETAILED DESCRIPTION - Substituted benzimidazole compounds of formula
      (I), or their salts, tautomer, stereoisomer, polymorph, ester, metabolite, or
      prodrug are new.
```

R1=OH, halo, 1-6C alkyl, 1-6C alkoxy, 1-6C alkylsulfanyl, 1-6C alkylsulfonyl, cycloalkyl, heterocycloalkyl, phenyl, or heteroaryl (optionally substituted by at least one T1);

T1=OH, halo, 1-6C alkyl, halo-1-6C alkyl, 1-6C alkoxy, or halo-1-6C alkoxy;

R2=1-6C alkyl or halo(1-6C alkyl)(optionally substituted by at least one T1);

R3=halo, 1-6C alkyl, or 1-6C alkoxy (optionally substituted by at least one T1);

R4=OH, 1-6C alkyl, 1-6C alkoxy, halo, carboxyl, 1-6C alkoxycarbonyl, aminocarbonyl, 1-6C alkylaminocarbonyl, carbonitrile, cycloalkyl, heterocycloalkyl, heterocycloalkylcarbonyl, phenyl, or heteroaryl (optionally substituted by at least one T1);

a=1-5;

b=0-3;and

c=1 or 2.

An INDEPENDENT CLAIM is included for treating cancer involving administering (I).

ACTIVITY - Cytostatic; Antiangiogenic.

The anti-proliferative effect of (1-methyl-5-(2-(5-trifluoromethyl- 1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-(4- trifluoromethyl-phenyl)-amine (IA) was tested against melanoma cell lines WM1799 by using soft agar proliferation assay. (IA) Showed an EC50 value of less than 0.0098 muM.

MECHANISM OF ACTION - Receptor tyrosine kinase (e.g. VEGFR-2 (vascular endothelial growth factor receptor), FGFR-3 (fibroblast growth factor receptor kinase), c-Kit, PDGFR-beta (platelet derived growth factor receptor kinase) and CSF-IR (receptor for colony stimulating factor-1) inhibitor; Serine/threonine kinase (e.g. Raf serine/threonine kinase, B-raf kinase, C-raf kinase, mutant B-Raf kinase) inhibitor; Mitogen activated protein kinase signaling pathway inhibitor.

The Raf kinase signaling inhibitory effect of (1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)- (4-trifluoromethyl-phenyl)-amine (IA) on wild-type c-Raf was determined using the following biotinylated assay. The kinase activity of the various isoforms of Raf serine/threonine kinases were measured by providing adenosine triphosphate (ATP), a recombinant kinase inactive MEK (mitogen activated protein kinases kinase) substrate and assaying the transfer of phosphate moiety to the MEK residue. For the assay, the compound (IA) was serially diluted in DMSO (dimethylsulfoxide) and then mixed c-Raf (0.50 nM). The kinase inactive biotin-MEK substrate (50 nM) was added in reaction buffer plus ATP (1 muM). The reaction buffer contained 30 mM Tris-HCl (hydrogen chloride) pH 7.5, 10 mM MgCl2 (magnesium chloride), 2 mM DTT (dithiothreitol.), 4 mM EDTA (ethylene diamine tetraacetic acid), 25 mM beta-glycerophosphate, 5 mM MnCl2 (manganese chloride), and 0.01% BSA (bovine serum albumin)/PBS (phosphate buffer saline). Reactions were subsequently incubated for 2 hours at room temperature and stopped by the addition of 0.5 M EDTA. Stopped reaction mixture was transferred to a neutradavin-coated plate (Pierce) and incubated for 1 hour. The concentration of (IA) of for 50% inhibition was calculated. (IA) Showed an IC50 value of 0.004 muM.

USE - For treating a cancer disorder e.g. melanoma, breast cancer or prostate cancer, in a human or animal subject; for inhibiting at least one serine/threonine kinase in the MAPK signaling pathway in a subject, or treating a biological condition (e.g. melanoma, papillary thyroid cancer, ovarian cancer, colon cancer, pancreatic cancer, lung cancer, and leukemia) mediated by a serine/threonine kinase in the MAPK (mitogen activated protein kinase) signaling pathway; for inhibiting a receptor tyrosine kinase in a subject or treating a biological condition (e.g. mast cell leukemia, erythroleukemia, germ cell tumors, small-cell lung carcinoma, gastrointestinal stromal tumors, acute myelogenous leukemia, neuroblastoma, melanoma, multiple myeloma, ovarian carcinoma, and breast carcinoma) mediated by the receptor

tyrosine kinase in a subject (claimed); for treating Raf related disorders e.g. tumor growth; for inhibiting angiogenesis; for inhibiting kinase activity associated with tumorigenesis in a human or in animal.

ADVANTAGE - The compounds effectively inhibits the activity of serine/threonine kinase or receptor tyrosine kinase (e.g. VEGFR-2 (vascular endothelial growth factor receptor), FGFR-3 (fibroblast growth factor receptor kinase), c-Kit, PDGFR-beta (platelet derived growth factor receptor kinase) and CSF-IR (receptor for colony stimulating factor-1). The compound is a potent inhibitor of B-Raf, c-Raf, mutant B-Raf and mutant Ras in biochemical assays. It has a potent anti-proliferative effect; and is a potent inhibitor of mitogen activated protein kinase signaling pathway. It effectively treats solid tumor by inhibiting angiogenesis.

MANUAL CODE: CPI: B04-A07A; B04-B03D; B04-G01A; B04-G01C; B04-G05; B04-G21; B05-A03B3; B05-B01J; B05-C03; B05-C07; B06-A03A; B06-D05; B06-D09; B06-E05; B07-D04C; B07-D11; B07-D12; B10-C02; B14-D06C; B14-H01; B14-H01A; B14-L06

TECH

ORGANIC CHEMISTRY - Preparation (disclosed): 3 Methods for the preparation of (I) are given e.g. preparation of (I) involves:
(i) reacting diamine compound of formula (II) with isothiocyanato-benzene (substituted by (R1)a) to give thiourea of formula (III); and
(ii) reacting thiourea with a desulfurizing agent e.g. FeCl3 (ferric chloride), 2-chloro-1-methylpyridinium iodide, 2-chloro-1,3-dimethylimidazolium chloride, POCl3 (phosphorus oxychloride) or alkyl halide such as methyl iodide to give (I).

PHARMACEUTICALS - Preferred Method: The method further involves administering at least one additional agent (preferably irinotecan, topotecan, gemcitabine, 5-fluorouracil, leucovorin carboplatin, cisplatin, taxanes, tezacitabine, cyclophosphamide, vinca alkaloids, imatinib, anthracyclines, rituximab, or trastuzumab) for the treatment of cancer.

ABEX DEFINITIONS - Preferred Definitions: - R1=trifluoromethyl; - R2=methyl; - a=1; - b=0 or 1; - R3=methoxy or absent; - R4=trifluoromethyl;and - c=1.

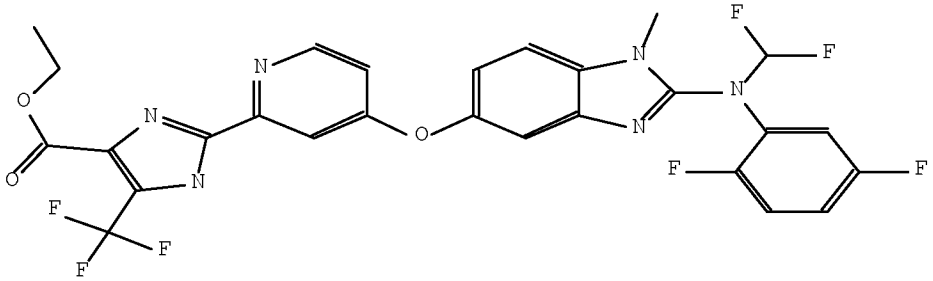
ADMINISTRATION - The compounds (I) are administered at a dosage of 0.001-1000 mg/kg/day, orally, parenterally (including subcutaneously, intravenously, intramuscularly, intrasternally, or infusion), sublingually, by aerosolization or inhalation spray, rectally, or topically (e.g. transdermally).

SPECIFIC COMPOUNDS - 62 Compounds are specifically claimed as (I) e.g. (1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-(4-trifluoromethylphenyl)-amine (IA); (2-fluoro-5-pyridin-3-yl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine; (2-fluoro-5-pyridin-4-yl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine; (3-ethyl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine; (3-tert-butyl-phenyl)-(1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-amine.

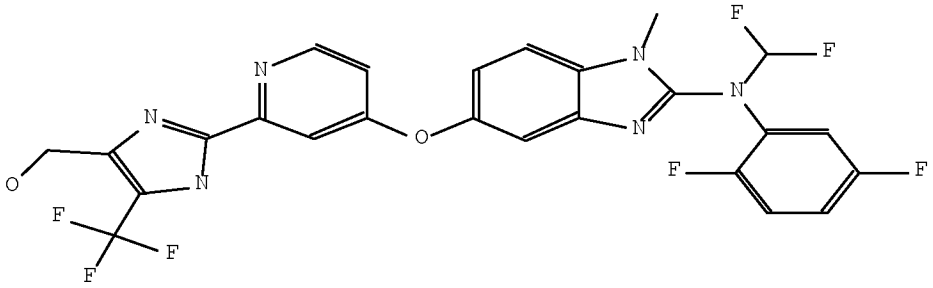
EXAMPLE - 4-Trifluoromethylphenyl isothiocyanate (23.37 g) was added to a stirring solution of Nasterisk1asterisk-methyl-4-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-benzene-1,2-diamine (40.17 g) in methanol (460 ml) at room temperature for 16 hours. After the reaction was judged complete, a solution of FeCl3 (ferric chloride) (20.52 g) in MeOH (methanol) (50 mL) was added to the reaction and the resulting mixture was stirred at room temperature overnight. The crude reaction mixture was added to EtOAc (ethyl acetate) (750 ml) and water (750 ml). After work-up, (1-methyl-5-(2-(5-trifluoromethyl-1H-imidazol-2-yl)-pyridin-4-yloxy)-1H-benzoimidazol-2-yl)-(4-trifluoromethylphenyl)-amine (melting point 217-220degreesC) was obtained as a pure, white solid.

AN.S DCR-1552297

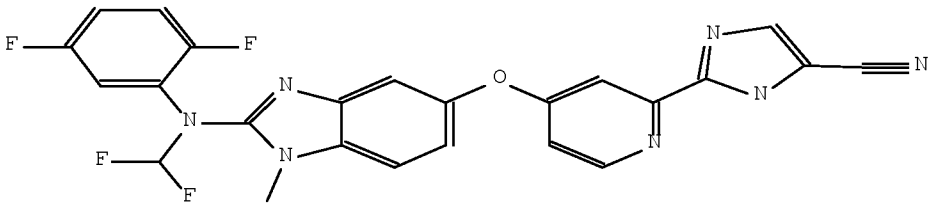
CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester
SDCN RARYLB



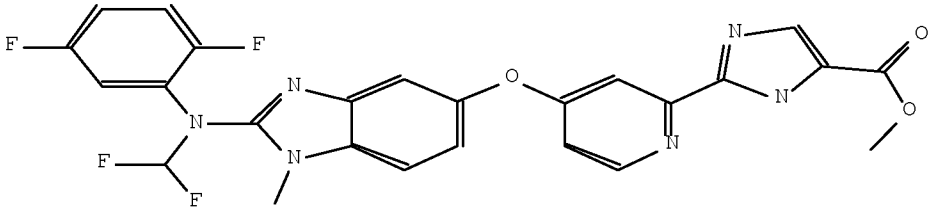
AN.S DCR-1552298
CN.S [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazol-4-yl]-methanol; [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazol-4-yl]-methanol
SDCN RARYLC



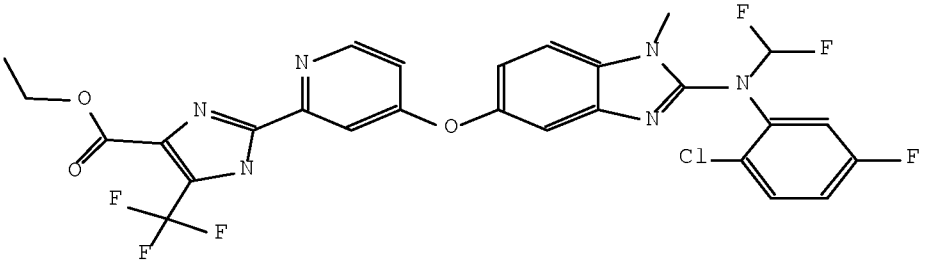
AN.S DCR-1552299
CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carbonitrile; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carbonitrile
SDCN RARYLD



AN.S DCR-1552301
CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid methyl ester; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid methyl ester
SDCN RARYLF

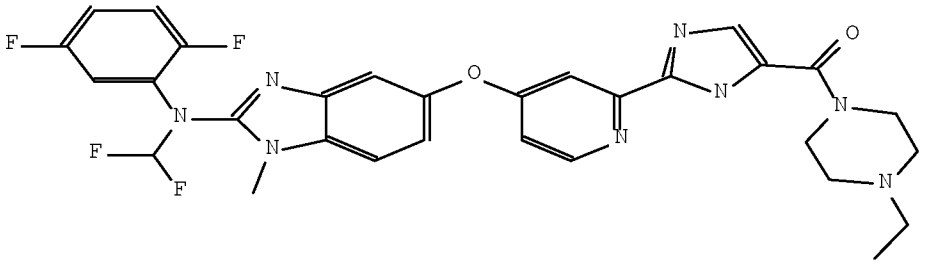


AN.S DCR-1552302
CN.S 2-(4-{2-[(2-Chloro-5-fluoro-phenyl)-difluoromethyl-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester; 2-(4-{2-[(2-Chloro-5-fluoro-phenyl)-difluoromethyl-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-5-trifluoromethyl-1H-imidazole-4-carboxylic acid ethyl ester
SDCN RARYLG

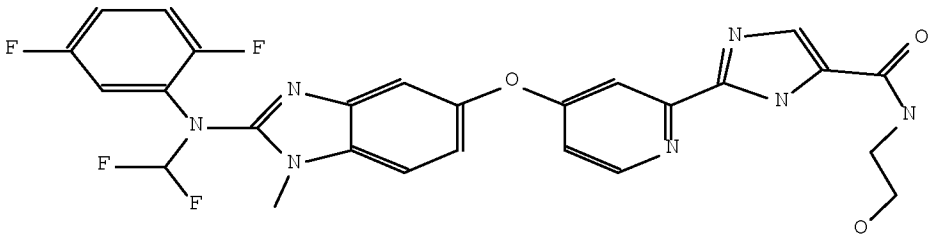


AN.S DCR-1552303

CN.S [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazol-4-yl]-(4-ethyl-piperazin-1-yl)-methanone; [2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazol-4-yl]-(4-ethyl-piperazin-1-yl)-methanone
SDCN RARYLH



AN.S DCR-1552304
CN.S 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid (2-hydroxy-ethyl)-amide; 2-(4-{2-[Difluoromethyl-(2,5-difluoro-phenyl)-amino]-1-methyl-1H-benzoimidazol-5-yloxy}-pyridin-2-yl)-3H-imidazole-4-carboxylic acid (2-hydroxy-ethyl)-amide
SDCN RARYLI



L52 ANSWER 6 OF 7 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
ACCESSION NUMBER: 2005-296040 [30] WPIX
CROSS REFERENCE: 2003-833520
DOC. NO. CPI: C2005-091531 [30]
TITLE: New substituted benzazole compounds are Raf kinase inhibitors useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer
DERWENT CLASS: B02
INVENTOR: AMIRI P; FANTL W; LEVINE B H; POON D J; RAMURTHY S; RENHOWE P A; SUBRAMANIAN S; SUNG L; AMIRI P C C; FANTL W C C; LEVINE B H C C; POON D J C C; RAMURTHY S C C; RENHOWE P A C C; SUBRAMANIAN S C C; SUNG L C C

PATENT ASSIGNEE: (CHIR-C) CHIRON CORP
COUNTRY COUNT: 107

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2005032548	A1	20050414	(200530)*	EN	326[0]	
EP 1675584	A1	20060705	(200644)	EN		
BR 2004014908	A	20061107	(200674)	PT		
AU 2004277405	A1	20050414	(200677)	EN		
MX 2006003435	A1	20060701	(200677)	ES		
KR 2006089232	A	20060808	(200705)	KO		
JP 2007507428	W	20070329	(200725)	JA	308	
IN 2006KN00838	P2	20070413	(200735)	EN		
CN 1913884	A	20070214	(200746)	ZH		
ZA 2006003418	A	20070725	(200758)	EN	329	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005032548	A1	WO 2004-US32161	20040929
AU 2004277405	A1	AU 2004-277405	20040929
BR 2004014908	A	BR 2004-14908	20040929
CN 1913884	A	CN 2004-80032677	20040929
EP 1675584	A1	EP 2004-789345	20040929
EP 1675584	A1	WO 2004-US32161	20040929
BR 2004014908	A	WO 2004-US32161	20040929
MX 2006003435	A1	WO 2004-US32161	20040929
KR 2006089232	A	WO 2004-US32161	20040929
JP 2007507428	W	WO 2004-US32161	20040929
IN 2006KN00838	P2	WO 2004-US32161	20040929
JP 2007507428	W	JP 2006-528331	20040929
MX 2006003435	A1	MX 2006-3435	20060327
KR 2006089232	A	KR 2006-706470	20060403
IN 2006KN00838	P2	IN 2006-KN838	20060405
ZA 2006003418	A	ZA 2006-3418	20060428

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
EP 1675584	A1	Based on	WO 2005032548	A
BR 2004014908	A	Based on	WO 2005032548	A
AU 2004277405	A1	Based on	WO 2005032548	A
MX 2006003435	A1	Based on	WO 2005032548	A
KR 2006089232	A	Based on	WO 2005032548	A
JP 2007507428	W	Based on	WO 2005032548	A

PRIORITY APPLN. INFO: US 2003-675927 20030929
INT. PATENT CLASSIF.:
MAIN: A61K031-41; C07D401-12; A61K
SECONDARY: A61P; A61P035-00; C07D; C07D401-14; C07D405-14; C07D407-14; C07D409-14; C07D413-12; C07D413-14; C07D417-12; C07D417-14; C07D471-08
IPC ORIGINAL: A61K0031-41 [I,A]; A61K0031-41 [I,A]; A61K0031-41 [I,C]; A61K0031-41 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-444 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-4709 [I,A]; A61K0031-4709

[I,C]; A61K0031-472 [I,C]; A61K0031-4725 [I,A]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-506 [I,A]; A61K0031-506 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-55 [I,A]; A61K0031-55 [I,C] ; A61K0031-551 [I,A]; A61K0031-551 [I,C]; A61K0045-00 [I,A]; A61K0045-00 [I,C]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0035-02 [I,A]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0405-14 [I,A]; C07D0407-00 [I,C]; C07D0407-00 [I,C]; C07D0407-14 [I,A]; C07D0407-14 [I,A]; C07D0409-00 [I,C]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-00 [I,C]; C07D0413-12 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0413-14 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0471-08 [I,A]

IPC RECLASSIF.: A61P0035-00 [I,A]; A61P0035-00 [I,C]; C07D0401-00 [I,C]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0413-00 [I,C]; C07D0413-14 [I,A]; C07D0417-00 [I,C]; C07D0417-12 [I,A]; C07D0417-14 [I,A]; C07D0453-00 [I,C]; C07D0453-02 [I,A]

ECLA: C07D0401-12+235C+213; C07D0401-14+235C+213+207; C07D0401-14+235C+213+209C; C07D0401-14+235C+217+213; C07D0401-14+235C+231+213; C07D0401-14+235C+233+213; C07D0405-14+307B+235C+213; C07D0405-14+319+235C+213; C07D0409-14+317+235C+213; C07D0409-14+333B+235C+213; C07D0413-14+261+235C+213; C07D0413-14+263B+235C+213; C07D0417-12+277+213; C07D0453-02

BASIC ABSTRACT:

WO 2005032548 A1 UPAB: 20051222

NOVELTY - Substituted benzazole compounds (I) and their salts, esters or prodrugs are new.

DETAILED DESCRIPTION - Substituted benzazole compounds of formula (I) and their salts, esters or prodrugs are new.

X1, X2 = N, NR4, O or S (provided that if X1 is -NR4-, O or S, then X2 is -NR4, O or S then X2 is N, and both X1 and X2 are not N);

Y = O or S;

A1 = optionally substituted alkyl, (hetero)cycloalkyl, polycyclic aryl, polycyclic arylalkyl, (hetero)aryl, biaryl, heteroarylaryl, heteroarylheteroaryl, (hetero)cycloalkylalkyl, (hetero)arylalkyl, biarylalkyl or heteroarylarylalkyl;

A2 = optionally substituted heteroaryl; either

R1 = O or H; and

R2 = NR5R6 or OH; or

R1+R2 = optionally substituted with heterocycloalkyl or heteroaryl;

dashed line = single or double bond;

R3 = H, halo, lower alkyl or lower alkoxy;

R4 = H, OH, (di)alkylamino or alkyl; either

R5, R6 = H or optionally substituted alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, (hetero)cycloalkyl, (hetero)aryl, alkyloxyalkylheterocyclo or heteroarylalkyl; or

NR5R6 = optionally substituted heterocyclo or heteroaryl; and

R7 = H or lower alkyl.

An INDEPENDENT CLAIM is also included for the composition comprising (I).

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Raf serine/threonine kinase inhibitor. (I) were tested for Raf serine/threonine kinase inhibitory activity in biotinylated Raf screen assay. The median inhibitory concentration of 4-((2-((4-chloro-3-trifluoromethyphenyl)amino)-1H-benzimidazol-6-yl)oxy)- N-methylpyridine-2-carboxamide (Ia) was less than 5 microM.

USE - (I) are useful for the treatment of hormone dependent cancer disorder e.g. breast cancer or prostate cancer (claimed).

ADVANTAGE - (I) has great efficacy in inhibiting tumor cell proliferation.

MANUAL CODE: CPI: B02-A; B04-A07A; B04-B03D; B04-G01A; B04-G21; B05-A03B; B05-B01J; B05-C01; B05-C07; B06-H; B07-D04C; B07-D11; B07-D12; B10-C02; B14-D06C; B14-H01D1; B14-H01F4

TECH ORGANIC CHEMISTRY - Preparation: No general methods of preparation given. Preferred Composition: The composition of (I) further comprises at least one additional agent (e.g. irinotecan, topotecan, gemcitabine, 5-fluorouracil, leucovorin carboplatin, cisplatin, taxanes, tezacitabine, cyclophosphamide, vinca alkaloids, imatinib, anthracyclines, rituximab or trastuzumab) for the treatment of cancer.

ABEX DEFINITIONS - Preferred Definitions: - R4 = H or CH3; - R5 = H; - R3 = OCH3; - R6 = CH3; - R1 = O; - R2 = NR5R6; - R7 = H; - Y = O; and - A1 = optionally substituted phenyl, pyridyl, pyrimidinyl, phenylalkyl, pyridylalkyl, pyrimidinylalkyl, heterocyclocarbonylphenyl, heterocyclophenyl, pyrrolidin-4-ylpyridinyl, 4-diazepan-1-yl, hydroxypyrrolidn-1-yl, dialkylaminopyrrolidin-1-yl, 1,4-bipiperidin-1'-yl or (1,4'-bipiperidin-1'-ylcarbonyl)phenyl.

ADMINISTRATION - Administration of (I) is 0.001-1000 (preferably 1-30) mg/kg/day, orally, parenterally, sublingually, rectally, topically, by aerosolization or inhalation spray,.

SPECIFIC COMPOUNDS - 1401 Compounds (I) are disclosed e.g. 4-((2-((4-chloro-3-(trifluoromethylphenyl)amino)-1H-benzimidazol-6-yl)oxy)-N-methylpyridine-2-carboxamide (Ia).

EXAMPLE - A mixture containing 4-((3,4-diaminophenyl)oxy)-N-methylpyridine-2-carboxamide (1 equivalent) and 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate (1 equivalent) in tetrahydrofuran was stirred at room temperature for 16 hours to give the corresponding thiourea. To the resulting mixture was added 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (2 equivalent) and the mixture was stirred for another 10 hours. The mixture was concentrated and partitioned between ethyl acetate and water. The mixture was worked up to give 4-((2-((4-chloro-3-(trifluoromethynphenyl)amino)-1H-benzimidazol-6-yl)oxy)-N-methylpyridine-2-carboxamide (Ia).

L52 ANSWER 7 OF 7 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 2004-747862 [73] WPIX

DOC. NO. CPI: C2004-262778 [73]

TITLE: Composition, useful to treat asthma, cancer, infectious disease and/or allergies, comprises a small molecule immune-potentiator compound e.g. acylpiperazine, an indoledione, a tetrahydroisoquinoline and a benzocyclodione derivative

DERWENT CLASS: B05

INVENTOR: VALIANTE N; VALIANTE N M

PATENT ASSIGNEE: (CHIR-C) CHIRON CORP

COUNTRY COUNT: 107

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2004087153	A2	20041014	(200473)*	EN	146[0]	
US 20050136065	A1	20050623	(200542)	EN		
EP 1608369	A2	20051228	(200603)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2004087153	A2	WO 2004-US10331	20040329
US 20050136065	A1 Provisional	US 2003-458888P	20030328
US 20050136065	A1	US 2004-814480	20040329
EP 1608369	A2	EP 2004-758593	20040329
EP 1608369	A2	WO 2004-US10331	20040329

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1608369 A2	Based on	WO 2004087153 A

PRIORITY APPLN. INFO: US 2003-458888P 20030328
US 2004-814480 20040329

INT. PATENT CLASSIF.:

MAIN: A61K031-4439

IPC RECLASSIF.: A61K0031-12 [I,A]; A61K0031-12 [I,C]; A61K0031-122 [I,A]; A61K0031-122 [I,C]; A61K0031-17 [I,C]; A61K0031-175 [I,A] ; A61K0031-18 [I,A]; A61K0031-18 [I,C]; A61K0031-343 [I,A]; A61K0031-343 [I,C]; A61K0031-352 [I,A]; A61K0031-352 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-40 [I,A]; A61K0031-40 [I,C]; A61K0031-4015 [I,A]; A61K0031-4015 [I,C]; A61K0031-403 [I,A]; A61K0031-403 [I,C]; A61K0031-4035 [I,A]; A61K0031-404 [I,A]; A61K0031-42 [I,A]; A61K0031-42 [I,C]; A61K0031-421 [I,A]; A61K0031-421 [I,C]; A61K0031-425 [I,A]; A61K0031-425 [I,C]; A61K0031-428 [I,A]; A61K0031-428 [I,C]; A61K0031-433 [I,A]; A61K0031-433 [I,C]; A61K0031-4353 [I,C]; A61K0031-437 [I,A]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-4453 [I,A]; A61K0031-4453 [I,C]; A61K0031-4709 [I,A]; A61K0031-4709 [I,C]; A61K0031-472 [I,A]; A61K0031-472 [I,C]; A61K0031-495 [I,A]; A61K0031-495 [I,C]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-4965 [I,C]; A61K0031-497 [I,A]; A61K0031-498 [I,A]; A61K0031-498 [I,C]; A61K0031-4985 [I,A]; A61K0031-4985 [I,C]; A61K0031-517 [I,A]; A61K0031-517 [I,C]; A61K0031-519 [I,A]; A61K0031-519 [I,C]; A61K0031-53 [I,A] ; A61K0031-53 [I,C]; A61K0031-58 [I,C]; A61K0031-585 [I,A]; A61K0031-695 [I,A]; A61K0031-695 [I,C]

ECLA: A61K0031-12; A61K0031-122; A61K0031-175; A61K0031-18; A61K0031-343; A61K0031-352; A61K0031-381; A61K0031-40; A61K0031-4015; A61K0031-403; A61K0031-4035; A61K0031-404; A61K0031-42; A61K0031-421; A61K0031-425; A61K0031-428; A61K0031-433; A61K0031-437; A61K0031-4439; A61K0031-4453; A61K0031-4709; A61K0031-472; A61K0031-495; A61K0031-496; A61K0031-497; A61K0031-498; A61K0031-4985; A61K0031-517; A61K0031-519; A61K0031-53; A61K0031-585; A61K0031-695

USCLASS NCLM: 424/184.100

NCLS: 514/063.000; 514/252.120; 514/252.160; 514/253.070; 514/259.300; 514/317.000; 514/338.000; 514/340.000; 514/362.000; 514/373.000; 514/376.000; 514/418.000; 514/423.000; 514/468.000; 514/582.000; 514/680.000

BASIC ABSTRACT:

WO 2004087153 A2 UPAB: 20060122

NOVELTY - Composition (A) which elicits an immune response comprises a small molecule immune-potentiator (SMIP) compound X-Y-Z (I) or its salt, ester or prodrug.

DETAILED DESCRIPTION - Composition (A) which elicits an immune response comprises a small molecule immune-potentiator (SMIP) compound X-Y-Z of formula (I) or its salt, ester or prodrug.

X = optionally substituted alkyl, aryl, heteroaryl, fused arylaryl, fused heteroarylaryl, fused heteroarylheteroaryl, unfused arylaryl, unfused heteroarylaryl, unfused heteroarylheteroaryl or heterocyclyl groups;

Y = a linking moiety; and

Z = optionally substituted aryl, heteroaryl, fused arylaryl, fused heteroarylaryl or fused arylheteroaryl.

An INDEPENDENT CLAIM is also included for a method of vaccinating a subject comprising administering (A) prior to, at the same time as or after administration of a vaccine composition comprising an antigen.

ACTIVITY - Immunostimulant; Antiasthmatic; Cytostatic; Antimicrobial; Antiallergic.

Tests details are described but no results given.

MECHANISM OF ACTION - None given.

USE - (A) is useful to stimulate an immune response (cellular production of one or more cytokines). (A) is useful for vaccination and to treat asthma (all claimed), cancer, infectious disease and/or allergies.

MANUAL CODE: CPI: B01-D02; B06-H; B07-H; B10-A08; B10-A13A; B10-F02; B14-A01; B14-A02; B14-G01; B14-G02A; B14-H01; B14-K01A; B14-S11

TECH

PHARMACEUTICALS - Preferred Composition: (A) further comprises antigen (preferably antigen is associated with a disease such as BCG, cholera, plague, typhoid, hepatitis B infection, influenza, inactivated polio, rabies, measles, mumps, rubella, oral polio, yellow fever, tetanus, diphtheria, hemophilus influenzae b, meningococcus infection or pneumococcus infection).

Preferred Components: (I) is an acylpiperazine, an indoledione, a tetrahydroisoquinoline, a benzocyclodione, an amino azavinyl compound, a thiosemicarbazone, a lactam, an aminobenzimidazole quinolinone, a hydropthalamide, a benzophenone, an isoxazole, a sterol, a quinazolinone, a pyrrole, an anthraquinone, a quinoxaline, a triazine, an benzazole, or a pyrazolopyrimidine compounds, e.g. acylpiperazine of formula (III), indoledione of formula (IV), tetrahydraisoquinoline of formula (V) and benzocyclodione of formula (VI).

R9 = optionally substituted aryl, heteroaryl, arylalkyl, arylalkenyl, heteroarylalkyl or heteroarylalkenyl;

R10 = optionally substituted alkyl;

n = 0-2;

R11, R12 = H, NO2, halo, NH2, OH, CN, carboxcyclic acid or optionally substituted (alkyl, (hetero)aryl, alkoxy, alkylcarbonyl, alkylcarbonylamino, alkylaminocarbonyl, aminocarbonyl, arylalkoxy, heteroarylalkoxy, alkylamino, arylalkylamino, arylamino, heteroarylamino, heteroarylaminoalkyl, heterocyclyl, heterocyclylalkoxy, heterocyclylalkyl or carbocyclyl);

R13 = optionally unsubstituted aryl, heteroaryl, arylalkyl, heteroaryl alkyl, heterocyclyl, heterocyclylalkyl or alkylbenzyl;

L = covalent bond or CH2, CO, O, S, CHF, NH or NR20;

R20 = lower alkyl;

R14 = H, halo or optionally substituted alkyl;
R15 = optionally substituted carbocyclyl, aryl, arylalkyl, alkoxyaryl, heteroaryl or heterocyclyl;
R16, R17 = H, halo or optionally substituted alkyl;
R18, R19 = H, OH, halo, alkoxy, NH2, optionally substituted alkyl or alkylamino;
E = NR25 or CR26R27;
R21, R23, R24 = H, OH, halo, alkoxy, amino, optionally substituted alkyl or alkylamino;
R22 = alkyl (optionally substituted), H, OH, halo, alkoxy, NH2, alkylamino, arylalkyl, heteroarylalkyl, (hetero)aryl, arylcarbonyl, heterocyclyl, heterocyclylalkyl or heteroarylcarbonyl;
R25 = optionally substituted aryl, heteroaryl, heterocyclyl, carbocyclyl, arylalkyl, heteroarylalkyl or heterocyclylalkyl;
R26 = H, halo, OH, NH2, alkyl (optionally substituted), carbonylalkyl or alkylcarbonylalkyl; and
R27 = aryl, arylalkyl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, carbocyclyl, arylcarbonylalkyl or arylalkylcarbonyl.
If D1 is carbon then D2 is oxygen, D3 is absent, and D4 is optionally substituted aryl, heteroaryl, carbocycyl, alkoxyaryl, fused arylaryl, fused arylheteroaryl or fused heteroarylaryl; or if D1 is nitrogen than D2 is N, D4 is absent and D3 is optionally substituted aryl, heteroaryl, carbocycyl, alkoxyaryl, fused arylaryl, fused arylheteroaryl or fused heteroarylaryl.

ABEX DEFINITIONS - Preferred Definitions: - Y = covalent bond or linking moiety such as -CO-, -O-, -S-, -CH2- or NH, provided that an aminocarbonyl group is not formed between the attachment of Y and X; - Z = phenyl substituted with R1-R5; and either - R1-R5 = H, halo, OH, NH2, NO2, CN, carboxylic acid or optionally substituted (alkyl, alkenyl, alkynyl alkylamino, aminoalkyl, alkylcarbonyloxy, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, carbonylamino, alkylcarbonylamino, alkoxy, alkoxyalkyl, carbocyclyl, heterocyclyl, (hetero)aryl, fused arylaryl, unfused arylaryl fused heteroarylaryl, unfused heteroarylaryl, fused arylheteroaryl or unfused arylheteroaryl); or - R2+R3 = optionally substituted 5-7 membered ring of all carbon atoms or 1-2 heteroatoms of O, S or N.

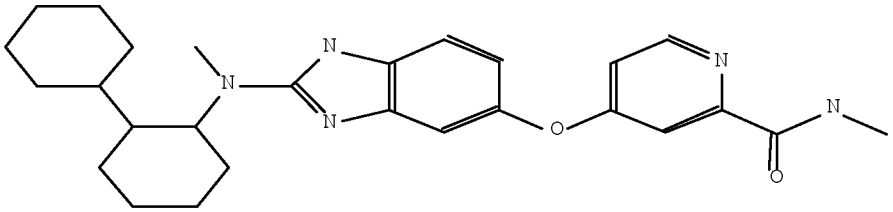
ADMINISTRATION - Administration of (A) is enteral, oral, parenteral, sublingual, rectal, topical or by inhalation. No dosage given.

SPECIFIC COMPOUNDS - The use of 58 compounds (I) are specifically claimed e.g. N-methyl-4-((2-((2-(1-methylethyl)phenyl)amino)-1H-benzimidazol-5-yl)oxy)pyridine-2-carboxamide, N-methyl-4-((1-methyl-2-((3-((trimethylsilyl)ethynyl)phenyl)amino)-1Hbenzimidazol-5-yl)oxy)pyridine-2-carboxamide, N-methyl-4-((1-methyl-2-((2-(phenylcarbonyl)phenyl)amino)-1Hbenzimidazol-5-yl)oxy)pyridine-2-carboxamide, 4-(methyloxy)-N-(6-(methyloxy)-1,3-benzothiazol-2-yl)-3-nitrobenzamide and 4-((2-((4-butylphenyl)amino)-1,3-benzothiazol-5-yl)oxy)-N-methylpyridine-2-carboxamide.

AN.S DCR-975185

CN.S 4-[2-(Bicyclohexyl-2-yl-methyl-amino)-1H-benzoimidazol-5-yloxy]-pyridine-2-carboxylic acid methylamide

SDCN RAFREO



```
=> file stnguide
FILE 'STNGUIDE' ENTERED AT 10:23:39 ON 23 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 19, 2008 (20080919/UP).
```

```
=> d his ful

     (FILE 'HOME' ENTERED AT 08:42:19 ON 23 SEP 2008)

     FILE 'STNGUIDE' ENTERED AT 08:42:22 ON 23 SEP 2008

     FILE 'ZCAPLUS' ENTERED AT 08:42:32 ON 23 SEP 2008
                E US2003-675927/APPS

     FILE 'HCAPLUS' ENTERED AT 08:42:48 ON 23 SEP 2008
L1             1 SEA ABB=ON  PLU=ON  US2003-675927/APPS
                D SCAN

     FILE 'WPIX' ENTERED AT 08:43:08 ON 23 SEP 2008
L2             2 SEA ABB=ON  PLU=ON  US2003-675927/APPS
                D SCAN

     FILE 'STNGUIDE' ENTERED AT 08:43:38 ON 23 SEP 2008
                D QUE L1

     FILE 'HCAPLUS' ENTERED AT 08:44:44 ON 23 SEP 2008
                D IBIB ED ABS IND L1

     FILE 'STNGUIDE' ENTERED AT 08:44:46 ON 23 SEP 2008
                D QUE L2

     FILE 'WPIX' ENTERED AT 08:45:56 ON 23 SEP 2008
                D IALL CODE L2 1-2

     FILE 'STNGUIDE' ENTERED AT 08:45:59 ON 23 SEP 2008

     FILE 'LREGISTRY' ENTERED AT 08:51:05 ON 23 SEP 2008
L3              STR

     FILE 'REGISTRY' ENTERED AT 08:52:50 ON 23 SEP 2008
L4             0 SEA SSS SAM L3
                D QUE STAT

     FILE 'REGISTRY' ENTERED AT 08:58:55 ON 23 SEP 2008

     FILE 'HCAPLUS' ENTERED AT 08:58:58 ON 23 SEP 2008
L5              TRA PLU=ON  L1 1- RN :    1593 TERMS

     FILE 'REGISTRY' ENTERED AT 08:59:01 ON 23 SEP 2008
L6          1593 SEA ABB=ON  PLU=ON  L5

     FILE 'STNGUIDE' ENTERED AT 09:02:23 ON 23 SEP 2008

     FILE 'REGISTRY' ENTERED AT 09:03:35 ON 23 SEP 2008
L7             3 SEA SSS FUL L3
                SAVE TEMP L7 KAN927PSET1/A
                D SCAN

     FILE 'STNGUIDE' ENTERED AT 09:04:23 ON 23 SEP 2008
                D QUE STAT L7

     FILE 'REGISTRY' ENTERED AT 09:04:46 ON 23 SEP 2008
                D IDE L7 1-3
```

```
     FILE 'STNGUIDE' ENTERED AT 09:04:46 ON 23 SEP 2008

     FILE 'ZCAPLUS' ENTERED AT 09:16:23 ON 23 SEP 2008
L8             QUE ABB=ON  PLU=ON  AMIRI, P?/AU
L9             QUE ABB=ON  PLU=ON  FANTL, W?/AU
L10            QUE ABB=ON  PLU=ON  LEVINE, B?/AU
L11            QUE ABB=ON  PLU=ON  POON, D?/AU
L12            QUE ABB=ON  PLU=ON  RAMURTHY, S?/AU
L13            QUE ABB=ON  PLU=ON  RENHOWE, P?/AU
L14            QUE ABB=ON  PLU=ON  SUBRAMANIAN, S?/AU
L15            QUE ABB=ON  PLU=ON  SUNG, L?/AU
L16            QUE ABB=ON  PLU=ON  (NOVARTIS OR CHIRON)/CS,SO,PA

     FILE 'HCAPLUS' ENTERED AT 09:23:58 ON 23 SEP 2008
L17          2 SEA ABB=ON  PLU=ON  L7
L18          2 SEA ABB=ON  PLU=ON  L17 AND (L8 OR L9 OR L10 OR L11 OR L12 OR
               L13 OR L14 OR L15 OR L16)
L19          1 SEA ABB=ON  PLU=ON  L1 AND L18
L20          2 SEA ABB=ON  PLU=ON  (L18 OR L19)
L21          0 SEA ABB=ON  PLU=ON  L17 NOT L20

     FILE 'STNGUIDE' ENTERED AT 09:24:53 ON 23 SEP 2008

     FILE 'USPATFULL, USPATOLD, USPAT2, TOXCENTER' ENTERED AT 09:25:08 ON 23
     SEP 2008
L22          6 SEA ABB=ON  PLU=ON  L7
L23          6 SEA ABB=ON  PLU=ON  L22 AND (L8 OR L9 OR L10 OR L11 OR L12 OR
               L13 OR L14 OR L15 OR L16)
L24          0 SEA ABB=ON  PLU=ON  L22 NOT L23

     FILE 'STNGUIDE' ENTERED AT 09:25:41 ON 23 SEP 2008

     FILE 'BEILSTEIN' ENTERED AT 09:25:51 ON 23 SEP 2008
L25          0 SEA SSS SAM L3
L26          0 SEA SSS FUL L3

     FILE 'CHEMINFORMRX' ENTERED AT 09:26:28 ON 23 SEP 2008
L27          0 SEA SSS SAM L3 (     0 REACTIONS)
L28          0 SEA SSS FUL L3 (     0 REACTIONS)

     FILE 'STNGUIDE' ENTERED AT 09:27:05 ON 23 SEP 2008

     FILE 'WPIX' ENTERED AT 09:27:21 ON 23 SEP 2008
L29          1 SEA SSS SAM L3
               D TRI
L30          8 SEA SSS FUL L3
               SAVE TEMP L30 KAN927WPIS/A
               SELECT L30 1- SDCN
L31          2 SEA ABB=ON  PLU=ON  (RAFREO/DCN OR RARYLB/DCN OR RARYLC/DCN OR
               RARYLD/DCN OR RARYLF/DCN OR RARYLG/DCN OR RARYLH/DCN OR
               RARYLI/DCN) OR L30/DCR
L32          2 SEA ABB=ON  PLU=ON  L31 AND (L8 OR L9 OR L10 OR L11 OR L12 OR
               L13 OR L14 OR L15 OR L16)
L33          0 SEA ABB=ON  PLU=ON  L2 AND L32
L34          4 SEA ABB=ON  PLU=ON  L2 OR L32 OR L33
               D TRI 1-4
L35          0 SEA ABB=ON  PLU=ON  L32 NOT L34

     FILE 'STNGUIDE' ENTERED AT 09:30:39 ON 23 SEP 2008
```

```
     FILE 'LREGISTRY' ENTERED AT 09:33:17 ON 23 SEP 2008
L36             STR L3

     FILE 'MARPAT' ENTERED AT 09:34:59 ON 23 SEP 2008
L37           50 SEA SSS SAM L36

     FILE 'STNGUIDE' ENTERED AT 09:35:26 ON 23 SEP 2008
                D QUE STAT

     FILE 'MARPAT' ENTERED AT 09:41:14 ON 23 SEP 2008
L38         5203 SEA SSS FUL L36
                SAVE TEMP L38 KAN927MARP/A

     FILE 'STNGUIDE' ENTERED AT 09:43:14 ON 23 SEP 2008

     FILE 'STNGUIDE' ENTERED AT 10:06:31 ON 23 SEP 2008

     FILE 'ZCAPLUS' ENTERED AT 10:06:49 ON 23 SEP 2008
L39             QUE ABB=ON  PLU=ON  AY<2005 OR PY<2005 OR PRY<2005 OR MY<2005
                OR REVIEW/DT

     FILE 'HCAPLUS' ENTERED AT 10:07:54 ON 23 SEP 2008
L40         5203 SEA ABB=ON  PLU=ON  L38
L41         3625 SEA ABB=ON  PLU=ON  L40 AND L39
L42          359 SEA ABB=ON  PLU=ON  L41 AND (?BENZAZOL? OR ?BENZIMIDAZOL? OR
                (?BENZ(1T)(AZOL? OR IMIDAZOL?)))

     FILE 'STNGUIDE' ENTERED AT 10:10:05 ON 23 SEP 2008

     FILE 'ZCAPLUS' ENTERED AT 10:10:07 ON 23 SEP 2008
                E A61P0035-00/IPC
                E E23+ALL
L43             QUE ABB=ON  PLU=ON  A61P0035/IPC

     FILE 'HCAPLUS' ENTERED AT 10:10:46 ON 23 SEP 2008
L44          131 SEA ABB=ON  PLU=ON  L42 AND L43
L45           56 SEA ABB=ON  PLU=ON  L44 AND ?KINAS?
L46            9 SEA ABB=ON  PLU=ON  L45 AND (RAF OR RAS OR RETROVIR? OR
                (RETRO(1W)VIR?))
L47            1 SEA ABB=ON  PLU=ON  L46 AND (L8 OR L9 OR L10 OR L11 OR L12 OR
                L13 OR L14 OR L15 OR L16)
L48            8 SEA ABB=ON  PLU=ON  L46 NOT L47

     FILE 'MARPAT' ENTERED AT 10:12:04 ON 23 SEP 2008
L49            8 SEA ABB=ON  PLU=ON  L48
L50            8 SEA ABB=ON  PLU=ON  L38 AND L49

     FILE 'STNGUIDE' ENTERED AT 10:13:33 ON 23 SEP 2008
                D QUE STAT L7
                D QUE NOS L21
                D QUE NOS L24
                D QUE STAT L26
                D QUE STAT L28
                D QUE STAT L30
                D QUE L35
                D QUE STAT L38
                D QUE L50

     FILE 'MARPAT' ENTERED AT 10:16:37 ON 23 SEP 2008
L51            8 DUP REM L21 L24 L35 L50 (0 DUPLICATES REMOVED)
```

```
                    ANSWERS '1-8' FROM FILE MARPAT
               SAVE TEMP L51 KAN927MAIN/A

     FILE 'STNGUIDE' ENTERED AT 10:16:50 ON 23 SEP 2008

     FILE 'MARPAT' ENTERED AT 10:17:16 ON 23 SEP 2008
               D IBIB AB HIT

     FILE 'STNGUIDE' ENTERED AT 10:17:18 ON 23 SEP 2008

     FILE 'MARPAT' ENTERED AT 10:18:40 ON 23 SEP 2008
               D IBIB AB HIT 2-8

     FILE 'STNGUIDE' ENTERED AT 10:19:01 ON 23 SEP 2008
               D QUE NOS L20
               D QUE NOS L23
               D QUE L34
               D QUE L47

     FILE 'HCAPLUS, USPATFULL, USPAT2, TOXCENTER, WPIX' ENTERED AT 10:21:10 ON
     23 SEP 2008
L52             7 DUP REM L20 L23 L34 L47 (6 DUPLICATES REMOVED)
                    ANSWERS '1-2' FROM FILE HCAPLUS
                    ANSWERS '3-4' FROM FILE USPATFULL
                    ANSWERS '5-7' FROM FILE WPIX
               SAVE TEMP L52 KAN927INV/A

     FILE 'STNGUIDE' ENTERED AT 10:21:39 ON 23 SEP 2008

     FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 10:22:16 ON 23 SEP 2008
               D IBIB ED ABS HITIND HITSTR 1-2

     FILE 'STNGUIDE' ENTERED AT 10:22:19 ON 23 SEP 2008

     FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 10:22:32 ON 23 SEP 2008
               D IBIB AB HITSTR 3-4

     FILE 'STNGUIDE' ENTERED AT 10:22:40 ON 23 SEP 2008

     FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 10:23:03 ON 23 SEP 2008
               D IALL ABEQ TECH ABEX HITSTR 5-7

     FILE 'STNGUIDE' ENTERED AT 10:23:08 ON 23 SEP 2008

     FILE 'STNGUIDE' ENTERED AT 10:23:39 ON 23 SEP 2008


     FILE HOME

     FILE STNGUIDE
     FILE CONTAINS CURRENT INFORMATION.
     LAST RELOADED: Sep 19, 2008 (20080919/UP).

     FILE ZCAPLUS

     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.
     The CA Lexicon is the copyrighted intellectual property of the
```

American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS is strictly prohibited.

FILE COVERS 1907 - 23 Sep 2008 VOL 149 ISS 13
FILE LAST UPDATED: 22 Sep 2008 (20080922/ED)

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCAPLUS

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Sep 2008 VOL 149 ISS 13
FILE LAST UPDATED: 22 Sep 2008 (20080922/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE WPIX
FILE LAST UPDATED: 20 SEP 2008 <20080920/UP>
MOST RECENT UPDATE: 200860 <200860/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.1 million chemical structures in DCR <<<

>>> IPC Reform backfile reclassifications have been loaded to the end of June 2008. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC, 20071130/UPIC, 20080401/UPIC and 20080701/UPIC.
ECLA reclassifications to June and US national classifications to the end of April 2008 have also been loaded. Update dates 20080401 and 20080701/UPEC and /UPNC have been assigned to these. <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://scientific.thomsonreuters.com/support/patents/coverage/latestupdate

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/archive/presentations/DWPIAnaVist2_0608.p

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

FILE LREGISTRY
LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 21 SEP 2008 HIGHEST RN 1051326-19-2
DICTIONARY FILE UPDATES: 21 SEP 2008 HIGHEST RN 1051326-19-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 23 Sep 2008 (20080923/PD)
FILE LAST UPDATED: 23 Sep 2008 (20080923/ED)
HIGHEST GRANTED PATENT NUMBER: US7428757
HIGHEST APPLICATION PUBLICATION NUMBER: US20080229468
CA INDEXING IS CURRENT THROUGH 23 Sep 2008 (20080923/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Sep 2008 (20080923/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2008
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2008

USPATFULL now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

FILE USPATOLD

FILE COVERS U.S. PATENTS 1790-1975
Produced using data provided by Univentio.

This database was created using Optical Character Recognition (OCR)
technology. For this reason, some characters may be missing or
mistranslated. In order to improve searchability and retrieval,
CA indexing information has been added to the Title, Inventor, and
Patent Assignee fields where possible. Please see HELP CASDATA for
more information on the availability of CAS indexing in this database.

USPATOLD now includes complete International Patent Classification (IPC)

reclassification data for the second quarter of 2008.

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 23 Sep 2008 (20080923/PD)
FILE LAST UPDATED: 23 Sep 2008 (20080923/ED)
HIGHEST GRANTED PATENT NUMBER: US20080164244
HIGHEST APPLICATION PUBLICATION NUMBER: US20080228403
CA INDEXING IS CURRENT THROUGH 23 Sep 2008 (20080923/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Sep 2008 (20080923/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2008
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2008

USPAT2 now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

FILE TOXCENTER

FILE COVERS 1907 TO 15 Sep 2008 (20080915/ED)

The MEDLINE file segment has been updated with the National Library of Medicine's revised 2008 MeSH terms. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

The BIOSIS segment of TOXCENTER has been augmented with 13,000 records from 1946 through 1968.

FILE BEILSTEIN
FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.
FILE CONTAINS 10,322,808 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

```
**********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE   *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
* FOR PRICE INFORMATION SEE HELP COST                                *
**********************************************************************
```

>>> Price change as of January 1st, 2008: Connect Time and Structure Search fees re-introduced. See NEWS and HELP COST <<<

```
      FILE CHEMINFORMRX
      FILE LAST UPDATED: 9 JUN 2008       <20080609/UP>

      >>> CAS Registry Numbers are available for
          substances prior to 1995   <<<

      FILE MARPAT
      FILE CONTENT: 1961-PRESENT VOL 149 ISS 12 (20080919/ED)

      SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

      MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
      (COVERAGE TO THESE DATES IS NOT COMPLETE):

      US  20080188684 07 AUG 2008
      DE 202008001599 31 JUL 2008
      EP      1953168 06 AUG 2008
      JP   2008181992 07 AUG 2008
      WO   2008094958 07 AUG 2008
      GB      2444641 11 JUN 2008
      FR      2912218 08 AUG 2008
      RU      2330029 27 JUL 2008
      CA      2615024 14 JUN 2008

      Expanded G-group definition display now available.

      Effective December 15th the iteration and answer limits in MARPAT
      have increased from 100,000 to 200,000 for both on-line and batch
      searches.  For more information on MARPAT search limits, type HELP
      SLIMITS at an arrow prompt.

=>
```